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IMIDAZOTRIAZINDERIVATER TIL ANVENDELSE I BEHANDLINGEN AF SKIZOFRENI**
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DESCRIPTION

Technical Field

[0001] The present disclosure generally relates to a pharmaceutical composition comprising a compound modulating receptor activity. The present disclosure relates to a pharmaceutical composition for the prevention and/or treatment of disorders mediated by glutamate dysfunction and mGluR5 comprising a therapeutically effective amount of a compound useful as a positive allosteric modulator (PAM) of metabotropic glutamate receptor subtype 5 (mGluR5) or a pharmaceutically acceptable salt thereof.

Background Art

[0002] Glutamate is the most prevalent excitatory neurotransmitter in the central nervous system (CNS) of mammals. Glutamate plays an important role in numerous physiological functions such as cardiovascular regulation, perception and recognition, and synaptogenesis as well as learning and memory. As such, in the occurrence of imbalance in glutamate neurotransmission, various nervous and mental diseases such as schizophrenia may be caused, and thus glutamate plays an important role in physiology.

[0003] Glutamate mediates synaptic neurotransmission via ionotropic glutamate receptors (iGluR)-i.e., the activation of NMDA receptors, AMPA receptors and kainate receptors involved in rapid excitatory transmission (Nakanishi S. et al., Brain Res. Rev., (1998) 26: 230-235). In addition, glutamate plays a role in subtly regulating excitatory synaptic neurotransmission via the activation of metabotropic glutamate receptor (mGluR).

[0004] Metabotropic glutamate receptors (mGluR) consist of eight (8) subtypes and are subdivided into three groups (Groups I, II and III) according to arrangement, homology and pharmacological property. mGluR5 belongs to Group I, and it is known that mGluR5 interacts with NMDA receptors via various proteins and neurotransmission pathways. Therefore, because the balance of deficiency or hyperactivity of physiological function by NMDA receptors can be regulated via the modulation of mGluR5, to modulate mGluR5 is very important.

[0005] Since a variety of pathophysiological processes and disease states affecting the CNS are thought to be related to abnormal glutamate neurotransmission and NMDA receptor malfunction, modulators of mGluR5 receptors could be therapeutically beneficial in the treatment of various CNS diseases. Moreover, because mGluR5 receptor modulators which act through allosteric binding site have some advantages such as subtype selectivity, brain penetration and safety potential, many studies have reported that the mGluR5 positive allosteric modulators were useful for the treatment of schizophrenia and CNS diseases.

[0006] International Publication Nos. WO 2008/151184 and WO 2011/035324 disclose benzamide and O-benzyl nicotinamide derivatives as an mGluR5 positive allosteric modulator, respectively. International Publication No. WO 2010/124055 discloses 2-alkyl piperidine derivatives as an mGluR5 positive allosteric modulator, and International Publication No. WO 2011/082010 discloses tetrahydrotriazolopyridine derivative compounds. International Publication Nos. WO 2012/078817 and WO 2012/083224 disclose bicyclic pyrazole and bicyclic triazole compounds as an mGluR5 positive allosteric modulator, respectively.

[0007] US 2014/057870 A1 discloses pyrazolopyrimidine compounds which are useful as mGluR5 receptor modulators.

Disclosure of Invention

Technical Problem

[0008] In an embodiment (not part of the invention), there is provided imidazopyrimidine and imidazotriazine derivative compound as a positive allosteric modulator of metabotropic glutamate receptor subtype 5 (mGluR5) or a pharmaceutically acceptable salt thereof.

[0009] According to the invention, there is provided a pharmaceutical composition for use in the prevention or treatment of disorder mediated by glutamate dysfunction and metabotropic glutamate receptor subtype 5 (mGluR5) which is schizophrenia comprising the above imidazopyrimidine and imidazotriazine derivative compound or a pharmaceutically acceptable salt thereof as an active ingredient, together with a pharmaceutically acceptable carrier or excipient.

Solution to Problem

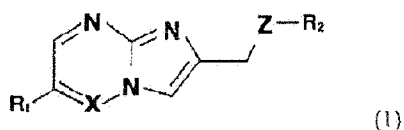
[0010] The present inventors have synthesized imidazopyrimidine and imidazotriazine derivative compounds of Chemical Formula (1) and confirmed that said compounds show effective and selective effects as a positive allosteric modulator of metabotropic glutamate receptor subtype 5 (mGluR5), thereby being useful in the treatment of disorders mediated by glutamate dysfunction and mGluR5 such as schizophrenia.

[0011] The present invention is as defined in the appended claims. The references to methods of treatment in the subsequent paragraphs of this description are to be interpreted as references to the compounds, pharmaceutical compositions and medicaments of the present invention for use in a method for treatment of the human (or animal) body by therapy.

[0012] The following description is merely exemplary in nature and is not intended to limit the

present disclosure, application, or uses.

[0013] The present disclosure provides a compound of Chemical Formula (1) and a pharmaceutically acceptable salt thereof:



wherein

X represents CH or N;

Z represents O or S;

R₁ represents C₆-C₁₂ aryl which is unsubstituted or substituted with one or more substituents selected from halo, hydroxy, C₁-C₅ alkyl, C₁-C₅ alkoxy, C₁-C₅ alkylthio, amino, di(C₁-C₅ alkyl)amino, cyano, formyl, halo-C₁-C₅ alkyl, hydroxy-C₁-C₅ alkyl, C₁-C₅ alkoxy-C₁-C₅ alkyl, carbamoyloxy-C₁-C₅ alkyl, C₁-C₅ alkyl-C(O)O-C₁-C₅ alkyl, di(C₁-C₅ alkyl)amino-C₁-C₅ alkyl and 5- or 6-membered heterocycloalkyl-C₁-C₅ alkyl wherein the heterocycloalkyl has 1-3 heteroatoms selected from N, O and S; or 5- to 12-membered, unsaturated heterocyclyl having 1-5 heteroatoms selected from N, O and S, which is unsubstituted or substituted with one or more substituents selected from halo, hydroxy, C₁-C₅ alkyl, C₁-C₅ alkoxy and halo-C₁-C₅ alkyl;

and R₂ represents C₆-C₁₂ aryl which is unsubstituted or substituted with one or more substituents selected from halo, deuterium, hydroxy and C₁-C₅ alkyl; or 5- to 12-membered, unsaturated heterocyclyl having 1-3 heteroatoms selected from N, O and S, which is unsubstituted or substituted with one or more substituents selected from halo and C₁-C₅ alkyl.

[0014] Unless stated otherwise, herein the term "alkyl," either alone or in combination with further terms (for example, haloalkyl), means a radical of saturated aliphatic hydrocarbonyl group having 1 to 5 carbon atoms, which may be linear or branched. Examples of representative alkyl group include, but are not limited to, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, isopentyl, neopentyl, tert-pentyl, 1-methylbutyl, 2-methylbutyl, 1-ethylpropyl and 1,2-dimethylpropyl.

[0015] Unless stated otherwise, herein the term "halo," either alone or in combination with further terms (for example, haloalkyl), means a radical of F, Cl, Br or I.

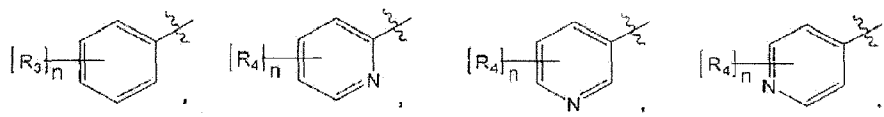
[0016] Unless stated otherwise, herein the term "heterocycloalkyl" means a 5- or 6-membered, saturated monocyclic ring having 1 to 3 heteroatoms selected from N, O and S, and preferably a 5- or 6-membered, saturated monocyclic ring having 1 or 2 heteroatoms selected from N and O. Concrete examples of heterocycloalkyl include, but are not limited to, pyrrolidinyl,

piperidinyl, tetrahydrofuranyl, tetrahydropyranyl and morpholinyl.

[0017] Unless stated otherwise, herein the term "aryl" means an aromatic radical having 6 to 12 carbon atoms. Concrete examples of aryl include, but are not limited to, phenyl and naphthyl.

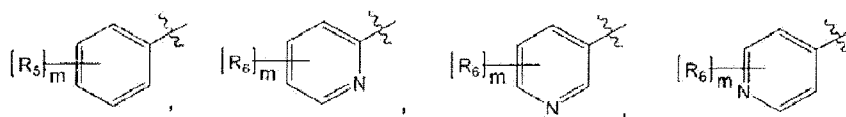
[0018] Unless stated otherwise, herein the term "unsaturated heterocyclyl" means a 5- or 12-membered, unsaturated monocyclic or bicyclic ring having 1 to 5 heteroatoms selected from N, O and S. Concrete examples of unsaturated heterocyclyl include, but are not limited to, 1,3-benzodioxolyl, or heteroaryl such as pyridyl, pyrimidinyl, thienyl, pyrazinyl, quinolinyl and isoquinolinyl.

[0019] According to one aspect of the present disclosure, R_1 is selected from the group consisting of:



wherein n is 0, 1, 2, 3 or 4; each R_3 is selected from halo, hydroxy, C_1 - C_5 alkyl, C_1 - C_5 alkoxy, C_1 - C_5 alkylthio, amino, di(C_1 - C_5 alkyl)amino, cyano, formyl, halo- C_1 - C_5 alkyl, hydroxy- C_1 - C_5 alkyl, C_1 - C_5 alkoxy- C_1 - C_5 alkyl, carbamoyloxy- C_1 - C_5 alkyl, C_1 - C_5 alkyl- $C(O)O$ - C_1 - C_5 alkyl, di(C_1 - C_5 alkyl)amino- C_1 - C_5 alkyl and 5- or 6-membered heterocycloalkyl- C_1 - C_5 alkyl; and each R_4 is selected from halo, hydroxy, C_1 - C_5 alkyl, C_1 - C_5 alkoxy and halo- C_1 - C_5 alkyl; and

R_2 is selected from the group consisting of:



wherein m is 0, 1, 2, 3 or 4; R_5 is selected from halo, deuterium, hydroxy and C_1 - C_5 alkyl; and R_6 is selected from halo and C_1 - C_5 alkyl.

[0020] According to one aspect of the present disclosure, in Chemical Formula (1), R_1 represents phenyl which is unsubstituted or substituted with 1 to 3 substituents selected from halo, hydroxy, C_1 - C_5 alkyl, C_1 - C_5 alkoxy, C_1 - C_5 alkylthio, amino, di(C_1 - C_5 alkyl)amino, cyano, formyl, halo- C_1 - C_5 alkyl, hydroxy- C_1 - C_5 alkyl, C_1 - C_5 alkoxy- C_1 - C_5 alkyl, carbamoyloxy- C_1 - C_5 alkyl and C_1 - C_5 alkyl- $C(O)O$ - C_1 - C_5 alkyl; or 5- to 10-membered, unsaturated heterocyclyl having 1-3 heteroatoms selected from N, O and S, which is unsubstituted or substituted with 1 to 3 substituents selected from halo, hydroxy, C_1 - C_5 alkyl, C_1 - C_5 alkoxy and halo- C_1 - C_5 alkyl.

[0021] According to another aspect of the present disclosure, in Chemical Formula (1), R_2

represents phenyl unsubstituted or substituted with 1 to 5 substituents selected from halo, deuterium, hydroxy and C₁-C₅ alkyl; or 5- or 6-membered heteroaryl having 1 to 3 heteroatoms selected from N, O and S, which is unsubstituted or substituted with 1 to 3 substituents selected from halo and C₁-C₅ alkyl.

[0022] According to still another aspect of the present disclosure, in Chemical Formula (1),

X represents CH or N;

Z represents O;

R₁ represents phenyl which is unsubstituted or substituted with 1 to 3 substituents selected from halo, hydroxy, C₁-C₅ alkyl, C₁-C₅ alkoxy, C₁-C₅ alkylthio, amino, di(C₁-C₅ alkyl)amino, cyano, formyl, halo-C₁-C₅ alkyl, hydroxy-C₁-C₅ alkyl, C₁-C₅ alkoxy-C₁-C₅ alkyl, carbamoyloxy-C₁-C₅ alkyl and C₁-C₅ alkyl-C(O)O-C₁-C₅ alkyl; or 5- to 9-membered, unsaturated heterocyclyl having 1 or 2 heteroatoms selected from N, O and S, which is unsubstituted or substituted with 1 or 2 substituents selected from halo, hydroxy, C₁-C₅ alkyl, C₁-C₅ alkoxy and halo-C₁-C₅ alkyl; and

R₂ represents phenyl which is unsubstituted or substituted with 1 to 5 substituents selected from halo, deuterium, hydroxy and C₁-C₅ alkyl; or 6-membered heteroaryl having 1 or 2 nitrogen atoms, which is unsubstituted or substituted with 1 or 2 substituents selected from halo and C₁-C₅ alkyl.

[0023] According to still another aspect of the present disclosure, in Chemical Formula (1),

[0024] R₁ represents phenyl which is unsubstituted or substituted with 1 to 3 substituents selected from halo, hydroxy, C₁-C₅ alkyl, C₁-C₅ alkoxy, C₁-C₅ alkylthio, amino, di(C₁-C₅ alkyl)amino, cyano, formyl, halo-C₁-C₅ alkyl, hydroxy-C₁-C₅ alkyl, C₁-C₅ alkoxy-C₁-C₅ alkyl, carbamoyloxy-C₁-C₅ alkyl and C₁-C₅ alkyl-C(O)O-C₁-C₅ alkyl;

[0025] 1,3-benzodioxolyl which is unsubstituted or substituted with 1 or 2 halo; or pyridyl or pyrimidinyl which is unsubstituted or substituted with 1 or 2 substituents selected from halo, hydroxy, C₁-C₅ alkyl, C₁-C₅ alkoxy and halo-C₁-C₅ alkyl; and

[0026] R₂ represents phenyl which is unsubstituted or substituted with 1 to 5 substituents selected from halo, deuterium, hydroxy and C₁-C₅ alkyl; or pyridyl which is unsubstituted or substituted with 1 or 2 substituents selected from halo and C₁-C₅ alkyl.

[0027] According to still another aspect of the present disclosure, in Chemical Formula (1),

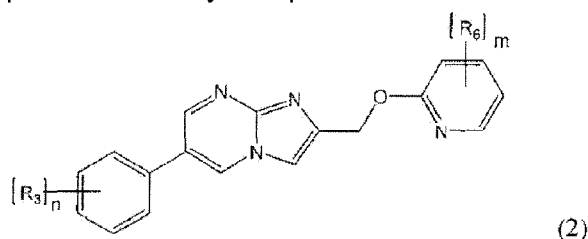
X represents CH;

Z represents O;

R₁ represents phenyl which is unsubstituted or substituted with 1 to 3 substituents selected from halo, hydroxy, C₁-C₅ alkyl, C₁-C₅ alkoxy, C₁-C₅ alkylthio, amino, halo-C₁-C₅ alkyl, hydroxy-C₁-C₅ alkyl and C₁-C₅ alkoxy-C₁-C₅ alkyl; and

R₂ represents pyridyl which is unsubstituted or substituted with 1 or 2 substituents selected from halo and C₁-C₅ alkyl.

[0028] In an embodiment, there is provided a compound of Chemical Formula (2) or a pharmaceutically acceptable salt thereof:



wherein n is 0, 1, 2 or 3;

each R₃ is independently selected from halo, hydroxy, C₁-C₅ alkyl, C₁-C₅ alkoxy, C₁-C₅ alkylthio, amino, di(C₁-C₅ alkyl)amino, cyano, formyl, halo-C₁-C₅ alkyl, hydroxy-C₁-C₅ alkyl, C₁-C₅ alkoxy-C₁-C₅ alkyl, carbamoyloxy-C₁-C₅ alkyl, C₁-C₅ alkyl-C(O)O-C₁-C₅ alkyl, di(C₁-C₅ alkyl)amino-C₁-C₅ alkyl and 5- or 6-membered heterocycloalkyl-C₁-C₅ alkyl wherein the heterocycloalkyl has 1-3 heteroatoms selected from N, O and S;

m is 0, 1, 2 or 3; and

each R₆ is independently selected from halo and C₁-C₅ alkyl.

[0029] In various embodiments, n is 0, 1 or 2; each R₃ is selected from halo, halo-C₁-C₅ alkyl, C₁-C₅ alkyl, and hydroxy-C₁-C₅ alkyl; m is 0 or 1; and R₆ is halo. In a particular embodiment, n is 1 or 2; each R₃ is selected from fluoro, fluoromethyl, trifluoromethyl, methyl, and hydroxymethyl; m is 0 or 1; and R₆ is fluoro.

[0030] The compounds of Chemical Formula (1) according to the present disclosure include, but are not limited to, the following compounds:

6-(2-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

2-phenoxyethyl-6-phenylimidazo[1,2-a]pyrimidine;

6-(2,4-difluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(4-fluoro-2-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2,3-difluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(3-aminophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2-aminophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(3-amino-6-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(3-amino-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(3-chloro-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2-dimethylaminophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2-chloro-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2-hydroxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(3-hydroxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(4-fluoro-2-trifluoromethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(3,4-difluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2-methoxy-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(4-fluoro-3-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(4-chloro-2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(4-cyano-2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(7-fluorobenzo[1,3]dioxol-4-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(4-fluoro-2-hydroxyethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(4-fluoro-2-methylthiophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2-amino-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2,4-difluoro-5-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;

6-(2-fluoropyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(6-methoxypyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(6-fluoropyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(4-methylpyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(2,6-difluoropyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(6-chloropyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(2-fluoropyridin-4-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(3-chloropyridin-4-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(4-chlorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(6-fluoro-4-methyl-3-pyridyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(6-fluoro-5-methyl-3-pyridyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(5-fluoro-2-pyridyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(2,4-difluorophenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(4-fluoro-2-methoxyphenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(4-fluoro-3-hydroxyphenyl)-2-(3-fluorophenoxyethyl)-imidazo[1,2-a]pyrimidine;
6-(2-aminophenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(3-chloropyridin-4-yl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(4-methylpyridin-3-yl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(2,4-difluorophenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(4-fluoro-2-methylphenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(3-chloropyridin-4-yl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(2,6-dimethylphenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
2-[(4-fluorophenoxy)methyl]-6-(6-fluoro-3-pyridyl)imidazo[1,2-a]pyrimidine;
4-[[6-(4-fluorophenyl)imidazo[1,2-a]pyrimidin-2-yl]methoxy]phenol;
2-[(4-fluorophenoxy)methyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidine;
2-[(3-fluorophenoxy)methyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidine;

2-fluoro-5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 2-[(4-fluorophenoxy)methyl]-6-phenyl-imidazo[1,2-a]pyrimidine;
 5-fluoro-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 5-fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 6-(4-fluorophenyl)-2-[(2,3,4,5,6-pentadeuteriophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(o-tolyl)imidazo[1,2-a]pyrimidine;
 6-(5-fluoro-2-methyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine
 2-[(4-fluorophenoxy)methyl]-6-(2-fluoro-4-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 6-(2-fluoro-4-methyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine
 2-[(4-fluorophenoxy)methyl]-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 6-(2-chloro-4-fluoro-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 4-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitrile;
 6-(4-chloro-2-methoxy-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-fluoro-5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 6-(2,6-difluoro-3-pyridyl)-2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-2-methyl-aniline;
 4,5-difluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-5-methyl-aniline;
 5-chloro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-4-methyl-aniline;
 5-fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 2-[(4-fluorophenoxy)methyl]-6-(4-methyl-3-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(3-fluorophenoxy)methyl]-6-(2-fluoro-4-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(3-fluorophenoxy)methyl]-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine;
 2-[(3-fluorophenoxy)methyl]-6-(6-fluoro-3-pyridyl)imidazo[1,2-a]pyrimidine;

2-[(3-fluorophenoxy)methyl]-6-(2-fluoro-3-pyridyl)imidazo[1,2-a]pyrimidine;
 6-(5,6-difluoro-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-2-methoxy-aniline;
 2-[(4-fluorophenoxy)methyl]-6-(5-fluoro-2-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(5-methoxy-2-pyridyl)imidazo[1,2-a]pyrimidine;
 6-(4-chloro-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 6-(5-chloro-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(5-methoxy-3-pyridyl)imidazo[1,2-a]pyrimidine;
 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]pyridin-2-ol;
 6-(6-fluoro-5-methyl-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(6-methyl-3-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(3-fluorophenoxy)methyl]-6-(5-fluoro-2-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(3-fluorophenoxy)methyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine;
 4-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitrile;
 [5-fluoro-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 [5-fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 6-(4-fluoro-2-methylsulfanyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(2-methoxy-4-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-4-methyl-aniline;
 5-fluoro-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 6-(4-fluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methoxyphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2,4-difluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2,3-difluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;

6-(5-fluoro-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(3-fluoro-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(7-fluoro-2H-benzo[1,3]dioxol-4-yl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-chloro-2-methoxyphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(3-fluoro-2-methoxy-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 6-[4-fluoro-2-(trifluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methyl-phenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(2-ethylphenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methyl-phenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(2-fluoro-4-methyl-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methoxy-phenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 2-[(5-fluoro-2-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine;
 6-(2,4-difluorophenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(3-fluoro-2-methoxy-phenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(2,3-difluorophenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(4-fluorophenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(3-fluoro-2-methyl-phenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluoro-2-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine;
 2-[(5-fluoro-2-pyridyl)oxymethyl]-6-(o-tolyl)imidazo[1,2-a]pyrimidine;
 6-(4-chloro-2-methyl-phenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(2,4-dimethylphenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(4-fluorophenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 2-(2-pyridyloxymethyl)-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine;
 2-[(5-fluoro-2-pyridyl)oxymethyl]-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine;
 6-(5-fluoro-2-pyridyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 2-fluoro-5-[2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 2-fluoro-5-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]aniline;

[5-fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 3-methoxy-4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]benzonitrile;
 4-[2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benz onitrile;
 6-(4-fluoro-2-methylsulfanyl-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidi ne;
 [5-fluoro-2-[2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl] methanol;
 4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]benzonitrile;
 6-[4-fluoro-2-(methoxymethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimi dine;
 [2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]-5-(trifluoromethyl)phenyl] methanol;
 6-(2-isopropylphenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]-3-(trifluoromethyl)benzalde hyde;
 6-[4-chloro-2-(trifluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimi dine;
 6-(4-fluorophenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methoxyphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2,4-difluorophenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methylphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-hydroxyphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methoxyphenyl)-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2,4-difluorophenyl)-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2-methylphenyl)-2-(5-fluoro-pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methylphenyl)-2-(5-fluoro-pyridin-3-yloxymethyl)imidazo[1,2-a]pyri midine;
 6-(4-fluoro-2-methoxyphenyl)-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyri midine;
 6-(4-fluoro-2-hydroxyphenyl)-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyri midine;
 6-(2,4-difluorophenyl)-2-(6-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methylphenyl)-2-(6-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimi dine;
 6-(4-fluoro-2-methylphenyl)-2-(2-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimi dine;
 6-(4-fluoro-2-methylphenyl)-2-(5-chloropyridin-3-yloxymethyl)imidazo[1,2-a]pyrim idine;

6-(2,4-difluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methylphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methoxyphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2,3-difluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2-fluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-hydroxyphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2-methylphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2-hydroxyphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-hydroxymethylphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluorophenyl)-2-[(5-fluoro-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 2-[(2-chloro-4-pyridyl)oxymethyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidine;
 2-[(5-fluoro-3-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine;
 2-[(2-fluoro-4-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine;
 5-fluoro-2-[2-[(5-fluoro-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 5-fluoro-2-[2-[(2-fluoro-4-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 [5-fluoro-2-[2-[(5-fluoro-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 2-(2,4-difluorophenyl)-6-(phenoxymethyl)imidazo[1,2-b][1,2,4]triazine;
 2-(2,4-difluorophenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(2,4-difluorophenyl)-6-(pyridin-2-yloxy)methylimidazo[1,2-b][1,2,4]triazine;
 2-(4-fluorophenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(2-methylphenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(2,4-difluorophenyl)-6-((2-fluoropyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(4-fluoro-2-methylphenyl)-6-((2-fluoropyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(2-methylphenyl)-6-((2-fluoropyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-[4-fluoro-2-(trifluoromethyl)phenyl]-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;
 2-(3-fluoro-2-methylphenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;

2-(4-fluoro-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;
 2-[4-chloro-2-(trifluoromethyl)phenyl]-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4] triazine;
 2-(4-chloro-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;
 2-(4-fluoro-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;
 2-(4-fluoro-2-methyl-phenyl)-6-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-b][1,2,4] triazine;
 [5-fluoro-2-(2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl)phenyl]methyl carbamate;
 [5-fluoro-2-[2-(phenoxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methyl carbamate;
 [5-fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methyl carbamate;
 [5-fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methyl acetate;
 6-[2-(chloromethyl)-4-fluoro-phenyl]-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 6-[4-fluoro-2-(fluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine; and
 6-[4-fluoro-2-(fluoromethyl)phenyl]-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine.

[0031] In various embodiments, R₁ and R₂ are optionally substituted phenyl and such compounds include, but are not limited to, the following compounds:

6-(2-fluorophenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine;
 phenoxymethyl-6-phenylimidazo[1,2-a]pyrimidine;
 6-(2,4-difluorophenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine;
 6-(2-methoxyphenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine;
 6-(2-methylphenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methylphenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine;
 6-(2,3-difluorophenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine;
 6-(4-fluorophenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine;
 6-(3-aminophenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine;
 6-(2-aminophenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine;
 6-(3-amino-6-methylphenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine;

6-(3-amino-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(3-chloro-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(2-dimethylaminophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(2-chloro-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(2-hydroxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(3-hydroxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(4-fluoro-2-trifluoromethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(3,4-difluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(2-methoxy-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(4-fluoro-3-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(4-chloro-2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(4-cyano-2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(7-fluorobenzo[1,3]dioxol-4-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(4-fluoro-2-hydroxyethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(4-fluoro-2-methylthiophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(2-amino-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(2,4-difluoro-5-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(4-chlorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine;
6-(2,4-difluorophenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(4-fluoro-2-methoxyphenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(4-fluoro-3-hydroxyphenyl)-2-(3-fluorophenoxyethyl)-imidazo[1,2-a]pyrimidine;
6-(2-aminophenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(2,4-difluorophenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(4-fluoro-2-methylphenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
6-(2,6-dimethylphenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidine;
4-[[6-(4-fluorophenyl)imidazo[1,2-a]pyrimidin-2-yl]methoxy]phenol;
2-[(4-fluorophenoxy)methyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidine;

2-[(3-fluorophenoxy)methyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidine;
 2-fluoro-5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 2-[(4-fluorophenoxy)methyl]-6-phenyl-imidazo[1,2-a]pyrimidine;
 5-fluoro-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 5-fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 6-(4-fluorophenyl)-2-[(2,3,4,5,6-pentadeuteriophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(o-tolyl)imidazo[1,2-a]pyrimidine;
 6-(5-fluoro-2-methyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine ;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 6-(2-fluoro-4-methyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine ;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 6-(2-chloro-4-fluoro-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 4-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitrile;
 6-(4-chloro-2-methoxy-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-fluoro-5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-2-methyl-aniline;
 4,5-difluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-5-methyl-aniline;
 5-chloro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-4-methyl-aniline;
 5-fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-2-methoxy-aniline;
 2-[(3-fluorophenoxy)methyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine;
 4-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitrile;
 [5-fluoro-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 [5-fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;

6-(4-fluoro-2-methylsulfanyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyr imidine;
 2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-4-methyl-aniline;
 5-fluoro-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 2-(2,4-difluorophenyl)-6-(phoxymethyl)imidazo[1,2-b][1,2,4]triazine;
 [5-fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]meth yl carbamate;
 [5-fluoro-2-[2-(phoxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methyl carbamate
 6-[2-(chloromethyl)-4-fluoro-phenyl]-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyr imidine; and
 6-[4-fluoro-2-(fluoromethyl)phenyl]-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyri midine.

[0032] In various embodiments, R₁ is optionally substituted pyridinyl and R₂ is optionally substituted phenyl, and such compounds include, but are not limited to, the following compounds:

6-(2-fluoropyridin-3-yl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(6-methoxypyridin-3-yl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(6-fluoropyridin-3-yl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(4-methylpyridin-3-yl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(2,6-difluoropyridin-3-yl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(6-chloropyridin-3-yl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(2-fluoropyridin-4-yl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(3-chloropyridin-4-yl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(6-fluoro-4-methyl-3-pyridyl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(6-fluoro-5-methyl-3-pyridyl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(5-fluoro-2-pyridyl)-2-phoxymethylimidazo[1,2-a]pyrimidine;
 6-(3-chloropyridin-4-yl)-2-(3-fluorophoxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-methylpyridin-3-yl)-2-(3-fluorophoxymethyl)imidazo[1,2-a]pyrimidine;
 6-(3-chloropyridin-4-yl)-2-(4-fluorophoxymethyl)imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(6-fluoro-3-pyridyl)imidazo[1,2-a]pyrimidine;

2-[(4-fluorophenoxy)methyl]-6-(2-fluoro-4-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine;
 6-(2,6-difluoro-3-pyridyl)-2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(4-methyl-3-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(3-fluorophenoxy)methyl]-6-(2-fluoro-4-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(3-fluorophenoxy)methyl]-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine;
 2-[(3-fluorophenoxy)methyl]-6-(6-fluoro-3-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(3-fluorophenoxy)methyl]-6-(2-fluoro-3-pyridyl)imidazo[1,2-a]pyrimidine;
 6-(5,6-difluoro-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(5-fluoro-2-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(5-methoxy-2-pyridyl)imidazo[1,2-a]pyrimidine;
 6-(4-chloro-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 6-(5-chloro-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(5-methoxy-3-pyridyl)imidazo[1,2-a]pyrimidine;
 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]pyridin-2-ol;
 6-(6-fluoro-5-methyl-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluorophenoxy)methyl]-6-(6-methyl-3-pyridyl)imidazo[1,2-a]pyrimidine;
 2-[(3-fluorophenoxy)methyl]-6-(5-fluoro-2-pyridyl)imidazo[1,2-a]pyrimidine; and
 2-[(4-fluorophenoxy)methyl]-6-(2-methoxy-4-pyridyl)imidazo[1,2-a]pyrimidine.

[0033] In various embodiments, R₁ is optionally substituted phenyl and R₂ is optionally substituted pyridinyl, and such compounds include, but are not limited to, the following compounds:

6-(4-fluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methoxyphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2,4-difluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;

6-(4-fluoro-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2,3-difluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(5-fluoro-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(3-fluoro-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(7-fluoro-2H-benzo[1,3]dioxol-4-yl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-chloro-2-methoxyphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(3-fluoro-2-methoxy-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 6-[4-fluoro-2-(trifluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methyl-phenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(2-ethylphenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methyl-phenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(2-fluoro-4-methyl-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methoxy-phenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 2-[(5-fluoro-2-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine;
 6-(2,4-difluorophenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(3-fluoro-2-methoxy-phenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(2,3-difluorophenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(4-fluorophenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(3-fluoro-2-methyl-phenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 2-[(4-fluoro-2-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine;
 2-[(5-fluoro-2-pyridyl)oxymethyl]-6-(o-tolyl)imidazo[1,2-a]pyrimidine;
 6-(4-chloro-2-methyl-phenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(2,4-dimethylphenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 6-(4-fluorophenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 2-fluoro-5-[2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 2-fluoro-5-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]aniline;
 [5-fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;

3-methoxy-4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]benzonitrile;
 4-[2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benz onitrile;
 6-(4-fluoro-2-methylsulfanyl-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidi ne;
 [5-fluoro-2-[2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl] methanol;
 4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]benzonitrile;
 6-[4-fluoro-2-(methoxymethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimi dine;
 [2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]-5-(trifluoromethyl)phenyl] methanol;
 6-(2-isopropylphenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine;
 4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]-3-(trifluoromethyl)benzalde hyde;
 6-[4-chloro-2-(trifluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimi dine;
 6-(4-fluorophenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methoxyphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2,4-difluorophenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methylphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-hydroxyphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methoxyphenyl)-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2,4-difluorophenyl)-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2-methylphenyl)-2-(5-fluoro-pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methylphenyl)-2-(5-fluoro-pyridin-3-yloxymethyl)imidazo[1,2-a]pyri midine;
 6-(4-fluoro-2-methoxyphenyl)-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyri midine;
 6-(4-fluoro-2-hydroxyphenyl)-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyri midine;
 6-(2,4-difluorophenyl)-2-(6-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methylphenyl)-2-(6-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimi dine;
 6-(4-fluoro-2-methylphenyl)-2-(2-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimi dine;
 6-(4-fluoro-2-methylphenyl)-2-(5-chloropyridin-3-yloxymethyl)imidazo[1,2-a]pyrim idine;
 6-(2,4-difluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;

6-(4-fluoro-2-methylphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-methoxyphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2,3-difluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2-fluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-hydroxyphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2-methylphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(2-hydroxyphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluoro-2-hydroxymethylphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine;
 6-(4-fluorophenyl)-2-[(5-fluoro-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine;
 2-[(2-chloro-4-pyridyl)oxymethyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidine;
 2-[(5-fluoro-3-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine;
 2-[(2-fluoro-4-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine;
 5-fluoro-2-[2-[(5-fluoro-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 5-fluoro-2-[2-[(2-fluoro-4-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]aniline;
 [5-fluoro-2-[2-[(5-fluoro-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 2-(2,4-difluorophenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(2,4-difluorophenyl)-6-((pyridin-2-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(4-fluorophenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(2-methylphenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(2,4-difluorophenyl)-6-((2-fluoropyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(4-fluoro-2-methylphenyl)-6-((2-fluoropyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-(2-methylphenyl)-6-((2-fluoropyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine;
 2-[4-fluoro-2-(trifluoromethyl)phenyl]-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;
 2-(3-fluoro-2-methylphenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;
 2-(4-fluoro-2-methylphenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;
 2-[4-chloro-2-(trifluoromethyl)phenyl]-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;

2-(4-chloro-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;
 2-(4-fluoro-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine;
 2-(4-fluoro-2-methyl-phenyl)-6-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-b][1,2,4]triazine;
 [5-fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methyl carbamate;
 [5-fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methyl acetate; and
 6-[4-fluoro-2-(fluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine.

In various embodiments, both R_1 and R_2 are optionally substituted pyridinyl, and such compounds include, but are not limited to, the following compounds:

2-(2-pyridyloxymethyl)-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine;
 2-[(5-fluoro-2-pyridyl)oxymethyl]-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine; and
 6-(5-fluoro-2-pyridyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine.

[0034] As described herein, it is confirmed that the compounds of Chemical Formula (1) are effective as a positive allosteric modulator of metabotropic glutamate receptor subtype 5 (mGluR5 PAM). Also, they have selective activity as a positive allosteric modulator of mGluR5 PAM. Such medicinal effects of the compounds of Chemical Formula (1) can be maintained in the form of pharmaceutically acceptable salts.

[0035] Therefore, in still another aspect of the present disclosure, there is provided a pharmaceutical composition for the prevention or treatment of disorder mediated by glutamate dysfunction and metabotropic glutamate receptor subtype 5 (mGluR5) comprising a therapeutically effective amount of the compound of Chemical Formula (1) or a pharmaceutically acceptable salt thereof as an active ingredient, together with a pharmaceutically acceptable carrier or excipient. The pharmaceutical composition may further comprise one or more additives selected from the group consisting of a pharmaceutically acceptable carrier, diluent and adjuvant.

[0036] Specifically, the pharmaceutical composition may be a composition for a positive allosteric modulator of mGluRS.

[0037] In addition, the pharmaceutical composition may be a composition for the prevention and/or treatment of disorders mediated by glutamate dysfunction and mGluR5. The disorders mediated by glutamate dysfunction and mGluR5 may be, for example, schizophrenia, and include any disorders known as being related to glutamate dysfunction and mGluR5. In this regard, the article (N. Matosin et al., Schizophrenia Research, 2013, Vol. 146, pp. 170-176) reported the relation between a positive allosteric modulator of mGluR5 and the treatment of

schizophrenia.

[0038] Thus, according to the invention, there is provided a pharmaceutical composition for use in the prevention or treatment of disorder mediated by glutamate dysfunction and metabotropic glutamate receptor subtype 5 (mGluR5) which is schizophrenia comprising a therapeutically effective amount of a compound of Chemical formula (1) or a pharmaceutically acceptable salt thereof as an active ingredient, together with a pharmaceutically acceptable carrier or excipient.

[0039] The pharmaceutically acceptable salt includes any acid or base addition salts, and any stereochemical isomer thereof. These salts are not specifically limited and may be any salt that is able to retain activity of a parent compound thereof in a target subject and does not cause any undesirable effect. Examples of these salts are both inorganic and organic salts, such as acetic acid, nitric acid, aspartic acid, sulfonic acid, sulfuric acid, maleic acid, glutamic acid, formic acid, succinic acid, phosphoric acid, phthalic acid, tannic acid, tartaric acid, hydrobromic acid, propionic acid, benzenesulfonic acid, benzoic acid, stearic acid, cresylic acid, lactic acid, bicarbonic acid, bisulfuric acid, bitartaric acid, oxalic acid, butylic acid, calcium edatate, camsylic acid, carbonic acid, chlorobenzoic acid, citric acid, edetic acid, toluenesulfonic acid, cdcylinic acid, ccylinic acid, fumaric acid, gluceptic acid, pamoic acid, gluconic acid, glycollarsanylic acid, methyl nitrate, polygalactronic acid, hexyllisorcynonic acid, malonic acid, hydrobamic acid, hydrochlorinic acid, hydroiodic acid, hydroxynaphtholic acid, isethionic acid, lactobionic acid, mandelic acid, cystolinic acid, mucic acid, muconic acid, p-nitromethanesulfonic acid, hexamic acid, phantothenic acid, monohydrogen phosphoric acid, dihydrogen phosphoric acid, salicylic acid, sulfamine acid, sulfanilic acid, methanesulfonic acid and theoclic acid. In addition, examples of a basic salt are an ammonium salt, a salt of an alkali or alkali earth metal such as lithium, sodium, potassium, magnesium, or calcium, a salt containing an organic base such as benzathine, N-methyl-D-glucamine, or hydrabamine, and a salt containing an amino acid such as arginine or lysine. These salts may be converted into a free form by treatment with appropriate acid or base. The term "addition salt" may be taken to include solvates obtainable from any of the compounds of Chemical Formula (1) and salts thereof. Examples of these solvates are hydrates and alcoholates.

[0040] The pharmaceutical composition may be formulated into various types for oral or parenteral administration. For example, it may be formulated into any dosage form for oral administration such as tablets, pills, soft/hard capsules, solutions, suspensions, emulsions, syrups, granules and elixirs. Besides the effective ingredient, such a dosage form for oral administration may further include any pharmaceutically acceptable carriers depending on a typical construction of each formulation-for example, diluents such as lactose, dextrose, sucrose, mannitol, sorbitol, cellulose and/or glycine, or lubricants such as silica, talc, steric acid and its magnesium or calcium salt, and/or polyethylene glycol.

[0041] In addition, in case the formulation for oral administration is in a tablet form, it may also comprise binding agents such magnesium aluminum silicate, starch paste, gelatin, gum tragacanth, methyl cellulose, sodium carboxymethyl cellulose, and/or polyvinyl pyrrolidone, and

if desired, it may also include disintegrating agents such as starch, agar, or alginic acid or its sodium salt, or a boiling mixture, and/or an absorbing agent, a colorant, a flavoring agent, or a sweetening agent.

[0042] The pharmaceutical composition may be formulated into a form of parenteral administration. In this case, it may be administered by means of parenteral administration methods such as a hypodermic injection, an intravenous injection, an intramuscular injection or an intrathoracic injection. In order for the pharmaceutical composition of the present disclosure to be formulated into a dosage form for parenteral administration, the effective ingredient (i.e., the compound of Chemical Formula (1) or a pharmaceutically acceptable salt thereof) may be mixed with a stabilizer or a buffering agent in water to prepare as a solution or a suspension, and this solution or suspension may then be produced as a unit dosage form such as an ampoule or a vial.

[0043] In addition, the pharmaceutical composition may be sterilized or may further comprise an adjuvant such as a preservative, a stabilizing agent, a hydrating agent, an emulsifying agent, or a salt for controlling osmotic pressure and/or a buffering agent, and it may further include other therapeutically beneficial substances and may be formulated in accordance with conventional methods of mixing, granulation or coating.

[0044] The pharmaceutical composition may comprise the effective ingredient-i.e., the compound of Chemical Formula (1) or a pharmaceutically acceptable salt thereof in an effective amount of 0.1 to 500 mg/kg (body weight), preferably 0.5 to 100 mg/kg (body weight) per day in case of mammals including a human, and such a pharmaceutical composition may be divided into one, or two or more doses per day and administered via an oral or parenteral route.

[0045] In still another aspect, the present disclosure also provides a role as a positive allosteric modulator of metabotropic glutamate receptor subtype 5 (mGluR5), comprising the step of administering a therapeutically effective amount of the compound of Chemical Formula (1) or a pharmaceutically acceptable salt thereof to a patient in need thereof. The modulation method may further comprise a step of identifying the patient who is in need of positive allosteric modulation of mGluR5 prior to the step of administration.

[0046] In addition, the present disclosure provides a method for the prevention and/or treatment of disorders mediated by glutamate dysfunction and mGluR5, comprising the step of administering a therapeutically effective amount of the compound of Chemical Formula (1) or a pharmaceutically acceptable salt thereof to a patient in need thereof. The method for the prevention and/or treatment may further comprise a step of identifying the patient who is in need of the prevention and/or treatment of disorders mediated by glutamate dysfunction and mGluR5 prior to the step of administration.

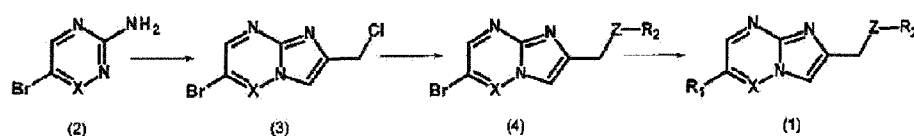
[0047] The disorders mediated by glutamate dysfunction and mGluR5 may be-for example, schizophrenia, and include any disorders known as being related to glutamate dysfunction and

the modulation of mGluR5. The patient may be a mammal, preferably a human.

[0048] In addition, a person skilled in the art may easily select a specific administration method and a therapeutically effective amount of the compound of Chemical Formula (1) or a pharmaceutically acceptable salt thereof with no particular limitations, taking the type of the mammals to be administered and the disorder, and the specific type of the compound of Chemical Formula (1) and its activity on positive allosteric modulation of mGluR5.

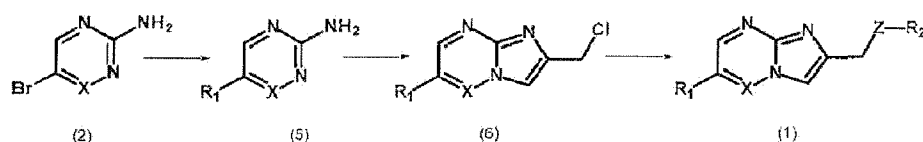
[0049] The preparation of the compound of Chemical Formula (1) may be conducted by using a known compound or a compound easily prepared therefrom in the perspective of a person skilled in the art regarding a chemical synthesis. Therefore, the following explanations about the method of preparing the compound of Chemical Formula (1) merely present exemplary methods and if necessary, the order of the unit operation may be selectively altered and does not limit the scope of the disclosure.

[Reaction Scheme 1]



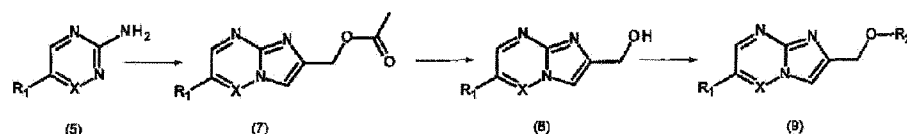
[0050] In a general synthesis method, from compound (2) as a starting material a heterocycle synthesis reaction is carried out with dichloroacetone to obtain imidazopyrimidine or imidazotriazine derivative (3). From this compound, a nucleophilic reaction is carried out to obtain compound (4), and then the final compound (1) can be obtained via Suzuki coupling reaction.

[Reaction Scheme 2]



[0051] In another synthesis method, from compound (2) as a starting material Suzuki coupling reaction is carried out to obtain compound (5) in which aryl or heteroaryl is substituted. Then, a heterocycle synthesis reaction is carried out with the obtained compound and dichloroacetone to obtain imidazopyrimidine or imidazotriazine derivative (6). From this compound, a nucleophilic reaction is carried out to obtain the final compound (1).

[Reaction Scheme 3]



[0052] A heterocycle synthesis reaction is carried out with compound (5) obtained in Reaction

Scheme 2 and 1-acetoxy-3-chloroacetone to obtain compound (7), and the obtained compound is then hydrolyzed to obtain compound (8). An aromatic nucleophilic reaction of compound (8) is carried out to obtain the final compound (9).

Advantageous Effects of Invention

[0053] According to the present disclosure, a novel imidazopyrimidine and imidazotriazine derivative, and a pharmaceutically acceptable salt thereof showing excellent effect on positive allosteric modulation of metabotropic glutamate receptor subtype 5 (mGluR5) are provided. Therefore, such imidazopyrimidine and imidazotriazine derivative, and a pharmaceutically acceptable salt thereof can be effectively used in the prevention or treatment of disorders mediated by glutamate dysfunction and mGluR5 such as schizophrenia.

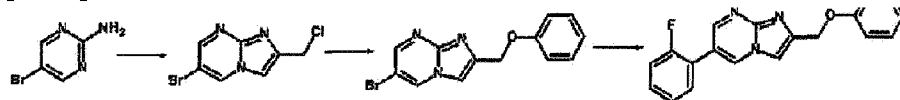
[0054] In addition, according to the present disclosure, a method of preparing the novel imidazopyrimidine and imidazotriazine derivative, a pharmaceutical composition comprising the same and a method of positive allosteric modulation of mGluR5 by using the same, and a method for the treatment of disorders mediated by glutamate dysfunction and mGluR5 are provided.

Mode for the Invention

[0055] Hereinafter, the present disclosure is explained in more detail with the following examples. However, it must be understood that the protection scope of the present disclosure is not limited to the examples.

Example 1: Synthesis of 6-(2-fluorophenyl)-2-phenoxymethylimidazo [1,2-a]pyrimidine

[0056]



Example 1-1: Synthesis of 6-bromo-2-chloromethylimidazo[1,2-a]pyrimidine

[0057] 5-Bromopyrimidin-2-amine (2 g, 11.5 mmol) and 1,3-dichloropropan-2-one (2.9 g, 23 mmol) were dissolved in DMF (20 ml), and then agitated at 110°C for 2 hours. After confirmation of the reaction termination by liquid chromatography, the reaction solution was diluted with ethyl acetate and washed three times with water. Then, the solution was dried with

magnesium sulfate and filtrated. This was under reduced pressure, and the resulting solids were washed with ethyl acetate to obtain the title compound (amount: 0.85 g, yield: 30%).

Example 1-2: Synthesis of 6-bromo-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0058] 6-Bromo-2-chloromethylimidazo[1,2-a]pyrimidine (2 g, 8.11 mmol) and phenol (1.5 g, 16.23 mmol) were dissolved in DMF (40 ml), and potassium carbonate (3.4 g, 24.34 mmol) was added thereto at room temperature. Then, the reaction solution was agitated at 60°C for 15 hours. After confirmation of the reaction termination by liquid chromatography, the reaction solution was diluted with ethyl acetate and washed three times with water. Then, the solution was dried with magnesium sulfate and filtrated. This was under reduced pressure, and the resulting solids were washed with ethyl acetate to obtain the title compound (amount: 0.9 g, yield: 38%).

Example 1-3: Synthesis of 6-(2-fluorophenyl

)-2-phenoxyethylimidazo[1,2-a]pyrimidine synthesis

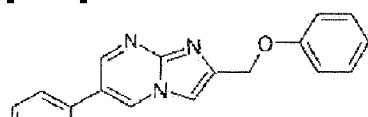
[0059] 6-Bromo-2-phenoxyethylimidazo[1,2-a]pyrimidine (0.3 g, 0.99 mmol) obtained in Example 1-2 and 2-fluorophenylboronic acid (0.2 g, 1.43 mmol) were dissolved in 1,2-dimethoxyethane (8 ml), and

[1,1'-bis(diphenylphosphine)ferrocene]dichloropalladium(II) complex dichloromethane (0.2 g, 0.24 mmol) and 2N sodium carbonate aqueous solution (1.8 ml, 3.6 mmol) were then added thereto at room temperature. Then, the reaction solution was agitated under reflux at 90°C for 6 hours. After confirmation of the reaction termination by liquid chromatography, the reaction solution was diluted with methylene chloride and filtrated by the use of Cellite™. The solution was washed twice with water, and then dried with magnesium sulfate and filtrated. This was under reduced pressure and purified by column chromatography (methylene chloride : methanol = 50 : 1) to obtain the title compound (amount: 0.1g, yield: 32%).

[0060] ¹H-NMR (CDCl₃, 500MHz) δ 8.74 (s, 1H), 8.59 (s, 1H), 7.64 (s, 1H), 7.50 (m, 1H), 7.45 (m, 1H), 7.32 (m, 3H), 7.23 (m, 1H), 7.03 (m, 2H), 6.98 (m, 1H), 5.39 (s, 2H)

Example 2: Synthesis of 2-phenoxyethyl-6-phenylimidazo[1,2-a]pyrimidine

[0061]



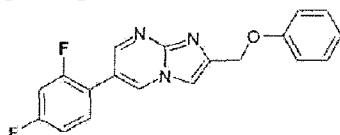


[0062] Phenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0063] ¹H-NMR (CDCl₃, 500MHz) δ 8.80 (s, 1H), 8.51 (s, 1H), 7.64 (s, 1H), 7.52 (m, 3H), 7.45 (m, 2H), 7.31 (m, 2H), 7.04 (m, 2H), 6.97 (m, 1H), 5.38 (s, 2H)

Example 3: Synthesis of 6-(2,4-difluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0064]

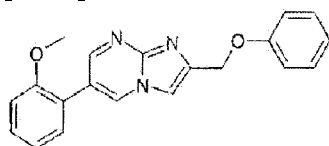


[0065] 2,4-Difluoro phenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0066] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.20 (s, 1H), 8.72 (s, 1H), 8.00 (s, 1H), 7.76 (m, 1H), 7.49 (m, 1H), 7.30 (m, 3H), 7.07 (d, 2H), 6.95 (t, 1H), 5.27 (s, 2H)

Example 4: Synthesis of 6-(2-methoxyphenyl)-2-phenoxyethylimidazo [1,2-a]pyrimidine

[0067]

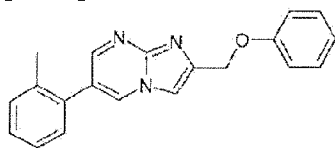


[0068] 2-Methoxyphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0069] ¹H-NMR (CDCl₃, 500MHz) δ 8.74 (s, 1H), 8.55 (s, 1H), 7.61 (s, 1H), 7.42 (m, 2H), 7.37 (m, 1H), 7.29 (m, 1H), 7.13 (m, 1H), 7.05 (m, 3H), 6.95 (m, 1H), 5.40 (s, 2H), 3.87 (s, 3H)

Example 5: Synthesis of 6-(2-methylphenyl)-2-phenoxyethylimidazo [1,2-a]pyrimidine

[0070]

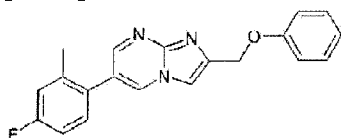


[0071] 2-Methylphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0072] ¹H-NMR (CDCl₃, 500MHz) δ 8.58 (s, 1H), 8.33 (s, 1H), 7.64 (s, 1H), 7.35 (m, 5H), 7.25 (m, 1H), 7.06 (d, 2H), 7.00 (m, 1H), 5.42 (s, 2H), 2.33 (s, 3H)

Example 6: Synthesis of 6-(4-fluoro-2-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0073]

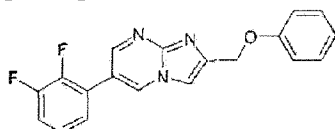


[0074] 4-Fluoro-2-methylphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0075] ¹H-NMR (CDCl₃, 500MHz) δ 8.52 (s, 1H), 8.29 (s, 1H), 7.63 (s, 1H), 7.33 (m, 2H), 7.21 (m, 1H), 7.06 (m, 3H), 6.99 (m, 2H), 5.41 (s, 2H), 2.31 (s, 3H)

Example 7: Synthesis of 6-(2,3-difluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0076]

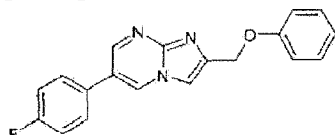


[0077] 2,3-Difluorophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0078] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.73 (s, 1H), 8.62 (s, 1H), 7.67 (s, 1H), 7.30 (m, 3H), 7.27 (m, 2H), 7.06 (m, 2H), 6.99 (m, 1H), 5.40 (s, 2H)

Example 8: Synthesis of 6-(4-fluorophenyl)-2-phenoxymethylimidazo [1,2-a]pyrimidine

[0079]

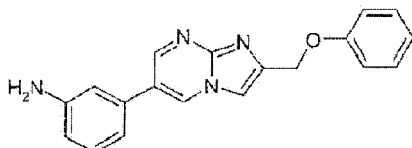


[0080] 4-Fluorophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0081] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.75 (s, 1H), 8.54 (s, 1H), 7.66 (d, 1H), 7.51 (m, 1H), 7.31 (m, 3H), 7.26 (m, 1H), 7.15 (m, 1H), 7.05 (m, 2H), 6.98 (m, 1H), 5.38 (s, 2H)

Example 9: Synthesis of 6-(3-aminophenyl)-2-phenoxymethylimidazo [1,2-a]pyrimidine

[0082]

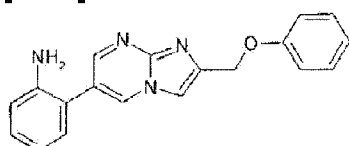


[0083] 3-Aminophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0084] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 9.15 (s, 1H), 8.77 (s, 1H), 7.95 (s, 1H), 7.31 (t, 2H), 7.15 (t, 1H), 7.07 (d, 2H), 6.95 (t, 1H), 6.86 (s, 1H), 6.83 (d, 1H), 6.64 (d, 1H), 5.27 (s, 2H), 5.25 (s, 2H)

Example 10: Synthesis of 6-(2-aminophenyl)-2-phenoxymethylimidazo [1,2-a]pyrimidine

[0085]

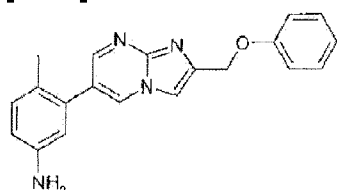


[0086] 2-Aminophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0087] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.67 (s, 1H), 8.48 (s, 1H), 7.62 (s, 1H), 7.33 (m, 2H), 7.20 (m, 1H), 7.13 (m, 1H), 7.05 (m, 2H), 6.99 (m, 1H), 6.90 (m, 1H), 6.83 (m, 1H), 5.40 (s, 2H)

Example 11: Synthesis of 6-(3-amino-6-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0088]

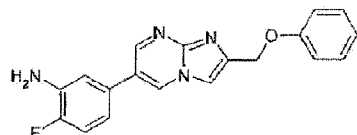


[0089] 3-Amino-6-methylphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0090] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 8.93 (s, 1H), 8.50 (s, 1H), 7.94 (s, 1H), 7.31 (t, 2H), 7.07 (d, 2H), 7.00 (m, 1H), 6.96 (m, 1H), 6.58 (d, 1H), 6.53 (s, 1H), 5.25 (s, 2H), 5.03 (s, 2H), 2.10 (s, 3H)

Example 12: Synthesis of 6-(3-amino-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0091]

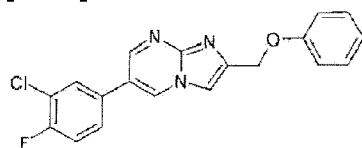


[0092] 3-Amino-4-fluorophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0093] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 9.14 (s, 1H), 8.75 (s, 1H), 7.95 (s, 1H), 7.54 (m, 2H), 7.30 (m, 2H), 7.15 (m, 1H), 7.11 (m, 2H), 6.95 (m, 1H), 5.35 (s, 2H), 5.24 (s, 2H)

Example 13: Synthesis of 6-(3-chloro-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0094]

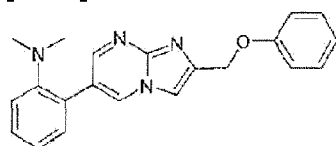


[0095] 3-Chloro-4-fluorophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0096] ¹H-NMR (CDCl₃, 500MHz) δ 8.73 (s, 1H), 8.50 (s, 1H), 7.66 (s, 1H), 7.61 (m, 1H), 7.44 (m, 1H), 7.32 (m, 3H), 7.05 (m, 2H), 7.00 (m, 1H), 5.40 (s, 2H)

Example 14: Synthesis of 6-(2-dimethylaminophenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0097]

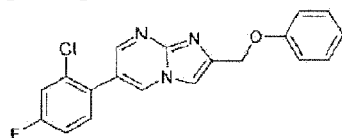


[0098] 2-Dimethylaminophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0099] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.04 (s, 1H), 8.73 (s, 1H), 7.95 (s, 1H), 7.39 (m, 1H), 7.32 (m, 3H), 7.21 (m, 1H), 7.19 (m, 2H), 6.96 (m, 2H), 5.23 (s, 2H), 2.51 (s, 6H)

Example 15: Synthesis of 6-(2-chloro-4-fluorophenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0100]



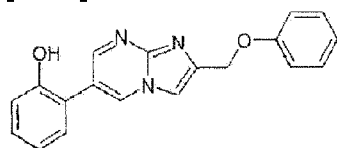
[0101] 2-Chloro-4-fluorophenylboronic acid as a starting material was used in the same

manner as in Example 1-3 to obtain the title compound.

[0102] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 9.08 (s, 1H), 8.59 (s, 1H), 7.98 (s, 1H), 7.65 (m, 2H), 7.40 (m, 1H), 7.31 (m, 2H), 7.06 (m, 2H), 6.95 (m, 1H), 5.25 (s, 2H)

Example 16: Synthesis of 6-(2-hydroxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0103]

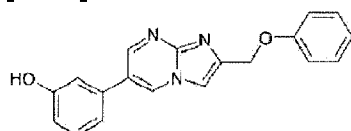


[0104] 2-Hydroxyphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0105] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.64 (s, 1H), 8.55 (s, 1H), 7.45 (s, 1H), 7.25 (m, 4H), 7.05 (m, 1H), 6.98 (m, 1H), 6.92 (m, 3H), 5.21 (s, 2H)

Example 17: Synthesis of 6-(3-hydroxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0106]



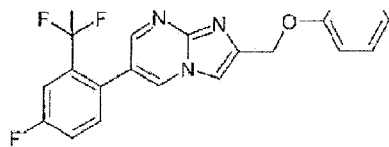
[0107] 3-Hydroxyphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0108] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.77 (s, 1H), 8.46 (s, 1H), 7.59 (s, 1H), 7.35 (m, 1H), 7.26 (m, 2H), 7.07 (m, 2H), 6.99 (m, 2H), 6.90 (m, 2H), 5.35 (s, 2H)

Example 18: Synthesis of 6-(4-fluoro-2-trifluoromethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0109]



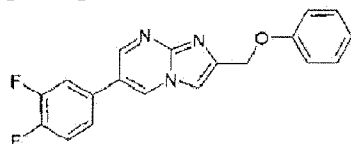


[0110] 4-Fluoro-2-trifluoromethylphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0111] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.05 (s, 1H), 8.49 (s, 1H), 8.00 (s, 1H), 7.85 (m, 1H), 7.71 (m, 2H), 7.32 (m, 2H), 7.09 (m, 2H), 6.95 (m, 1H), 5.28 (s, 2H)

Example 19: Synthesis of 6-(3,4-difluorophenyl)-2-phenoxymethylimidazo [1,2-a]pyrimidine

[0112]

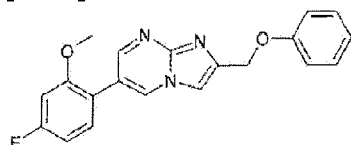


[0113] 3,4-Difluorophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0114] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.33 (s, 1H), 8.91 (s, 1H), 7.94 (m, 2H), 7.64 (m, 2H), 7.32 (m, 2H), 7.08 (m, 2H), 6.96 (m, 1H), 5.26 (s, 2H)

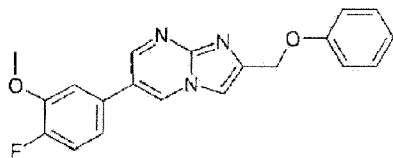
Example 20: Synthesis of 6-(2-methoxy-4-fluorophenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0115]



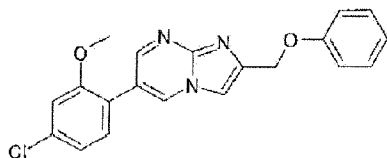
[0116] 2-Methoxy-4-fluorophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0117] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.06 (s, 1H), 8.64 (s, 1H), 7.95 (s, 1H), 7.51 (t, 1H), 7.31 (t, 2H), 7.12 (m, 1H), 7.06 (d, 2H), 6.95 (m, 2H), 5.26 (s, 2H), 3.84 (s, 3H)

Example 21: Synthesis of 6-(4-fluoro-3-methoxyphenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine**[0118]**

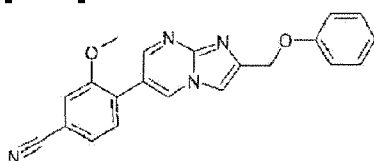
[0119] 4-Fluoro-3-methoxyphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0120] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.30 (s, 1H), 8.93 (s, 1H), 7.94 (s, 1H), 7.56 (m, 1H), 7.35 (m, 4H), 7.08 (m, 2H), 6.95 (m, 1H), 5.26 (s, 2H), 3.94 (s, 3H)

Example 22: Synthesis of 6-(4-chloro-2-methoxyphenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine**[0121]**

[0122] 4-Chloro-2-methoxyphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0123] ¹H-NMR (CDCl₃, 500MHz) δ 8.66 (s, 1H), 8.54 (s, 1H), 7.62 (s, 1H), 7.29 (m, 3H), 7.05 (m, 5H), 5.37 (s, 2H), 3.86 (s, 3H)

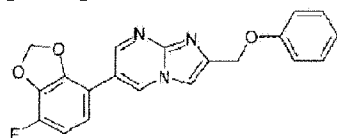
Example 23: Synthesis of 6-(4-cyano-2-methoxyphenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine**[0124]**

[0125] 4-Cyano-2-methoxyphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0126] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.70 (s, 1H), 8.63 (s, 1H), 7.66 (s, 1H), 7.49 (d, 2H), 7.32 (m, 3H), 7.06 (m, 2H), 7.01 (m, 1H), 5.40 (s, 2H), 3.93 (s, 3H)

Example 24: Synthesis of 6-(7-fluorobenzo[1,3]dioxol-4-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0127]

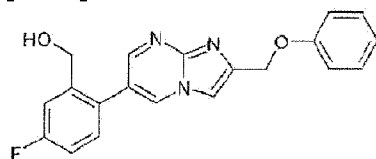


[0128] 7-Fluorobenzo[1,3]dioxol-4-ylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0129] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 9.29 (s, 1H), 8.89 (s, 1H), 8.02 (s, 1H), 7.30 (m, 3H), 7.06 (m, 3H), 6.95 (m, 1H), 6.26 (s, 2H), 5.25 (s, 2H)

Example 25: Synthesis of 6-(4-fluoro-2-hydroxymethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0130]

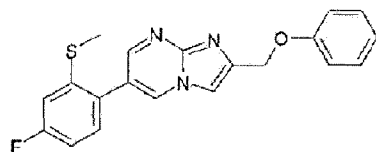


[0131] 4-Fluoro-2-hydroxymethylphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0132] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 8.99 (s, 1H), 8.56 (s, 1H), 7.95 (s, 1H), 7.43 (m, 2H), 7.32 (m, 2H), 7.27 (m, 1H), 7.06 (m, 2H), 6.95 (m, 1H), 5.44 (s, 1H), 5.27 (s, 2H), 4.47 (s, 2H)

Example 26: Synthesis of 6-(4-fluoro-2-methylthiophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0133]

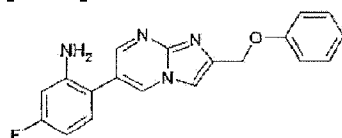


[0134] 4-Fluoro-2-methylthiophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0135] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.01 (s, 1H), 8.51 (s, 1H), 7.96 (s, 1H), 7.42 (m, 1H), 7.35 (m, 3H), 7.15 (m, 1H), 7.06 (d, 2H), 6.95 (m, 1H), 5.27 (s, 2H), 2.47 (s, 3H)

Example 27: Synthesis of 6-(2-amino-4-fluorophenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0136]

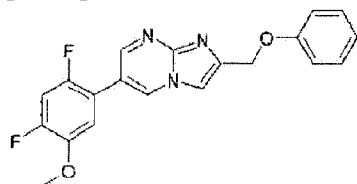


[0137] 2-Amino-4-fluorophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0138] ¹H-NMR (CDCl₃, 500MHz) δ 8.59 (s, 1H), 8.39 (s, 1H), 7.58 (s, 1H), 7.29 (m, 2H), 7.05 (m, 4H), 6.55 (m, 2H), 5.39 (s, 2H), 3.89 (s, 2H)

Example 28: Synthesis of 6-(2,4-difluoro-5-methoxyphenyl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0139]

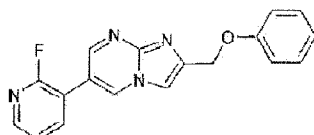


[0140] 2,4-Difluoro-5-methoxyphenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0141] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.14 (s, 1H), 8.69 (s, 1H), 7.95 (s, 1H), 7.43 (m, 1H), 7.32 (m, 3H), 7.06 (m, 2H), 6.96 (m, 1H), 5.26 (s, 2H), 3.81 (s, 3H)

Example 29: Synthesis of 6-(2-fluoropyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0142]

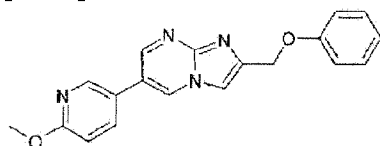


[0143] (2-Fluoropyridin-3-yl)boronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0144] ¹H-NMR (CDCl₃, 500MHz) δ 8.75 (d, 1H), 8.70 (s, 1H), 8.33 (d, 1H), 7.99 (m, 1H), 7.69 (s, 1H), 7.41 (m, 1H), 7.32 (m, 2H), 7.05 (m, 2H), 6.95 (m, 1H), 5.41 (s, 2H)

Example 30: Synthesis of 6-(6-methoxypyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0145]



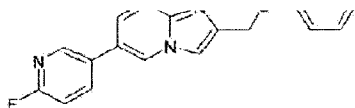
[0146] 6-Methoxypyridin-3-ylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0147] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.27 (s, 1H), 8.89 (s, 1H), 8.57 (s, 1H), 8.10 (m, 1H), 7.94 (s, 1H), 7.30 (m, 2H), 7.08 (m, 2H), 7.00 (m, 1H), 6.96 (t, 1H), 5.26 (s, 2H), 3.90 (s, 3H)

Example 31: Synthesis of 6-(6-fluoropyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0148]



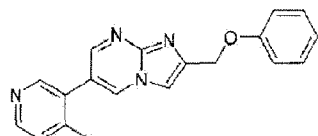


[0149] 6-Fluoropyridin-3-ylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0150] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.36 (s, 1H), 8.93 (s, 1H), 8.65 (s, 1H), 8.41 (m, 1H), 7.97 (s, 1H), 7.40 (m, 1H), 7.31 (t, 2H), 7.08 (m, 2H), 6.95 (t, 1H), 5.27 (s, 2H)

Example 32: Synthesis of 6-(4-methylpyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0151]

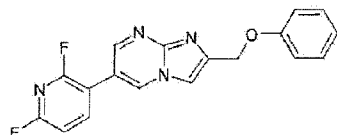


[0152] 4-Methylpyridin-3-ylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0153] ¹H-NMR (CD₃OD, 500MHz) δ 9.47 (s, 1H), 9.15 (s, 1H), 8.95 (m, 1H), 8.88 (m, 1H), 8.39 (s, 1H), 8.15 (s, 1H), 7.35 (m, 2H), 7.12 (m, 2H), 7.04 (m, 1H), 5.48 (s, 2H), 2.69 (s, 3H)

Example 33: Synthesis of 6-(2,6-difluoropyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0154]



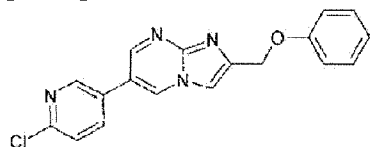
[0155] 2,6-Difluoropyridin-3-ylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0156] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.28 (s, 1H), 8.78 (s, 1H), 8.49 (q, 1H), 8.03 (s, 1H), 7.40 (d, 1H), 7.30 (t, 2H), 7.07 (d, 2H), 6.95 (t, 1H), 5.27 (s, 2H)

Example 34: Synthesis of 6-(6-chloropyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

a]pyrimidine

[0157]

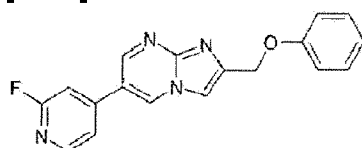


[0158] 6-Chloropyridin-3-ylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0159] ¹H-NMR (CD₃OD, 500MHz) δ 9.58 (s, 1H), 9.37 (s, 1H), 8.82 (s, 1H), 8.32 (s, 1H), 8.25 (d, 1H), 7.71 (m, 1H), 7.35 (m, 2H), 7.11 (m, 2H), 7.04 (m, 1H), 5.46 (s, 2H)

Example 35: Synthesis of 6-(2-fluoropyridin-4-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0160]

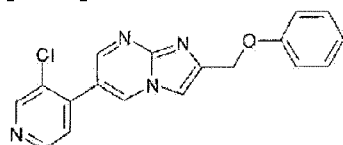


[0161] 2-Fluoropyridin-4-ylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0162] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.57 (s, 1H), 9.06 (s, 1H), 8.38 (m, 1H), 7.99 (s, 1H), 7.82 (d, 1H), 7.69 (d, 1H), 7.31 (t, 2H), 7.08 (d, 2H), 6.95 (t, 1H), 5.28 (s, 2H)

Example 36: Synthesis of 6-(3-chloropyridin-4-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidine

[0163]

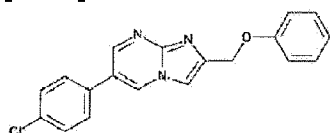


[0164] 3-Chloropyridin-4-ylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0165] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.42 (s, 1H), 8.91 (s, 1H), 8.85 (s, 1H), 8.71 (d, 1H), 8.18 (s, 1H), 7.70 (s, 1H), 7.32 (m, 2H), 7.09 (m, 2H), 6.97 (m, 1H), 5.35 (s, 2H)

Example 37: Synthesis of 6-(4-chlorophenyl)-2-phenoxyethylimidazo [1,2-a]pyrimidine

[0166]

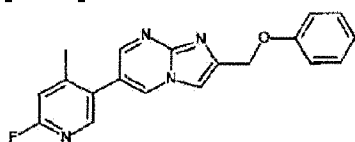


[0167] 4-Chlorophenylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0168] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.33 (s, 1H), 8.91 (s, 1H), 7.96 (s, 1H), 7.81 (d, 2H), 7.60 (d, 2H), 7.31 (t, 2H), 7.09 (d, 2H), 6.95 (t, 1H), 5.27 (s, 2H)

Example 38: Synthesis of 6-(6-fluoro-4-methyl-3-pyridyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0169]



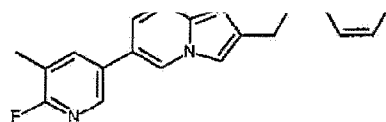
[0170] 6-Fluoro-4-methyl-3-pyridylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0171] ¹H-NMR (CDCl₃, 500MHz) δ 8.49 (s, 1H), 8.35 (s, 1H), 8.09 (s, 1H), 7.66 (s, 1H), 7.30 (m, 2H), 7.03 (m, 2H), 6.97 (m, 2H), 5.39 (s, 2H), 2.36 (s, 3H)

Example 39: Synthesis of 6-(6-fluoro-5-methyl-3-pyridyl)-2-phenoxyethylimidazo[1,2-a]pyrimidine

[0172]



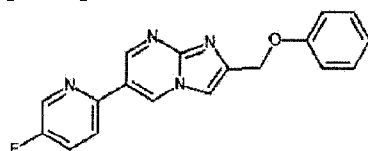


[0173] 6-Fluoro-5-methyl-3-pyridylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0174] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.35 (s, 1H), 8.89 (s, 1H), 8.42 (s, 1H), 8.22 (d, 1H), 7.94 (s, 1H), 7.29 (m, 2H), 7.05 (m, 2H), 6.94 (m, 1H), 5.27 (s, 2H), 2.35 (s, 3H)

Example 40: Synthesis of 6-(5-fluoro-2-pyridyl)-2-phenoxymethylimidazo [1,2-a]pyrimidine

[0175]

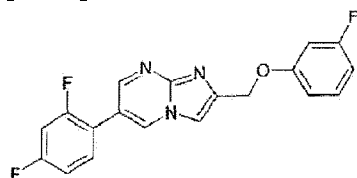


[0176] 5-Fluoro-2-pyridylboronic acid as a starting material was used in the same manner as in Example 1-3 to obtain the title compound.

[0177] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.60 (s, 1H), 9.20 (s, 1H), 8.71 (s, 1H), 8.13 (d, 1H), 8.02 (s, 1H), 7.94 (t, 1H), 7.28 (t, 2H), 7.07 (d, 2H), 6.92 (t, 1H), 5.24 (s, 2H)

Example 41: Synthesis of 6-(2,4-difluorophenyl)-2-(3-fluorophenoxymethyl) imidazo[1,2-a]pyrimidine

[0178]

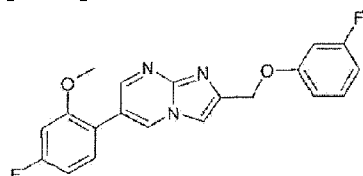


[0179] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethylimidazo[1,2-a]pyrimidine and 2,4-difluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0180] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.20 (s, 1H), 8.72 (s, 1H), 8.02 (s, 1H), 7.76 (m, 1H), 7.49 (m, 1H), 7.33 (m, 2H), 6.98 (m, 1H), 6.90 (m, 1H), 6.76 (m, 1H) 5.28 (s, 2H)

Example 42: Synthesis of 6-(4-fluoro-2-methoxyphenyl)-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine

[0181]

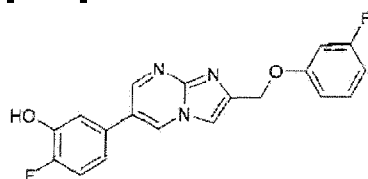


[0182] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methoxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0183] ¹H-NMR (CDCl₃, 500MHz) δ 8.68 (s, 1H), 8.52 (s, 1H), 7.61 (s, 1H), 7.30 (m, 1H), 7.23 (m, 1H), 6.82 (m, 2H), 6.76 (m, 2H), 6.68 (m, 1H), 5.35 (s, 2H), 3.85 (s, 3H)

Example 43: Synthesis of 6-(4-fluoro-3-hydroxyphenyl)-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine

[0184]

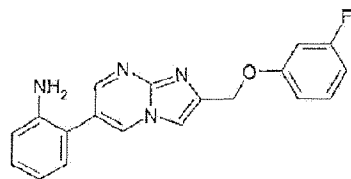


[0185] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-3-hydroxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0186] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.20 (s, 1H), 8.81 (s, 1H), 7.98 (s, 1H), 7.33 (m, 3H), 7.18 (m, 1H), 6.99 (m, 1H), 6.93 (m, 1H), 6.78 (m, 1H), 5.28 (s, 2H)

Example 44: Synthesis of 6-(2-aminophenyl)-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine

[0187]

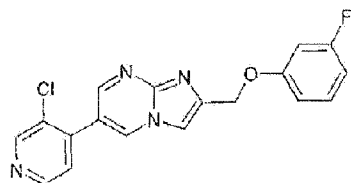


[0188] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 2-aminophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0189] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.93 (s, 1H), 8.54 (s, 1H), 7.95 (s, 1H), 7.31 (m, 1H), 7.10 (m, 2H), 6.98 (m, 1H), 6.92 (m, 1H), 6.79 (m, 2H), 6.63 (m, 1H), 5.27 (s, 2H), 5.14 (s, 2H)

Example 45: Synthesis of 6-(3-chloropyridin-4-yl)-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine

[0190]

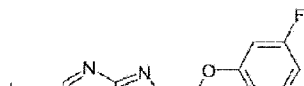


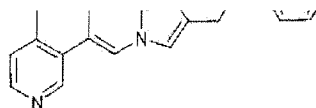
[0191] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 3-chloropyridin-4-ylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0192] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.27 (s, 1H), 8.81 (s, 1H), 8.72 (s, 1H), 8.67 (s, 1H), 8.06 (s, 1H), 7.69 (m, 1H), 7.32 (m, 1H), 6.99 (m, 1H), 6.92 (m, 1H), 6.79 (m, 1H), 5.30 (s, 2H)

Example 46: Synthesis of 6-(4-methylpyridin-3-yl)-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine

[0193]



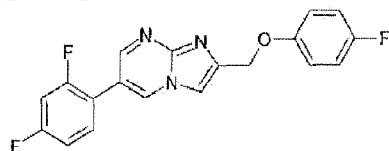


[0194] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 4-methylpyridin-3-ylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0195] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 9.34 (s, 1H), 9.00 (s, 2H), 8.78 (s, 1H), 8.62 (s, 1H), 7.73 (s, 1H), 7.32 (m, 2H), 7.06 (m, 2H), 7.01 (m, 1H), 5.42 (s, 2H)

Example 47: Synthesis of 6-(2,4-difluorophenyl)-2-(4-fluorophenoxymethyl) imidazo[1,2-a]pyrimidine

[0196]

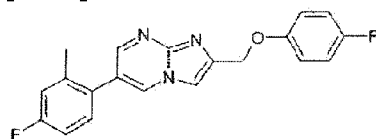


[0197] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 2,4-difluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0198] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.70 (s, 1H), 8.57 (s, 1H), 7.64 (s, 1H), 7.47 (m, 1H), 6.99 (m, 6H), 5.35 (s, 2H)

Example 48: Synthesis of 6-(4-fluoro-2-methylphenyl)-2-(4-fluorophenoxymethyl) imidazo[1,2-a]pyrimidine

[0199]



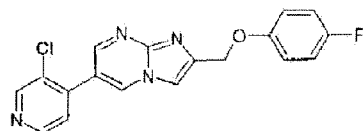
[0200] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-

2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0201] ¹H-NMR (CDCl₃, 500MHz) δ 8.53 (s, 1H), 8.31 (s, 1H), 7.62 (s, 1H), 7.22 (m, 2H), 7.09 (m, 1H), 6.99 (m, 4H), 5.36 (s, 2H), 2.32 (s, 3H)

Example 49: Synthesis of 6-(3-chloropyridin-4-yl)-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine

[0202]

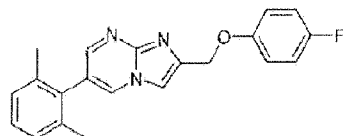


[0203] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 3-chloropyridin-4-ylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0204] ¹H-NMR (CDCl₃, 500MHz) δ 8.79 (s, 1H), 8.68 (m, 2H), 8.62 (m, 1H), 7.69 (s, 1H), 7.38 (m, 1H), 6.99 (m, 4H), 5.37 (s, 2H)

Example 50: Synthesis of 6-(2,6-dimethylphenyl)-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine

[0205]



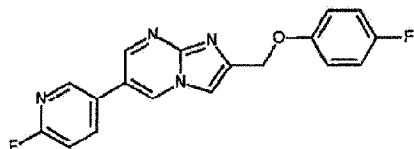
[0206] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 2,6-dimethylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0207] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.88 (s, 1H), 8.38 (s, 1H), 7.92 (s, 1H), 7.26 (m, 1H), 7.20 (m, 2H), 7.10 (m, 4H), 5.25 (s, 2H), 2.07 (s, 6H)

Example 51: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-(6-fluoro-3-pyridyl)imidazo[1,2-a]pyrimidine

imidazo[1,2-a]pyrimidine

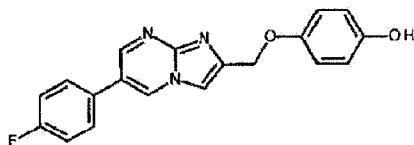
[0208]



[0209] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (6-fluoro-3-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0210] ¹H-NMR (CDCl₃, 500MHz) δ 8.74 (s, 1H), 8.55 (s, 1H), 8.44 (s, 1H), 7.99 (m, 1H), 7.67 (s, 1H), 7.13 (m, 1H), 6.98 (m, 4H), 5.35 (s, 2H)

[0211] **Example 52:** Synthesis of 4-[[6-(4-fluorophenyl)imidazo[1,2-a]pyrimidin-2-yl]methoxy]phenol

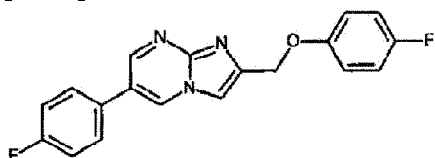


[0212] Benzene-1,4-diol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-hydroxyphenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-hydroxyphenoxymethyl)imidazo[1,2-a]pyrimidine and 4-fluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0213] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.27 (s, 1H), 8.95 (s, 1H), 8.88 (s, 1H), 7.90 (s, 1H), 7.82 (m, 2H), 7.38 (t, 2H), 6.89 (d, 2H), 6.68 (d, 2H), 5.14 (s, 2H)

Example 53: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-(4-fluorophenyl)imidazo [1,2-a]pyrimidine

[0214]

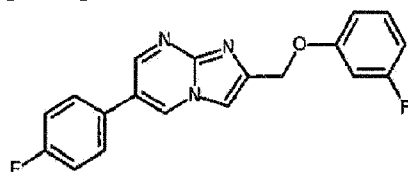


[0215] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 4-fluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0216] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.28 (s, 1H), 8.89 (s, 1H), 7.94 (s, 1H), 7.81 (s, 2H), 7.38 (s, 2H), 7.12 (m, 4H), 5.25 (s, 2H)

Example 54: Synthesis of 2-[(3-fluorophenoxy)methyl]-6-(4-fluorophenyl)imidazo [1,2-a]pyrimidine

[0217]

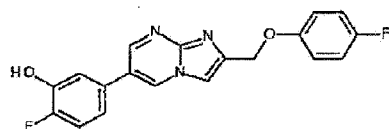


[0218] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and 4-fluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0219] ¹H-NMR (CDCl₃, 500MHz) δ 8.78 (s, 1H), 8.50 (s, 1H), 7.64 (s, 1H), 7.53 (m, 2H), 7.25 (m, 2H), 6.84 (m, 1H), 6.77 (m, 1H), 6.68 (m, 1H), 5.37 (s, 2H)

Example 55: Synthesis of 2-fluoro-5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol

[0220]



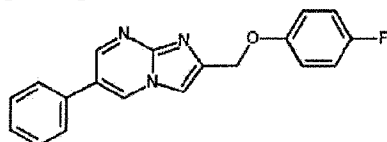
[0221] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (4-fluoro-3-hydroxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0222] ¹H-NMR (DMSO-d₆, 500MHz) δ 10.21 (s, 1H), 9.21 (s, 1H), 8.80 (s, 1H), 7.95 (s, 1H),

7.28 (m, 2H), 7.11 (m, 5H), 5.24 (s, 2H)

Example 56: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-phenyl-imidazo[1,2-a]pyrimidine

[0223]

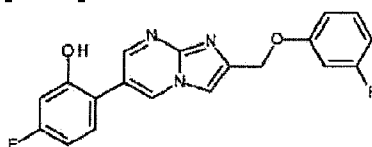


[0224] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and phenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0225] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.30 (s, 1H), 8.91 (s, 1H), 7.95 (s, 1H), 7.78 (d, 2H), 7.55 (t, 2H), 7.46 (m, 1H), 7.12 (m, 4H), 5.25 (s, 2H)

Example 57: Synthesis of 5-fluoro-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol

[0226]

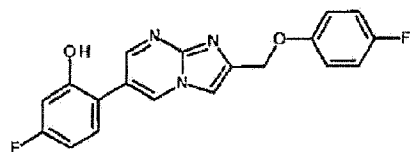


[0227] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (4-fluoro-2-hydroxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0228] ¹H-NMR (DMSO-d₆, 500MHz) δ 10.5 (s, 1H), 9.10 (s, 1H), 8.70 (s, 1H), 7.99 (s, 1H), 7.48 (m, 1H), 7.35 (m, 1H), 7.00 (m, 1H), 6.93 (m, 1H), 6.80 (m, 2H), 5.28 (s, 2H)

Example 58: Synthesis of 5-fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol

[0229]

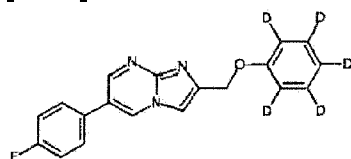


[0230] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (4-fluoro-2-hydroxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0231] $^1\text{H-NMR}$ (DMSO- d_6 , 500MHz) δ 10.5 (s, 1H), 9.07 (s, 1H), 8.68 (s, 1H), 7.94 (s, 1H), 7.45 (m, 1H), 7.08 (m, 4H), 6.78 (m, 2H), 5.22 (s, 2H)

Example 59: Synthesis of 6-(4-fluorophenyl)-2-[(2,3,4,5,6-pentadeuteriophenoxy)methyl]imidazo[1,2-a]pyrimidine

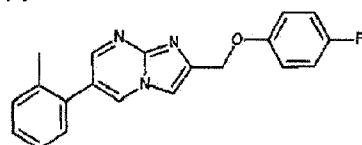
[0232]



[0233] 2,3,4,5,6-Pentadeuteriophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2,3,4,5,6-pentadeuteriophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2,3,4,5,6-pentadeuteriophenoxymethyl)imidazo[1,2-a]pyrimidine and (4-fluorophenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0234] $^1\text{H-NMR}$ (DMSO- d_6 , 500MHz) δ 9.29 (d, 1H), 8.89 (d, 1H), 7.95 (s, 1H), 7.82 (t, 2H), 7.39 (t, 2H), 5.27 (s, 2H)

[0235] **Example 60: Synthesis of 2-[(4-fluorophenoxy)methyl]6-(o-tolyl)imidazo[1,2-a]pyrimidine**



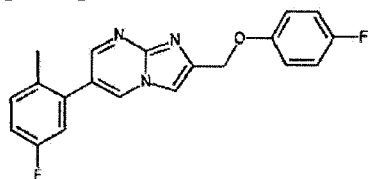
[0236] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-

2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and o-tolylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0237] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.00 (s, 1H), 8.58 (s, 1H), 7.93 (s, 1H), 7.35 (m, 4H), 7.12 (m, 4H), 5.25 (s, 2H), 2.30 (s, 3H)

Example 61: Synthesis of 6-(5-fluoro-2-methyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine

[0238]

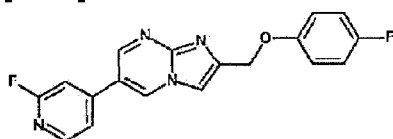


[0239] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (5-fluoro-2-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0240] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.04 (s, 1H), 8.59 (s, 1H), 7.94 (s, 1H), 7.40 (t, 1H), 7.28 (m, 1H), 7.22 (m, 1H), 7.12 (m, 4H), 5.25 (s, 2H), 2.27 (s, 3H)

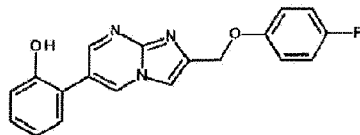
Example 62: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-(2-fluoro-4-pyridyl)imidazo[1,2-a]pyrimidine

[0241]



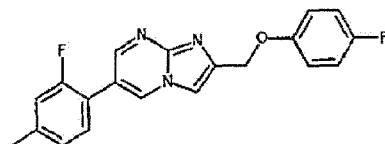
[0242] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (2-fluoro-4-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0243] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.57 (s, 1H), 9.05 (s, 1H), 8.38 (d, 1H), 7.98 (s, 1H), 7.82 (m, 1H), 7.70 (s, 1H), 7.12 (m, 4H), 5.25 (s, 2H)

Example 63: Synthesis of 2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol**[0244]**

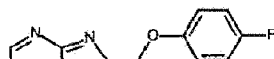
[0245] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (2-hydroxyphenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

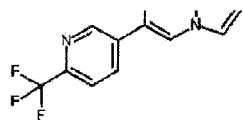
[0246] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.97 (s, 1H), 9.11 (s, 1H), 8.73 (s, 1H), 7.96 (s, 1H), 7.42 (d, 1H), 7.25 (m, 1H), 7.11 (m, 4H), 7.00 (m, 2H), 5.23 (s, 2H)

Example 64: Synthesis of 6-(2-fluoro-4-methyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine**[0247]**

[0248] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (2-fluoro-4-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0249] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.27 (s, 1H), 8.88 (s, 1H), 7.93 (s, 1H), 7.63 (t, 1H), 7.15 (m, 6H), 5.24 (s, 2H), 2.32 (s, 3H)

Example 65: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine**[0250]**

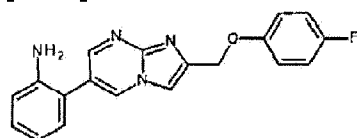


[0251] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and [6-(trifluoromethyl)-3-pyridyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0252] $^1\text{H-NMR}$ (DMSO- d_6 , 500MHz) δ 9.48 (s, 1H), 9.17 (s, 1H), 9.00 (d, 1H), 8.48 (d, 1H), 8.07 (m, 1H), 7.98 (s, 1H), 7.10 (m, 4H), 5.25 (s, 2H)

Example 66: Synthesis of 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline

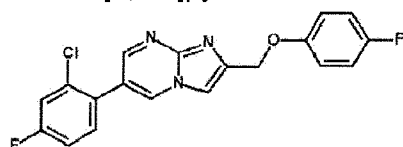
[0253]



[0254] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (2-aminophenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0255] $^1\text{H-NMR}$ (DMSO- d_6 , 500MHz) δ 8.92 (s, 1H), 8.48 (s, 1H), 7.90 (s, 1H), 7.08 (m, 6H), 6.76 (d, 1H), 6.65 (t, 1H), 5.22 (s, 2H), 5.13 (s, 1H), 3.15 (s, 1H)

[0256] Example 67: Synthesis of 6-(2-chloro-4-fluoro-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine

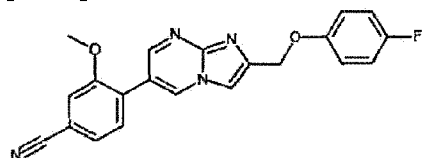


[0257] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (2-chloro-4-fluoro-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0258] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.09 (s, 1H), 8.59 (s, 1H), 7.96 (s, 1H), 7.67 (m, 2H), 7.40 (m, 1H), 7.12 (m, 4H), 5.24 (s, 2H)

Example 68: Synthesis of 4-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitrile

[0259]

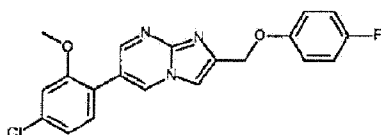


[0260] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (4-cyano-2-methoxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0261] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.18 (s, 1H), 8.71 (s, 1H), 7.97 (s, 1H), 7.70 (s, 2H), 7.58 (m, 1H), 7.10 (m, 4H), 5.25 (s, 2H)

Example 69: Synthesis of 6-(4-chloro-2-methoxy-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine

[0262]

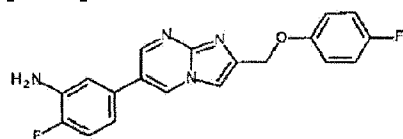


[0263] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (4-chloro-2-methoxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0264] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.08 (s, 1H), 8.64 (s, 1H), 7.94 (s, 1H), 7.48 (d, 1H), 7.26 (d, 1H), 7.14 (m, 5H), 5.23 (s, 2H), 3.83 (s, 3H)

Example 70: Synthesis of 2-fluoro-5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline

[0265]

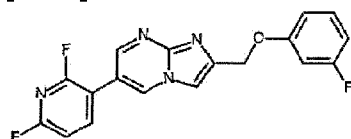


[0266] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (3-amino-4-fluoro-phenyl)boronic acid as a starting material were used in the same manner as in Example 1-3 to obtain the title compound.

[0267] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 9.15 (s, 1H), 8.75 (s, 1H), 7.94 (s, 1H), 7.09 (m, 6H), 6.85 (m, 1H), 5.36 (m, 2H), 5.23 (s, 2H)

Example 71: Synthesis of 6-(2,6-difluoro-3-pyridyl)-2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine

[0268]

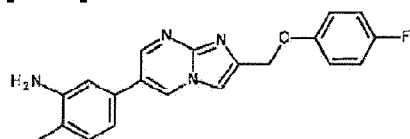


[0269] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (2,6-difluoro-3-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0270] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.69 (m, 2H), 8.09 (m, 1H), 7.69 (s, 1H), 7.27 (m, 1H), 7.06 (m, 1H), 6.82 (s, 1H), 6.75 (m, 2H), 5.37 (s, 2H)

Example 72: Synthesis of 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-2-methyl-aniline

[0271]

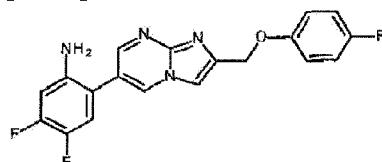


[0272] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (3-amino-4-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0273] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.12 (s, 1H), 8.74 (s, 1H), 7.93 (s, 1H), 7.08 (m, 5H), 6.90 (s, 1H), 6.80 (m, 1H), 5.22 (s, 2H), 5.03 (m, 2H), 2.08 (s, 3H)

Example 73: Synthesis of 4,5-difluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline

[0274]

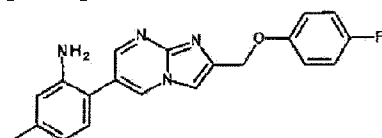


[0275] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (2-amino-4,5-difluoro-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0276] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.96 (s, 1H), 8.48 (s, 1H), 7.94 (s, 1H), 7.27 (m, 1H), 7.15 (m, 4H), 6.74 (m, 1H), 5.35 (s, 2H), 5.24 (s, 2H)

Example 74: Synthesis of 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-5-methyl-aniline

[0277]

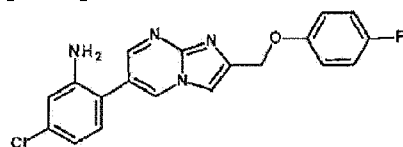


[0278] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (2-amino-4-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0279] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.88 (s, 1H), 8.46 (s, 1H), 7.90 (s, 1H), 7.10 (m, 4H), 6.95 (m, 1H), 6.57 (s, 1H), 6.47 (m, 1H), 5.22 (s, 2H), 5.06 (s, 2H), 2.19 (s, 3H)

Example 75: Synthesis of 5-chloro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline

[0280]

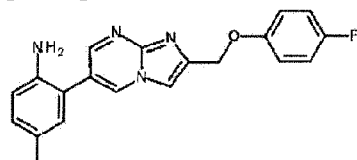


[0281] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (2-amino-4-chloro-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0282] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.93 (s, 1H), 8.44 (s, 1H), 7.93 (s, 1H), 7.10 (m, 5H), 6.80 (s, 1H), 6.64 (d, 1H), 5.48 (s, 2H), 5.24 (s, 2H)

Example 76: Synthesis of 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-4-methyl-aniline

[0283]

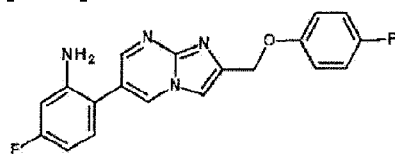


[0284] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (2-amino-5-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0285] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.92 (s, 1H), 8.50 (s, 1H), 7.91 (s, 1H), 7.11 (m, 4H), 6.92 (m, 2H), 6.67 (d, 1H), 5.24 (s, 2H), 4.93 (s, 2H), 2.17 (s, 3H)

Example 77: Synthesis of 5-fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]aniline

[0286]

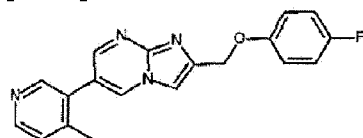


[0287] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (2-amino-4-fluoro-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0288] $^1\text{H-NMR}$ (DMSO- d_6 , 500MHz) δ 8.90 (s, 1H), 8.43 (s, 1H), 7.93 (s, 1H), 7.10 (m, 5H), 6.53 (m, 1H), 6.42 (m, 1H), 5.46 (s, 2H), 5.23 (s, 2H)

Example 78: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-(4-methyl-3-pyridyl)imidazo[1,2-a]pyrimidine

[0289]

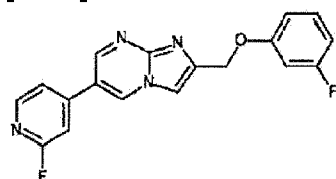


[0290] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (4-methyl-3-pyridyl)boronic acid as were used in the same manner as in Example 1-3 to obtain the title compound.

[0291] $^1\text{H-NMR}$ (DMSO- d_6 , 500MHz) δ 9.07 (s, 1H), 8.62 (s, 1H), 8.50 (t, 2H), 7.94 (s, 1H), 7.40 (m, 1H), 7.09 (m, 4H), 5.25 (s, 2H), 2.33 (s, 3H)

Example 79: Synthesis of 2-[(3-fluorophenoxy)methyl]-6-(2-fluoro-4-pyridyl)imidazo[1,2-a]pyrimidine

[0292]

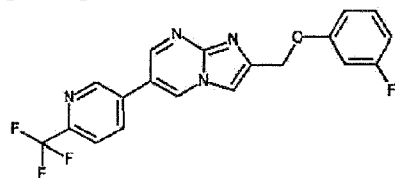


[0293] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (2-fluoro-4-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0294] ¹H-NMR (CDCl₃, 500MHz) δ 8.84 (s, 1H), 8.70 (s, 1H), 8.41 (d, 1H), 7.73 (s, 1H), 7.44 (d, 1H), 7.26 (m, 1H), 7.18 (s, 1H), 6.85 (d, 1H), 6.76 (m, 2H), 5.39 (s, 2H)

Example 80: Synthesis of 2-[(3-fluorophenoxy)methyl]-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine

[0295]

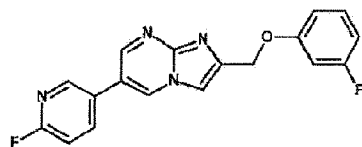


[0296] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and [6-(trifluoromethyl)-3-pyridyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0297] ¹H-NMR (CDCl₃, 500MHz) δ 8.98 (s, 1H), 8.82 (s, 1H), 8.66 (s, 1H), 8.12 (d, 1H), 7.90 (m, 1H), 7.74 (s, 1H), 6.86 (m, 1H), 6.72 (m, 2H), 5.41 (s, 2H)

Example 81: Synthesis of 2-[(3-fluorophenoxy)methyl]-6-(6-fluoro-3-pyridyl)imidazo[1,2-a]pyrimidine

[0298]

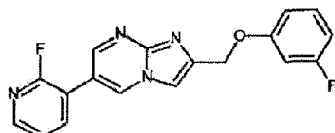


[0299] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (6-fluoro-3-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0300] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.74 (s, 1H), 8.54 (s, 1H), 8.44 (s, 1H), 8.00 (m, 1H), 7.67 (s, 1H), 7.13 (m, 1H), 6.83 (m, 1H), 6.76 (d, 1H), 6.71 (m, 1H), 5.37 (s, 2H)

Example 82: Synthesis of 2-[(3-fluorophenoxy)methyl]-6-(2-fluoro-3-pyridyl)imidazo[1,2-a]pyrimidine

[0301]

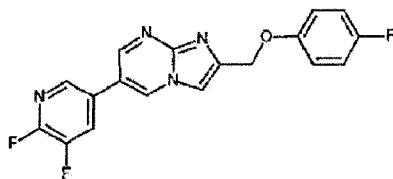


[0302] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (2-fluoro-3-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0303] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.75 (s, 1H), 8.70 (s, 1H), 8.32 (d, 1H), 7.98 (t, 1H), 7.67 (s, 1H), 7.39 (t, 1H), 6.83 (m, 1H), 6.76 (d, 1H), 6.69 (m, 1H), 5.37 (s, 2H)

Example 83: Synthesis of 6-(5,6-difluoro-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine

[0304]

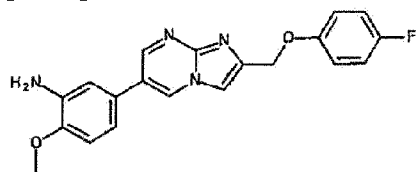


[0305] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (5,6-difluoro-3-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0306] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 9.40 (s, 1H), 8.94 (s, 1H), 8.55 (m, 1H), 8.48 (s, 1H), 7.96 (s, 1H), 7.09 (m, 4H), 5.25 (s, 2H)

Example 84: Synthesis of 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-2-methoxy-aniline

[0307]

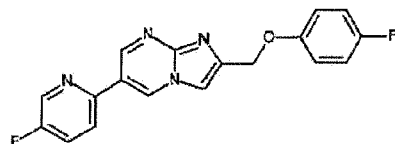


[0308] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (3-amino-4-methoxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0309] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 9.08 (s, 1H), 8.74 (s, 1H), 7.91 (s, 1H), 7.10 (m, 4H), 6.91 (m, 3H), 5.21 (s, 2H), 4.90 (s, 2H), 3.79 (s, 3H)

Example 85: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-(5-fluoro-2-pyridyl)imidazo[1,2-a]pyrimidine

[0310]

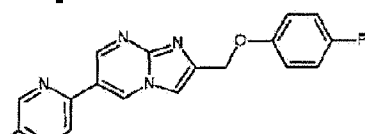


[0311] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (5-fluoro-2-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0312] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 9.07 (m, 2H), 8.56 (s, 1H), 7.78 (s, 1H), 7.66 (s, 1H), 7.59 (m, 1H), 6.98 (m, 4H), 5.35 (s, 2H)

Example 86: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-(5-methoxy-2-pyridyl)imidazo[1,2-a]pyrimidine

[0313]



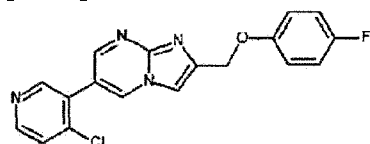


[0314] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (5-methoxy-2-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0315] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.54 (s, 1H), 9.17 (s, 1H), 8.40 (s, 1H), 8.00 (m, 2H), 7.56 (d, 1H), 7.10 (m, 4H), 5.22 (s, 2H), 3.88 (s, 3H)

Example 87: Synthesis of 6-(4-chloro-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine

[0316]

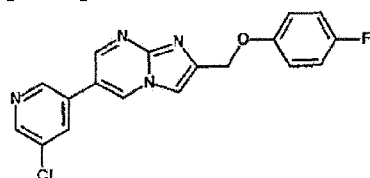


[0317] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (4-chloro-3-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0318] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.22 (s, 1H), 8.77 (s, 1H), 8.71 (s, 1H), 8.64 (d, 1H), 8.02 (s, 1H), 7.77 (d, 1H), 7.12 (m, 4H), 5.28 (s, 2H)

Example 88: Synthesis of 6-(5-chloro-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine

[0319]



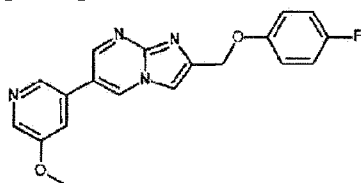
[0320] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (5-chloro-3-pyridyl)boronic acid were

used in the same manner as in Example 1-3 to obtain the title compound.

[0321] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.46 (s, 1H), 8.99 (d, 2H), 8.70 (s, 1H), 8.42 (s, 1H), 7.97 (s, 1H), 7.11 (m, 4H), 5.26 (s, 2H)

Example 89: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-(5-methoxy-3-pyridyl)imidazo[1,2-a]pyrimidine

[0322]

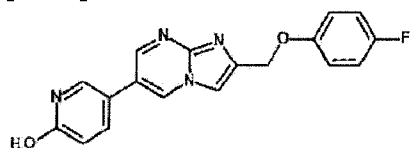


[0323] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (5-methoxy-3-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0324] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.38 (s, 1H), 8.96 (s, 1H), 8.55 (s, 1H), 8.34 (s, 1H), 7.94 (s, 1H), 7.78 (s, 1H), 7.09 (m, 4H), 5.24 (s, 2H), 3.90 (s, 3H)

Example 90: Synthesis of 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]pyridin-2-ol

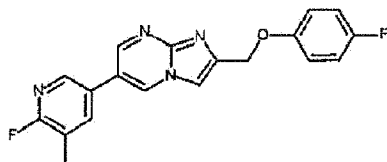
[0325]



[0326] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (6-hydroxy-3-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0327] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.35 (s, 1H), 8.91 (s, 1H), 8.62 (s, 1H), 8.39 (m, 1H), 7.95 (s, 1H), 7.38 (d, 1H), 7.11 (m, 4H), 5.22 (s, 2H)

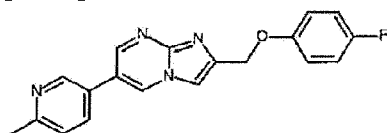
Example 91: Synthesis of 6-(6-fluoro-5-methyl-3-pyridyl)-2-[(4-

fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine**[0328]**

[0329] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (6-fluoro-5-methyl-3-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0330] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.34 (s, 1H), 8.92 (s, 1H), 8.44 (s, 1H), 8.25 (d, 1H), 7.95 (s, 1H), 7.10 (m, 4H), 5.25 (s, 2H), 2.32 (s, 3H)

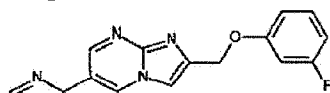
Example 92: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-(6-methyl-3-pyridyl)imidazo[1,2-a]pyrimidine

[0331]

[0332] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxy)methylimidazo[1,2-a]pyrimidine and (6-methyl-3-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0333] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.34 (s, 1H), 8.92 (s, 1H), 8.84 (s, 1H), 8.06 (d, 1H), 7.95 (s, 1H), 7.41 (d, 1H), 7.10 (m, 4H), 5.25 (s, 2H), 2.32 (s, 3H)

Example 93: Synthesis of 2-[(3-fluorophenoxy)methyl]-6-(5-fluoro-2-pyridyl)imidazo[1,2-a]pyrimidine

[0334]

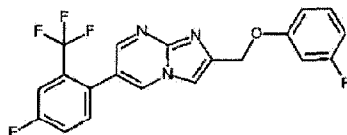


[0335] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (5-fluoro-2-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0336] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.61 (s, 1H), 9.18 (s, 1H), 8.69 (s, 1H), 8.12 (t, 1H), 8.03 (s, 1H), 7.92 (t, 1H), 7.30 (m, 1H), 6.95 (d, 1H), 6.88 (d, 1H), 6.75 (t, 1H), 5.24 (s, 2H)

Example 94: Synthesis of 2-[(3-fluorophenoxy)methyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine

[0337]

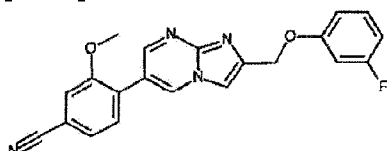


[0338] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and [4-fluoro-2-(trifluoromethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0339] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.27 (s, 1H), 8.77 (s, 1H), 8.23 (s, 1H), 7.89 (t, 1H), 7.76 (t, 1H), 7.68 (t, 1H), 7.35 (m, 1H), 7.01 (d, 1H), 6.93 (d, 1H), 6.81 (t, 1H), 5.38 (s, 2H)

Example 95: Synthesis of 4-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitrile

[0340]



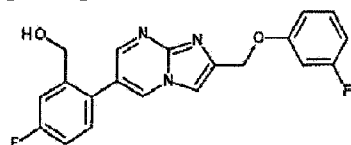
[0341] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-

2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (4-cyano-2-methoxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0342] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.18 (s, 1H), 8.70 (s, 1H), 7.99 (s, 1H), 7.67 (m, 2H), 7.58 (m, 1H), 7.32 (m, 1H), 6.98 (m, 1H), 6.91 (m, 1H), 6.78 (m, 1H), 5.28 (s, 2H), 3.88 (s, 3H)

Example 96: Synthesis of [5-fluoro-2-[2-[(3-fluorophenoxy)methyl] imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol

[0343]

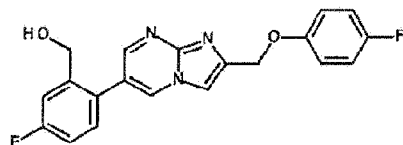


[0344] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and [4-fluoro-2-(hydroxymethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0345] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.98 (s, 1H), 8.55 (s, 1H), 7.95 (s, 1H), 7.42 (m, 2H), 7.33 (m, 1H), 7.24 (m, 1H), 6.97 (d, 1H), 6.91 (d, 1H), 6.76 (t, 1H), 5.41 (s, 1H), 5.28 (s, 2H) 4.45 (d, 2H)

Example 97: Synthesis of [5-fluoro-2-[2-[(4-fluorophenoxy)methyl] imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol

[0346]



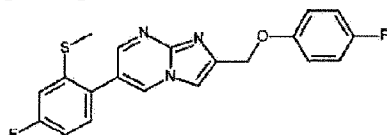
[0347] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and [4-fluoro-2-(hydroxymethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0348] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.97 (s, 1H), 8.54 (s, 1H), 7.93 (s, 1H), 7.41 (m, 2H),

7.24 (m, 1H), 7.10 (m, 4H), 5.74 (s, 1H), 5.23 (s, 2H) 4.45 (d, 2H)

Example 98: Synthesis of 6-(4-fluoro-2-methylsulfanyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine

[0349]

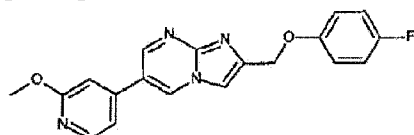


[0350] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (4-fluoro-2-methylsulfanyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0351] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.01 (s, 1H), 8.51 (s, 1H), 7.98 (s, 1H), 7.43 (t, 1H), 7.28 (d, 1H), 7.12 (m, 5H), 5.26 (s, 2H), 2.48 (s, 3H)

Example 99: Synthesis of 2-[(4-fluorophenoxy)methyl]-6-(2-methoxy-4-pyridyl)imidazo[1,2-a]pyrimidine

[0352]

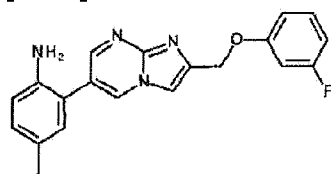


[0353] 4-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(4-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (2-methoxy-4-pyridyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0354] ¹H-NMR (CDCl₃, 500MHz) δ 8.80 (s, 1H), 8.60 (s, 1H), 8.30 (s, 1H), 7.66 (s, 1H), 6.98 (m, 6H), 5.34 (s, 2H), 4.01 (s, 3H)

Example 100: Synthesis of 2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-4-methyl-aniline

[0355]

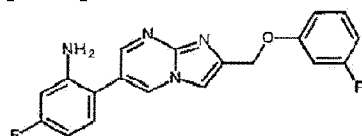


[0356] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (2-amino-5-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0357] $^1\text{H-NMR}$ (DMSO- d_6 , 500MHz) δ 8.95 (s, 1H), 8.51 (s, 1H), 7.94 (s, 1H), 7.33 (m, 1H), 6.98 (m, 1H), 6.93 (m, 3H), 6.79 (t, 1H), 6.68 (d, 1H), 5.41 (s, 2H), 4.95 (s, 2H), 2.21 (s, 3H)

Example 101: Synthesis of 5-fluoro-2-[2-[(3-fluorophenoxy)methyl] imidazo[1,2-a]pyrimidin-6-yl]aniline

[0358]

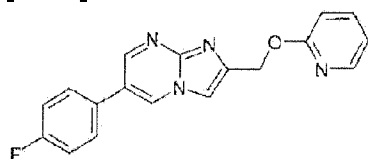


[0359] 3-Fluorophenol as a starting material was used in the same manner as in Example 1-2 to obtain 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(3-fluorophenoxymethyl)imidazo[1,2-a]pyrimidine and (2-amino-4-fluoro-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0360] $^1\text{H-NMR}$ (DMSO- d_6 , 500MHz) δ 8.91 (s, 1H), 8.44 (s, 1H), 7.93 (s, 1H), 7.31 (m, 1H), 7.08 (t, 1H), 6.97 (d, 1H), 6.90 (d, 1H), 6.77 (t, 1H), 6.52 (t, 1H), 5.48 (s, 2H), 5.27 (s, 2H)

Example 102: Synthesis of 6-(4-fluorophenyl)-2-(pyridin-2-yloxymethyl) imidazo[1,2-a]pyrimidine

[0361]

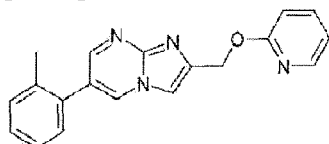


[0362] 2-Hydroxypyridine and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0363] ¹H-NMR (CDCl₃, 500MHz) δ 8.77 (s, 1H), 8.51 (s, 1H), 8.22 (s, 1H), 7.63 (m, 4H), 7.25 (m, 2H), 6.83 (m, 2H), 5.67 (s, 2H)

Example 103: Synthesis of 6-(2-methylphenyl)-2-(pyridin-2-yloxymethyl) imidazo[1,2-a]pyrimidine

[0364]

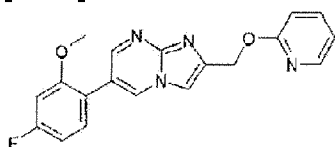


[0365] 2-Hydroxypyridine and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and 2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0366] ¹H-NMR (CDCl₃, 500MHz) δ 8.58 (s, 1H), 8.33 (s, 1H), 8.23 (s, 1H), 7.65 (m, 2H), 7.37 (m, 3H), 7.11 (m, 1H), 6.93 (m, 2H), 5.68 (s, 2H), 2.34 (s, 3H)

Example 104: Synthesis of 6-(4-fluoro-2-methoxyphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine

[0367]

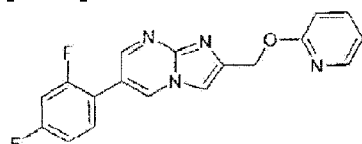


[0368] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methoxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0369] ¹H-NMR (CDCl₃, 500MHz) δ 8.65 (s, 1H), 8.52 (s, 1H), 8.20 (s, 1H), 7.61 (s, 1H), 7.58 (t, 1H), 7.31 (t, 1H), 6.91 (t, 1H), 6.85 (d, 1H), 6.77 (m, 2H), 5.63 (s, 2H), 3.84 (s, 3H)

Example 105: Synthesis of 6-(2,4-difluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine

[0370]

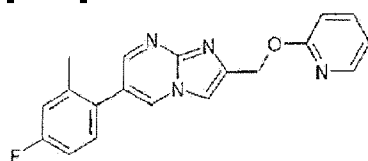


[0371] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and 2,4-difluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0372] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.59 (s, 1H), 9.19 (s, 1H), 8.35 (s, 1H), 8.23 (s, 1H), 7.82 (m, 2H), 7.59 (m, 1H), 7.39 (m, 1H), 7.09 (t, 1H), 6.98 (d, 1H), 5.65 (s, 2H)

Example 106: Synthesis of 6-(4-fluoro-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine

[0373]



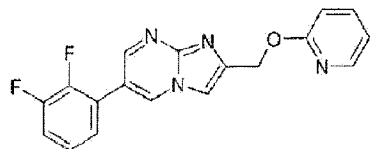
[0374] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0375] ¹H-NMR (CDCl₃, 500MHz) δ 8.53 (s, 1H), 8.31 (s, 1H), 8.22 (s, 1H), 7.66 (m, 2H), 7.24 (m, 1H), 7.05 (m, 2H), 6.90 (m, 2H), 5.68 (s, 2H), 2.32 (s, 3H)

Example 107: Synthesis of 6-(2,3-difluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-

a]pyrimidine

[0376]

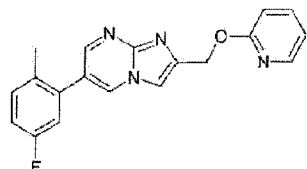


[0377] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-ylomethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-ylomethyl)imidazo[1,2-a]pyrimidine and 2,3-difluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0378] ¹H-NMR (CDCl₃, 500MHz) δ 8.69 (d, 2H), 8.19 (s, 1H), 7.70 (s, 1H), 7.61 (s, 1H), 7.28 (m, 3H), 6.90 (m, 2H), 5.65 (s, 2H)

Example 108: Synthesis of 6-(5-fluoro-2-methylphenyl)-2-(pyridin-2-ylomethyl)imidazo[1,2-a]pyrimidine

[0379]

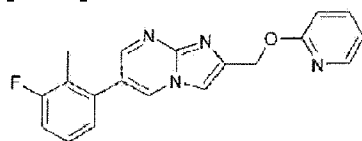


[0380] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-ylomethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-ylomethyl)imidazo[1,2-a]pyrimidine and 5-fluoro-2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0381] ¹H-NMR (CDCl₃, 500MHz) δ 8.55 (s, 1H), 8.35 (s, 1H), 8.22 (s, 1H), 7.69 (s, 1H), 7.62 (m, 1H), 7.30 (m, 1H), 7.10 (m, 1H), 6.99 (d, 1H), 6.92 (t, 1H), 6.87 (m, 1H), 5.68 (s, 2H), 2.29 (s, 3H)

Example 109: Synthesis of 6-(3-fluoro-2-methylphenyl)-2-(pyridin-2-ylomethyl)imidazo[1,2-a]pyrimidine

[0382]

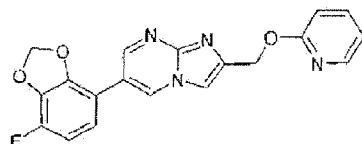


[0383] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yl)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yl)methylimidazo[1,2-a]pyrimidine and 3-fluoro-2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0384] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.53 (s, 1H), 8.36 (s, 1H), 8.21 (s, 1H), 7.68 (s, 1H), 7.61 (m, 1H), 7.28 (m, 1H), 7.15 (t, 1H), 7.08 (t, 1H), 6.91 (t, 1H), 6.85 (d, 1H), 5.67 (s, 2H), 2.23 (s, 3H)

Example 110: Synthesis of 6-(7-fluoro-2H-benzo[1,3]dioxol-4-yl)-2-(pyridin-2-yl)methylimidazo[1,2-a]pyrimidine

[0385]

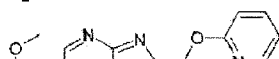


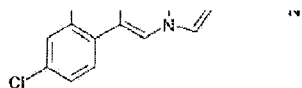
[0386] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yl)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yl)methylimidazo[1,2-a]pyrimidine and 2-(7-fluoro-2H-1,3-benzodioxol-4-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane were used in the same manner as in Example 1-3 to obtain the title compound.

[0387] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.84 (s, 1H), 8.75 (s, 1H), 8.21 (d, 1H), 7.62 (m, 2H), 7.06 (m, 1H), 6.93 (m, 1H), 6.85 (m, 2H), 6.16 (s, 2H), 5.65 (s, 2H)

Example 111: Synthesis of 6-(4-chloro-2-methoxyphenyl)-2-(pyridin-2-yl)methylimidazo[1,2-a]pyrimidine

[0388]



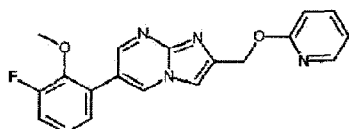


[0389] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-chloro-2-methoxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0390] ¹H-NMR (CDCl₃, 500MHz) δ 8.64 (s, 1H), 8.54 (s, 1H), 8.18 (d, 1H), 7.59 (m, 2H), 7.28 (m, 1H), 7.07 (m, 2H), 6.88 (m, 2H), 5.62 (s, 2H), 3.84 (s, 3H)

Example 112: Synthesis of 6-(3-fluoro-2-methoxy-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine

[0391]

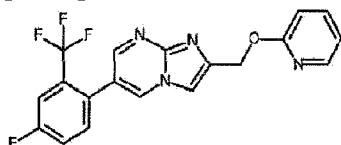


[0392] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and (3-fluoro-2-methoxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0393] ¹H-NMR (CDCl₃, 500MHz) δ 8.73 (d, 1H), 8.62 (d, 1H), 8.22 (t, 1H), 7.67 (s, 1H), 7.64 (m, 1H), 7.19 (m, 3H), 6.94 (t, 1H), 6.88 (m, 1H), 5.85 (s, 2H), 3.85 (s, 3H)

Example 113: Synthesis of 6-[4-fluoro-2-(trifluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine

[0394]



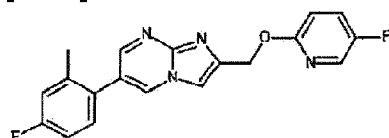
[0395] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same

manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and [4-fluoro-2-(trifluoromethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0396] ¹H-NMR (CDCl₃, 500MHz) δ 8.47 (s, 1H), 8.38 (s, 1H), 8.22 (d, 1H), 7.67 (s, 1H), 7.64 (m, 1H), 7.57 (m, 1H), 7.43 (m, 2H), 6.93 (m, 1H), 6.87 (m, 1H), 5.67 (s, 2H)

Example 114: Synthesis of 6-(4-fluoro-2-methyl-phenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0397]

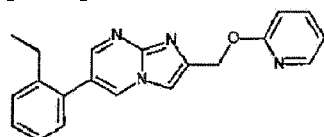


[0398] 5-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (4-fluoro-2-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0399] ¹H-NMR (CDCl₃, 500MHz) δ 8.51 (s, 1H), 8.35 (s, 1H), 8.03 (s, 1H), 7.67 (s, 1H), 7.38 (m, 1H), 7.22 (t, 1H), 7.04 (m, 2H), 6.83 (m, 1H), 5.61 (s, 2H), 2.31 (s, 3H)

Example 115: Synthesis of 6-(2-ethylphenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine

[0400]

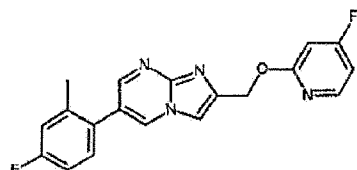


[0401] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and (2-ethylphenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0402] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.55 (s, 1H), 8.34 (s, 1H), 8.21 (d, 1H), 7.67 (s, 1H), 7.62 (m, 1H), 7.42 (m, 2H), 7.32 (m, 1H), 7.23 (d, 1H), 6.93 (t, 1H), 6.87 (d, 1H), 5.67 (s, 2H), 2.63 (q, 2H), 1.15 (t, 3H)

Example 116: Synthesis of 6-(4-fluoro-2-methyl-phenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0403]

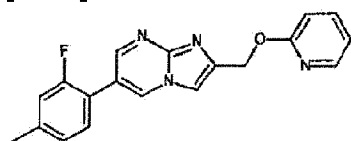


[0404] 4-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (4-fluoro-2-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0405] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.64 (s, 1H), 8.08 (d, 1H), 8.05 (d, 1H), 7.48 (t, 2H), 7.40 (m, 2H), 6.91 (m, 1H), 6.59 (s, 1H), 5.47 (s, 2H), 2.47 (s, 3H)

Example 117: Synthesis of 6-(2-fluoro-4-methyl-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine

[0406]

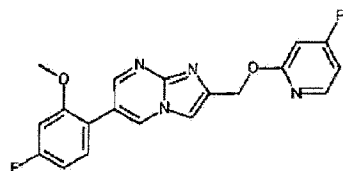


[0407] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and (2-fluoro-4-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0408] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.64 (s, 1H), 8.08 (d, 1H), 8.05 (d, 1H), 7.48 (t, 2H), 7.40 (m, 2H), 6.91 (m, 1H), 6.59 (s, 1H), 5.47 (s, 2H), 2.47 (s, 3H)

Example 118: Synthesis of 6-(4-fluoro-2-methoxy-phenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0409]

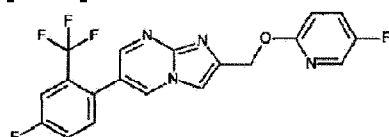


[0410] 4-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (4-fluoro-2-methoxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0411] ¹H-NMR (CDCl₃, 500MHz) δ 8.67 (s, 1H), 8.49 (s, 1H), 8.16 (d, 1H), 7.61 (s, 1H), 7.31 (m, 1H), 6.78 (m, 2H), 6.69 (m, 1H), 6.55(d, 1H), 5.65 (s, 2H), 3.85 (s, 3H)

Example 119: Synthesis of 2-[(5-fluoro-2-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine

[0412]

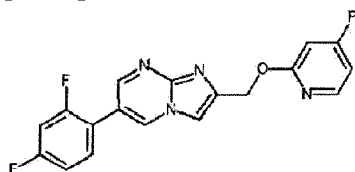


[0413] 5-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and [4-fluoro-2-(trifluoromethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0414] ¹H-NMR (CDCl₃, 500MHz) δ 8.48 (s, 1H), 8.35 (s, 1H), 8.02 (s, 1H), 7.63 (s, 1H), 7.55 (d, 1H), 7.39 (m, 3H), 6.83 (m, 1H), 5.60 (s, 2H)

Example 120: Synthesis of 6-(2,4-difluorophenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0415]

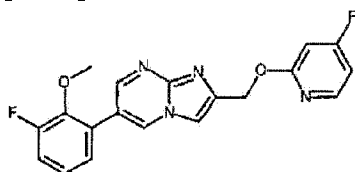


[0416] 4-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (2,4-difluorophenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0417] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.71 (s, 1H), 8.59 (s, 1H), 8.17 (d, 1H), 7.68 (s, 1H), 7.50 (m, 1H), 7.08 (m, 2H), 6.73 (m, 1H), 6.58 (m, 1H), 5.69 (s, 2H)

Example 121: Synthesis of 6-(3-fluoro-2-methoxy-phenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0418]

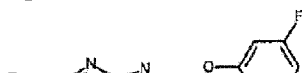


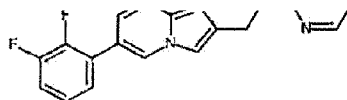
[0419] 4-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (3-fluoro-2-methoxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0420] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.72 (s, 1H), 8.61 (s, 1H), 8.16 (s, 1H), 7.64 (s, 1H), 7.17 (m, 3H), 6.70 (m, 1H), 6.55 (m, 1H), 5.66 (s, 2H), 3.84 (s, 3H)

Example 122: Synthesis of 6-(2,3-difluorophenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0421]



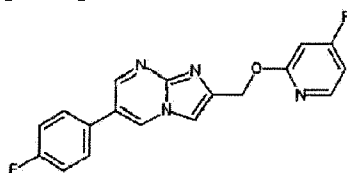


[0422] 4-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (2,3-difluorophenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0423] ¹H-NMR (CDCl₃, 500MHz) δ 8.77 (s, 1H), 8.68 (s, 1H), 8.19 (s, 1H), 7.72 (s, 1H), 7.30 (m, 3H), 6.74 (m, 1H), 6.59 (m, 1H), 5.70 (s, 2H)

Example 123: Synthesis of 6-(4-fluorophenyl)-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0424]

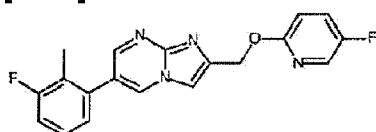


[0425] 4-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (4-fluorophenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0426] ¹H-NMR (CDCl₃, 500MHz) δ 8.81 (s, 1H), 8.53 (s, 1H), 8.19 (s, 1H), 7.69 (m, 1H), 7.57 (m, 2H), 7.29 (m, 2H), 6.74 (m, 1H), 6.59 (m, 1H), 5.70 (s, 2H)

Example 124: Synthesis of 6-(3-fluoro-2-methyl-phenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0427]

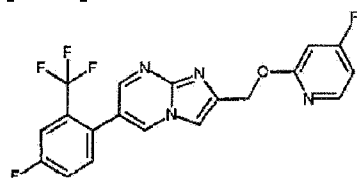


[0428] 5-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (3-fluoro-2-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0429] ¹H-NMR (CDCl₃, 500MHz) δ 8.50 (s, 1H), 8.41 (s, 1H), 8.00 (s, 1H), 7.68 (s, 1H), 7.37 (m, 1H), 7.29 (m, 1H), 7.16 (m, 1H), 7.04 (m, 1H), 6.81 (m, 1H), 5.58 (s, 2H), 2.21 (s, 3H)

Example 125: Synthesis of 2-[(4-fluoro-2-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine

[0430]

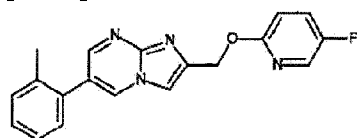


[0431] 4-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(4-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and [4-fluoro-2-(trifluoromethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0432] ¹H-NMR (CDCl₃, 500MHz) δ 8.48 (s, 1H), 8.36 (s, 1H), 8.17 (m, 1H), 7.65 (m, 1H), 7.57 (m, 1H), 7.41 (m, 2H), 6.72 (m, 1H), 6.56 (m, 1H), 5.67 (s, 2H)

Example 126: Synthesis of 2-[(5-fluoro-2-pyridyl)oxymethyl]-6-(o-tolyl)imidazo[1,2-a]pyrimidine

[0433]



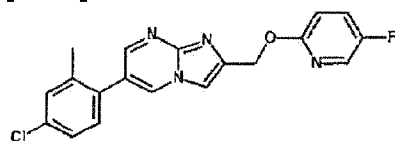
[0434] 5-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and o-tolylboronic acid were used in the same manner as in Example 1-3 to obtain the title

compound.

[0435] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.56 (s, 1H), 8.30 (s, 1H), 8.02 (s, 1H), 7.62 (m, 1H), 7.37 (m, 3H), 7.25 (m, 2H), 6.83 (m, 1H), 5.60 (s, 2H), 2.31 (s, 3H)

Example 127: Synthesis of 6-(4-chloro-2-methyl-phenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0436]

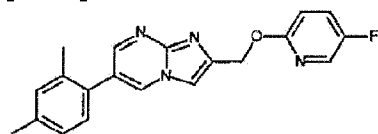


[0437] 5-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (4-chloro-2-methyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0438] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.49 (s, 1H), 8.29 (s, 1H), 8.01 (s, 1H), 7.62 (s, 1H), 7.37 (m, 2H), 7.28 (m, 1H), 7.17 (m, 1H), 6.80 (m, 1H), 5.59 (s, 2H), 2.27 (s, 3H)

Example 128: Synthesis of 6-(2,4-dimethylphenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0439]

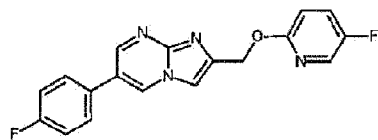


[0440] 5-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (2,4-dimethylphenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0441] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.54 (s, 1H), 8.29 (s, 1H), 8.03 (s, 1H), 7.62 (s, 1H), 7.38 (m, 1H), 7.16 (s, 1H), 7.13 (m, 2H), 6.82 (m, 1H), 5.60 (s, 2H), 2.39 (s, 3H), 2.28 (s, 3H)

Example 129: Synthesis of 6-(4-fluorophenyl)-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0442]

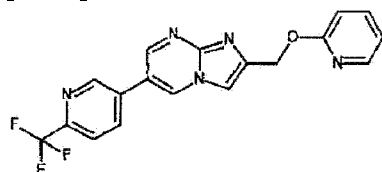


[0443] 5-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (4-fluorophenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0444] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.27 (s, 1H), 8.88 (d, 1H), 8.20 (d, 1H), 7.91 (s, 1H), 7.83 (m, 2H), 7.74 (m, 1H), 7.38 (m, 2H), 6.97 (m, 1H), 5.47 (s, 2H)

Example 130: Synthesis of 2-(2-pyridyloxymethyl)-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine

[0445]



[0446] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and [6-(trifluoromethyl)-3-pyridyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0447] ¹H-NMR (CDCl₃, 500MHz) δ 8.95 (s, 1H), 8.77 (s, 1H), 8.63 (s, 1H), 8.19 (s, 1H), 8.09 (d, 1H), 7.86 (s, 1H), 7.73 (s, 1H), 7.61 (s, 1H), 6.86 (m, 2H), 5.67 (s, 2H)

Example 131: Synthesis of 2-[(5-fluoro-2-pyridyl)oxymethyl]-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidine

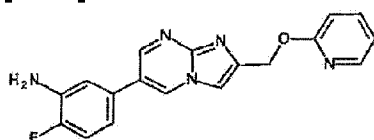


[0455] 5-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (3-amino-4-fluoro-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0456] ¹H-NMR (CDCl₃, 500MHz) δ 8.74 (s, 1H), 8.45 (s, 1H), 8.03 (s, 1H), 7.63 (s, 1H), 7.38 (t, 1H), 7.12 (m, 1H), 6.95 (m, 1H), 6.84 (m, 2H), 5.64 (s, 2H), 3.92 (s, 2H)

Example 134: Synthesis of 2-fluoro-5-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]aniline

[0457]

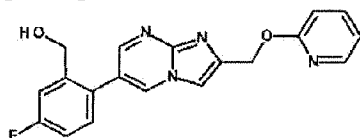


[0458] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and (3-amino-4-fluoro-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0459] ¹H-NMR (CDCl₃, 500MHz) δ 8.73 (s, 1H), 8.44 (s, 1H), 8.21 (s, 1H), 7.62 (m, 2H), 7.11 (m, 1H), 6.92 (m, 4H), 5.65 (s, 2H), 3.92 (s, 2H)

Example 135: Synthesis of [5-fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl] methanol

[0460]



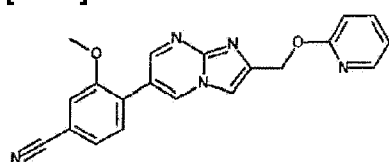
[0461] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-

a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and [4-fluoro-2-(hydroxymethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0462] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.96 (s, 1H), 8.54 (s, 1H), 8.20 (d, 1H), 7.89 (s, 1H), 7.75 (t, 1H), 7.40 (m, 2H), 7.24 (m, 1H), 7.00 (m, 1H), 6.89 (d, 1H), 5.49 (s, 2H), 5.40 (m, 1H), 4.45 (d, 2H)

Example 136: Synthesis of 3-methoxy-4-[2-(2-pyridyloxymethyl) imidazo[1,2-a]pyrimidin-6-yl]benzonitrile

[0463]

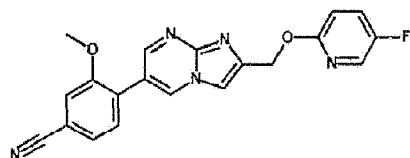


[0464] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and (4-cyano-2-methoxy-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0465] ¹H-NMR (CDCl₃, 500MHz) δ 8.66 (s, 1H), 8.60 (s, 1H), 8.18 (d, 1H), 7.64 (s, 1H), 7.60 (m, 1H), 7.47 (d, 1H), 7.40 (d, 1H), 7.26 (d, 1H), 6.90 (m, 1H), 6.84 (m, 1H), 5.63 (s, 1H), 3.89 (s, 3H)

Example 137: Synthesis of 4-[2-[(5-fluoro-2-pyridyl)oxymethyl] imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitrile

[0466]



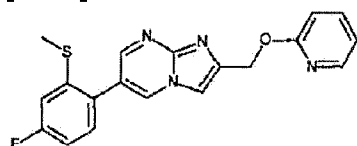
[0467] 5-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and (4-cyano-2-methoxy-phenyl)boronic acid were used in the same manner as in Example 1-

3 to obtain the title compound.

[0468] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.16 (s, 1H), 8.69 (s, 1H), 8.17 (s, 1H), 7.93 (s, 1H), 7.72 (m, 3H), 7.58 (m, 1H), 6.95 (m, 1H), 5.45 (s, 2H), 3.88 (s, 3H)

Example 138: Synthesis of 6-(4-fluoro-2-methylsulfanyl-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine

[0469]

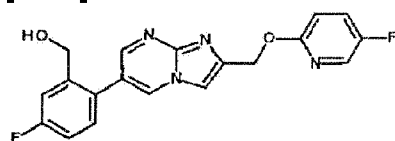


[0470] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and (4-fluoro-2-methylsulfanyl-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0471] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.99 (s, 1H), 8.51 (s, 1H), 8.22 (d, 1H), 7.94 (s, 1H), 7.76 (m, 1H), 7.41 (m, 1H), 7.28 (d, 1H), 7.15 (t, 1H), 7.01 (t, 1H), 6.90 (d, 1H), 5.51 (s, 2H), 2.48 (s, 3H)

Example 139: Synthesis of [5-fluoro-2-[2-[(5-fluoro-2-pyridyl) oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol

[0472]

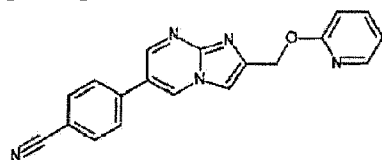


[0473] 5-Fluoropyridin-2-ol as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine and [4-fluoro-2-(hydroxymethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0474] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.99 (s, 1H), 8.54 (s, 1H), 8.21 (s, 1H), 7.89 (s, 1H), 7.71 (t, 1H), 7.43 (m, 2H), 7.22 (t, 1H), 6.97 (d, 1H), 5.46 (s, 2H), 5.41 (s, 1H), 4.45 (s, 2H)

Example 140: Synthesis of 4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]benzonitrile

[0475]

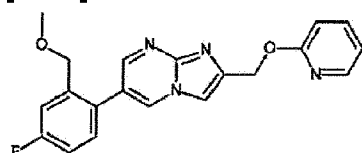


[0476] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and (4-cyanophenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0477] ¹H-NMR (CDCl₃, 500MHz) δ 8.81 (s, 1H), 8.60 (s, 1H), 8.22 (s, 1H), 7.85 (d, 2H), 7.71 (t, 3H), 7.63 (m, 1H), 6.95 (m, 1H), 6.89 (m, 1H), 5.69 (s, 2H)

Example 141: Synthesis of 6-[4-fluoro-2-(methoxymethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine

[0478]

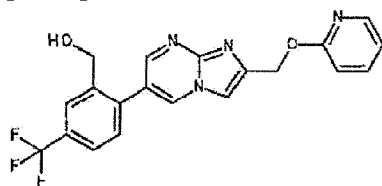


[0479] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and [4-fluoro-2-(methoxymethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0480] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.95 (s, 1H), 8.52 (s, 1H), 8.20 (t, 1H), 7.91 (s, 1H), 7.74 (m, 1H), 7.48 (m, 1H), 7.36 (m, 1H), 7.31 (m, 1H), 7.02 (m, 1H), 6.88 (d, 1H), 5.49 (s, 2H), 4.37 (s, 2H), 3.23 (s, 3H)

Example 142: Synthesis of [2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]-5-(trifluoromethyl)phenyl]methanol

[0481]

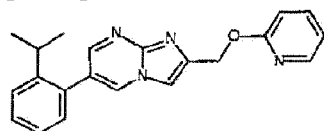


[0482] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yl)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yl)methylimidazo[1,2-a]pyrimidine and [2-(hydroxymethyl)-4-(trifluoromethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0483] ¹H-NMR (CDCl₃, 500MHz) δ 8.63 (s, 1H), 8.55 (d, 1H), 8.20 (d, 1H), 7.89 (s, 1H), 7.72 (d, 1H), 7.63 (m, 2H), 7.49 (d, 1H), 6.93 (t, 1H), 6.85 (d, 1H), 5.63 (s, 2H), 4.72 (s, 2H)

Example 143: Synthesis of 6-(2-isopropylphenyl)-2-(2-pyridyl)methylimidazo[1,2-a]pyrimidine

[0484]

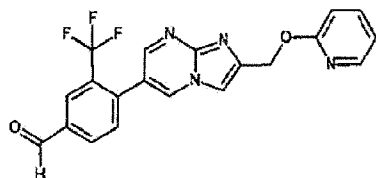


[0485] 2-hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yl)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yl)methylimidazo[1,2-a]pyrimidine and (2-isopropylphenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0486] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.92 (s, 1H), 8.46 (s, 1H), 8.20 (s, 1H), 7.90 (s, 1H), 7.73 (t, 1H), 7.45 (m, 2H), 7.28 (t, 2H), 7.02 (t, 1H), 6.89 (d, 1H), 5.50 (s, 2H), 2.93 (m, 1H), 1.14 (d, 6H)

Example 144: Synthesis of 4-[2-(2-pyridyl)methylimidazo[1,2-a]pyrimidin-6-yl]-3-(trifluoromethyl)benzaldehyde

[0487]

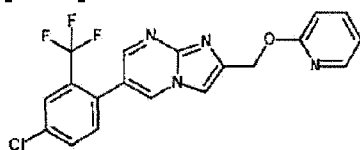


[0488] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and [4-formyl-2-(trifluoromethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0489] ¹H-NMR (DMSO-d₆, 500MHz) δ 10.18 (s, 1H), 9.10 (s, 1H), 8.53 (s, 1H), 8.40 (s, 1H), 8.29 (d, 1H), 8.19 (d, 1H), 7.95 (s, 1H), 7.87 (d, 1H), 7.74 (t, 1H), 7.02 (t, 1H), 6.90 (d, 1H), 5.51 (s, 2H)

Example 145: Synthesis of 6-[4-chloro-2-(trifluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine

[0490]

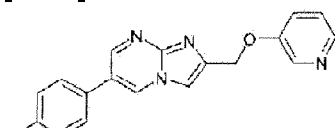


[0491] 2-Hydroxypyridine as a starting material and silver carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and [4-chloro-2-(trifluoromethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0492] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.38 (s, 1H), 8.91 (s, 1H), 8.31 (s, 1H), 8.23 (d, 1H), 8.07 (s, 1H), 7.98 (d, 1H), 7.80 (t, 1H), 7.65 (d, 1H), 7.09 (t, 1H), 6.95 (d, 1H), 5.63 (s, 2H)

Example 146: Synthesis of 6-(4-fluorophenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0493]



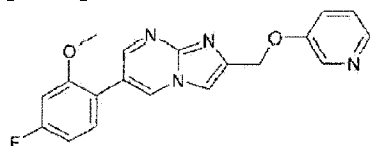
F ~

[0494] 3-Hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0495] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.79 (s, 1H), 8.53 (s, 1H), 8.46 (s, 1H), 8.25 (s, 1H), 7.68 (s, 1H), 7.55 (m, 2H), 7.39 (m, 1H), 7.25 (m, 3H), 5.43 (s, 2H)

Example 147: Synthesis of 6-(4-fluoro-2-methoxyphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0496]

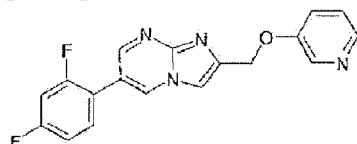


[0497] 3-Hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methoxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0498] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.70 (s, 1H), 8.52 (s, 1H), 8.46 (s, 1H), 8.27 (s, 1H), 7.63 (s, 1H), 7.35 (m, 2H), 7.24 (m, 1H), 6.84 (m, 2H), 5.43 (s, 2H), 3.87 (s, 3H)

Example 148: Synthesis of 6-(2,4-difluorophenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0499]



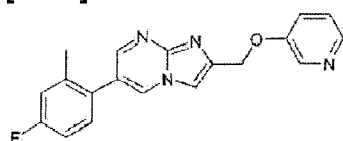
[0500] 3-Hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-3-yloxymethyl)imidazo[1,2-

a]pyrimidine. The obtained 6-bromo-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 2,4-difluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0501] ¹H-NMR (CDCl₃, 500MHz) δ 8.71 (s, 1H), 8.60 (s, 1H), 8.46 (s, 1H), 8.27 (s, 1H), 7.68 (s, 1H), 7.50 (m, 1H), 7.38 (m, 1H), 7.26 (m, 1H), 7.08 (m, 2H), 5.43 (s, 2H)

Example 149: Synthesis of 6-(4-fluoro-2-methylphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0502]

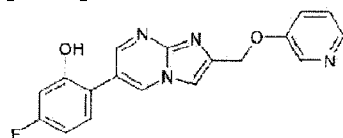


[0503] 3-Hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0504] ¹H-NMR (CDCl₃, 500MHz) δ 8.50 (s, 1H), 8.38 (d, 2H), 8.23 (s, 1H), 7.67 (s, 1H), 7.36 (m, 1H), 7.21 (m, 2H), 7.03 (m, 2H), 5.39 (s, 2H), 2.30 (s, 3H)

Example 150: Synthesis of 6-(4-fluoro-2-hydroxyphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0505]



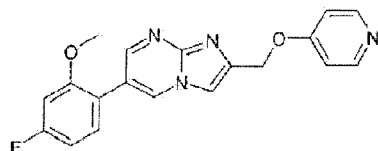
[0506] 3-Hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-hydroxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0507] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.21 (s, 1H), 8.75 (s, 1H), 8.45 (s, 1H), 8.19 (s, 1H),

7.98 (s, 1H), 7.55 (m, 1H), 7.45 (m, 1H), 7.34 (m, 1H), 6.62 (s, 2H), 5.34 (s, 2H), 4.05 (m, 1H)

Example 151: Synthesis of 6-(4-fluoro-2-methoxyphenyl)-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine

[0508]

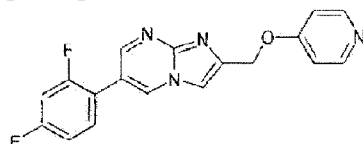


[0509] 4-Hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methoxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0510] ¹H-NMR (CDCl₃, 500MHz) δ 8.68 (s, 1H), 8.54 (s, 1H), 8.43 (s, 2H), 7.61 (s, 1H), 7.29 (m, 1H), 6.95 (d, 2H), 6.79 (m, 2H), 5.39 (s, 2H), 3.84 (s, 3H)

Example 152: Synthesis of 6-(2,4-difluorophenyl)-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine

[0511]

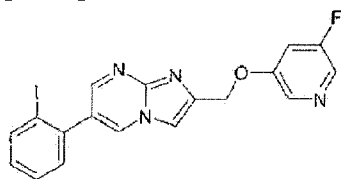


[0512] 4-Hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidine and 2,4-difluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0513] ¹H-NMR (CDCl₃, 500MHz) δ 8.68 (s, 1H), 8.62 (s, 1H), 8.45 (m, 2H), 7.67 (s, 1H), 7.47 (m, 1H), 7.06 (m, 1H), 7.02 (m, 1H), 6.95 (m, 2H), 5.39 (s, 2H)

Example 153: Synthesis of 6-(2-methylphenyl)-2-(5-fluoro-pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0514]

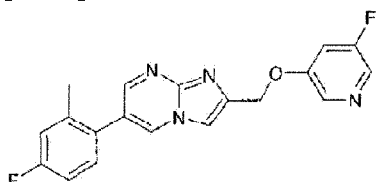


[0515] 3-Fluoro-5-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(5-fluoropyridin-3-yl)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(5-fluoropyridin-3-yl)methylimidazo[1,2-a]pyrimidine and 2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0516] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.62 (s, 1H), 8.36 (s, 1H), 8.31 (s, 1H), 8.16 (s, 1H), 7.66 (s, 1H), 7.38 (m, 4H), 7.19 (m, 1H), 5.44 (s, 2H), 2.35 (s, 3H)

Example 154: Synthesis of 6-(4-fluoro-2-methylphenyl)-2-(5-fluoropyridin-3-yl)methylimidazo[1,2-a]pyrimidine

[0517]

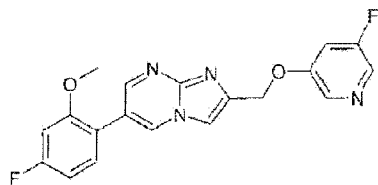


[0518] 3-Fluoro-5-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(5-fluoropyridin-3-yl)methylimidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(5-fluoropyridin-3-yl)methylimidazo[1,2-a]pyrimidine and 4-fluoro-2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0519] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.55 (s, 1H), 8.36 (s, 1H), 8.29 (s, 1H), 8.14 (s, 1H), 7.67 (s, 1H), 7.23 (m, 1H), 7.17 (m, 1H), 7.04 (m, 2H), 5.42 (s, 2H), 3.32 (s, 3H)

Example 155: Synthesis of 6-(4-fluoro-2-methoxyphenyl)-2-(5-fluoropyridin-3-yl)methylimidazo[1,2-a]pyrimidine

[0520]

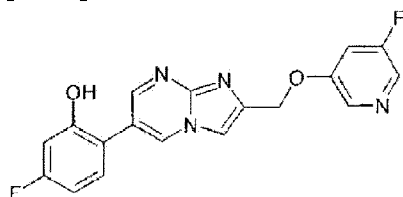


[0521] 3-Fluoro-5-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methoxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0522] $^1\text{H-NMR}$ (CDCl_3 , 500MHz) δ 8.71 (s, 1H), 8.52 (s, 1H), 8.29 (s, 1H), 8.14 (s, 1H), 7.62 (s, 1H), 7.33 (m, 1H), 7.16 (m, 1H), 6.82 (m, 2H), 5.41 (s, 2H), 3.87 (s, 3H)

Example 156: Synthesis of 6-(4-fluoro-2-hydroxyphenyl)-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0523]

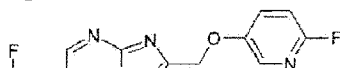


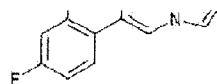
[0524] 3-Fluoro-5-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-hydroxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0525] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 10.52 (s, 1H), 9.10 (s, 1H), 8.71 (s, 1H), 8.31 (s, 1H), 8.20 (s, 1H), 8.03 (s, 1H), 7.65 (m, 1H), 7.47 (m, 1H), 6.80 (m, 2H), 5.38 (s, 2H)

Example 157: Synthesis of 6-(2,4-difluorophenyl)-2-(6-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0526]



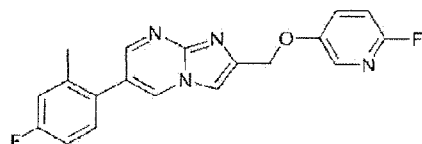


[0527] 6-Fluoro-3-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(6-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(6-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 2,4-difluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0528] ¹H-NMR (CDCl₃, 500MHz) δ 8.73 (s, 1H), 8.59 (s, 1H), 7.99 (s, 1H), 7.67 (s, 1H), 7.50 (m, 2H), 7.07 (m, 2H), 6.90 (m, 1H), 5.40 (s, 2H)

Example 158: Synthesis of 6-(4-fluoro-2-methylphenyl)-2-(6-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0529]

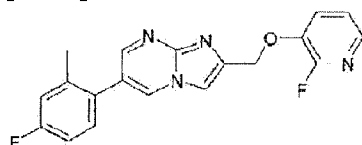


[0530] 2-Fluoro-5-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(6-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(6-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0531] ¹H-NMR (CDCl₃, 500MHz) δ 8.56 (s, 1H), 8.34 (s, 1H), 7.99 (s, 1H), 7.65 (s, 1H), 7.53 (m, 1H), 7.25 (m, 1H), 7.11 (m, 1H), 7.07 (m, 1H), 6.89 (m, 1H), 5.41 (s, 2H), 2.33 (s, 3H)

Example 159: Synthesis of 6-(4-fluoro-2-methylphenyl)-2-(2-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0532]

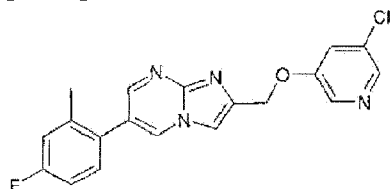


[0533] 2-Fluoro-3-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0534] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.17 (s, 1H), 8.79 (s, 1H), 8.17 (s, 1H), 7.94 (m, 1H), 7.80 (s, 1H), 7.44 (t, 1H), 7.38 (m, 1H), 7.30 (m, 1H), 7.21 (m, 1H), 5.50 (s, 2H), 2.34 (s, 3H)

Example 160: Synthesis of 6-(4-fluoro-2-methylphenyl)-2-(5-chloropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine

[0535]

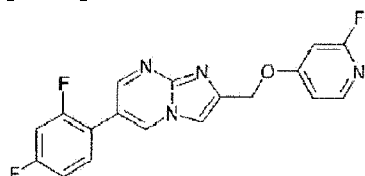


[0536] 5-Chloro-3-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(5-chloropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(5-chloropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0537] ¹H-NMR (CDCl₃, 500MHz) δ 8.56 (d, 1H), 8.35 (s, 2H), 8.24 (s, 1H), 7.66 (s, 1H), 7.40 (s, 1H), 7.25 (m, 1H), 7.05 (m, 2H), 5.42 (s, 2H), 2.33 (s, 3H)

Example 161: Synthesis of 6-(2,4-difluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine

[0538]



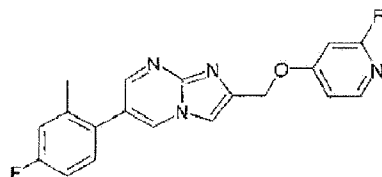
[0539] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-

ylloxymethyl)imidazo[1,2-a]pyrimidine and 2,4-difluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0540] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.20 (s, 1H), 8.73 (s, 1H), 8.04 (s, 1H), 7.99 (d, 1H), 7.68 (m, 1H), 7.41 (m, 1H), 7.22 (m, 1H), 6.97 (m, 1H), 6.86 (s, 1H), 5.35 (s, 2H)

Example 162: Synthesis of 6-(4-fluoro-2-methylphenyl)-2-(2-fluoropyridin-4-ylloxymethyl)imidazo[1,2-a]pyrimidine

[0541]

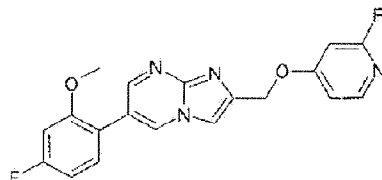


[0542] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-ylloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-ylloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0543] ¹H-NMR (CDCl₃, 500MHz) δ 8.53 (s, 1H), 8.29 (s, 1H), 8.04 (d, 1H), 7.60 (s, 1H), 7.20 (t, 1H), 7.03 (d, 1H), 7.00 (t, 1H), 6.86 (m, 1H), 6.54 (s, 1H), 5.40 (s, 2H), 2.29 (s, 3H)

Example 163: Synthesis of 6-(4-fluoro-2-methoxyphenyl)-2-(2-fluoropyridin-4-ylloxymethyl)imidazo[1,2-a]pyrimidine

[0544]

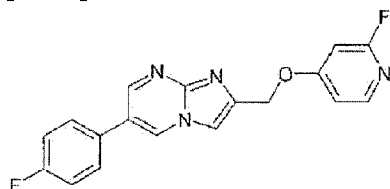


[0545] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-ylloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-ylloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-methoxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0546] ¹H-NMR (CDCl₃, 500MHz) δ 8.69 (s, 1H), 8.54 (s, 1H), 8.04 (s, 1H), 7.62 (s, 1H), 7.32 (m, 1H), 6.87 (d, 1H), 6.78 (m, 2H), 6.55 (s, 1H), 5.40 (s, 2H), 3.85 (s, 3H)

Example 164: Synthesis of 6-(4-fluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine

[0547]

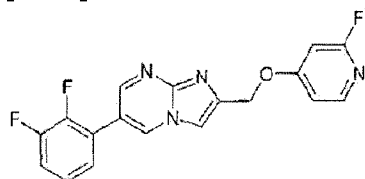


[0548] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0549] ¹H-NMR (CDCl₃, 500MHz) δ 8.80 (s, 1H), 8.51 (s, 1H), 8.07 (d, 1H), 7.65 (s, 1H), 7.54 (m, 2H), 7.24 (m, 2H), 6.88 (s, 1H), 6.57 (s, 1H), 5.43 (s, 2H)

Example 165: Synthesis of 6-(2,3-difluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine

[0550]

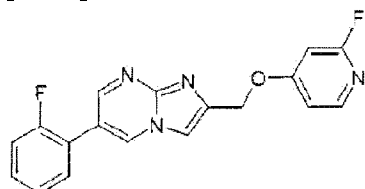


[0551] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine and 2,3-difluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0552] ¹H-NMR (CDCl₃, 500MHz) δ 8.78 (s, 1H), 8.68 (s, 1H), 8.08 (s, 1H), 7.70 (s, 1H), 7.29 (s, 3H), 6.89 (s, 1H), 6.58 (s, 1H), 5.44 (s, 2H)

Example 166: Synthesis of 6-(2-fluorophenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine

[0553]

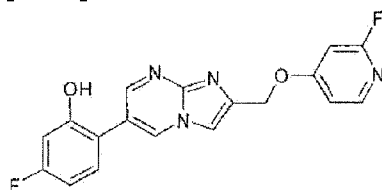


[0554] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine and 2-fluorophenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0555] ¹H-NMR (CDCl₃, 500MHz) δ 8.79 (s, 1H), 8.64 (s, 1H), 8.07 (s, 1H), 7.65 (s, 1H), 7.51 (m, 1H), 7.47 (m, 1H), 7.34 (m, 2H), 6.88 (s, 1H), 6.57 (s, 1H), 5.43 (s, 2H)

Example 167: Synthesis of 6-(4-fluoro-2-hydroxyphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine

[0556]

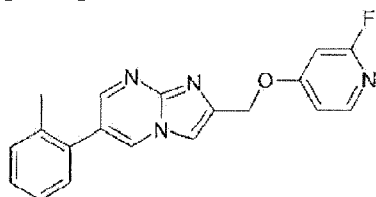


[0557] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-hydroxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0558] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.53 (s, 1H), 9.19 (s, 1H), 8.42 (s, 1H), 8.13 (s, 1H), 7.55 (m, 2H), 7.10 (s, 1H), 7.01 (m, 2H), 6.86 (m, 1H), 5.59 (s, 2H)

Example 168: Synthesis of 6-(2-methylphenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine

[0559]

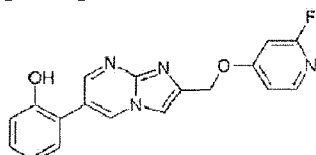


[0560] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine and 2-methylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0561] ¹H-NMR (CDCl₃, 500MHz) δ 8.61 (s, 1H), 8.35 (s, 1H), 8.07 (s, 1H), 7.63 (s, 1H), 7.39 (m, 4H), 6.88 (s, 1H), 6.58 (s, 1H), 5.44 (s, 2H), 2.34 (s, 3H)

Example 169: Synthesis of 6-(2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin-2-yl)-2-(2-hydroxyphenyl)

[0562]

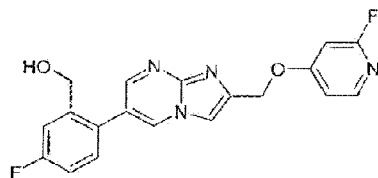


[0563] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine and 2-hydroxyphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0564] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.14 (s, 1H), 8.76 (s, 1H), 8.07 (m, 2H), 7.43 (m, 1H), 7.25 (m, 1H), 7.06 (m, 1H), 7.00 (m, 1H), 6.95 (m, 2H), 5.40 (s, 2H)

Example 170: Synthesis of 6-(4-fluoro-2-(2-hydroxymethyl)phenyl)-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine

[0565]

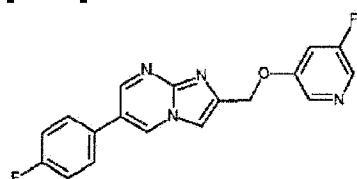


[0566] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine and 4-fluoro-2-hydroxymethylphenylboronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0567] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 9.03 (s, 1H), 8.60 (s, 1H), 8.09 (s, 1H), 8.04 (s, 1H), 7.42 (m, 2H), 7.26 (m, 1H), 7.07 (d, 1H), 6.97 (s, 1H), 5.43 (s, 2H), 4.48 (m, 2H), 4.11 (m, 1H)

Example 171: Synthesis of 6-(4-fluorophenyl)-2-[(5-fluoro-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidine

[0568]

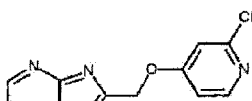


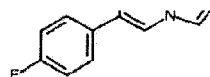
[0569] 3-Fluoro-5-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and (4-fluorophenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0570] $^1\text{H-NMR}$ (DMSO-d_6 , 500MHz) δ 9.30 (s, 1H), 8.91 (s, 1H), 8.32 (s, 1H), 8.20 (s, 1H), 8.02 (s, 1H), 7.82 (t, 2H), 7.66 (d, 1H), 7.39 (t, 2H), 5.39 (s, 2H)

Example 172: Synthesis of 2-[(2-chloro-4-pyridyl)oxymethyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidine

[0571]



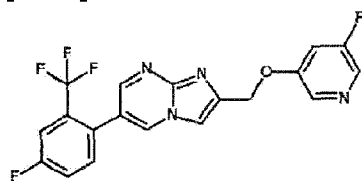


[0572] 2-Chloro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-chloropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-chloropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine and (4-fluorophenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0573] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.30 (s, 1H), 8.91 (s, 1H), 8.24 (d, 1H), 8.01 (s, 1H), 7.83 (m, 2H), 7.39 (t, 2H), 7.30 (s, 1H), 7.15 (m, 1H), 5.42 (s, 2H)

Example 173: Synthesis of 2-[(5-fluoro-3-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine

[0574]

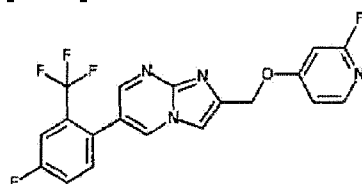


[0575] 3-Fluoro-5-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and [4-fluoro-2-(trifluoromethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0576] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.08 (s, 1H), 8.51 (s, 1H), 8.32 (m, 1H), 8.21 (m, 1H), 7.98 (m, 1H), 7.88 (m, 1H), 7.70 (m, 2H), 7.65 (m, 1H), 5.40 (s, 2H)

Example 174: Synthesis of 2-[(2-fluoro-4-pyridyl)oxymethyl]-6-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrimidine

[0577]

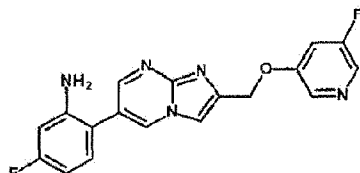


[0578] 2-Fluoro-4-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidine and [4-fluoro-2-(trifluoromethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0579] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.35 (s, 1H), 8.83 (s, 1H), 8.33 (s, 1H), 8.09 (d, 1H), 7.88 (m, 1H), 7.77 (m, 1H), 7.67 (m, 1H), 7.07 (d, 1H), 6.98 (s, 1H), 5.59 (s, 2H)

Example 175: Synthesis of 5-fluoro-2-[2-[(5-fluoro-3-pyridyl) oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]aniline

[0580]

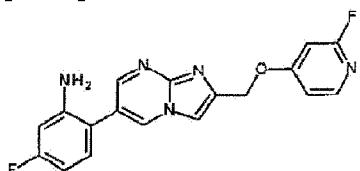


[0581] 3-Fluoro-5-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(5-fluoropyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidine and (2-amino-4-fluoro-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0582] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.92 (s, 1H), 8.45 (s, 1H), 8.29 (s, 1H), 8.18 (s, 1H), 7.97 (s, 1H), 7.64 (d, 1H), 7.08 (t, 1H), 6.52 (d, 1H), 6.43 (m, 1H), 5.47 (s, 2H), 5.37 (s, 2H)

Example 176: Synthesis of 5-fluoro-2-[2-[(2-fluoro-4-pyridyl) oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]aniline

[0583]



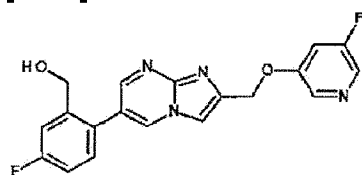
[0584] 3-Fluoro-5-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(2-fluoropyridin-4-

ylloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(2-fluoropyridin-4-ylloxymethyl)imidazo[1,2-a]pyrimidine and (2-amino-4-fluoro-phenyl)boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0585] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.92 (s, 1H), 8.45 (s, 1H), 8.05 (d, 1H), 7.98 (s, 1H), 7.06 (m, 2H), 6.93 (s, 1H), 6.52 (d, 1H), 6.42 (t, 1H), 5.47 (m, 2H), 5.40 (s, 2H)

Example 177: Synthesis of [5-fluoro-2-[2-[(5-fluoro-3-pyridyl) oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol

[0586]

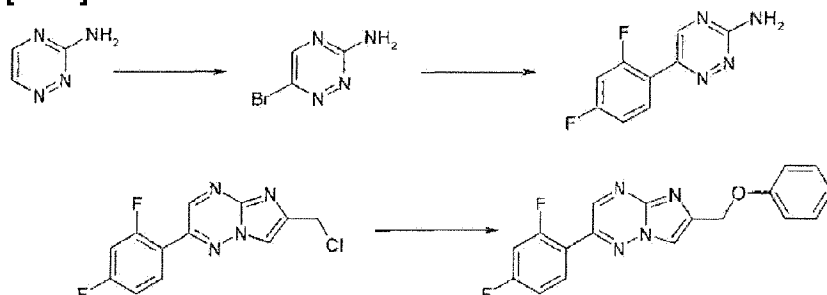


[0587] 3-Fluoro-5-hydroxypyridine as a starting material and cesium carbonate were used in the same manner as in Example 1-2 to obtain 6-bromo-2-(5-fluoropyridin-3-ylloxymethyl)imidazo[1,2-a]pyrimidine. The obtained 6-bromo-2-(5-fluoropyridin-3-ylloxymethyl)imidazo[1,2-a]pyrimidine and [4-fluoro-2-(hydroxymethyl)phenyl]boronic acid were used in the same manner as in Example 1-3 to obtain the title compound.

[0588] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.01 (s, 1H), 8.56 (s, 1H), 8.30 (s, 1H), 8.21 (s, 1H), 8.02 (s, 1H), 7.64 (d, 1H), 7.40 (m, 2H), 7.22 (t, 1H), 5.41 (s, 1H), 5.40 (s, 2H), 4.46 (s, 2H)

Example 178: Synthesis of 2-(2,4-difluorophenyl)-6-(phenoxy)methylimidazo[1,2-b][1,2,4]triazine

[0589]



Example 178-1: Synthesis of 6-bromo-1,2,4-triazine-3-amine

[0590] 1,2,4-Triazine-3-amine (2 g, 20.814 mmol) was dissolved in acetonitrile (20.8 ml) and water (31.5 ml). After the reaction temperature was cooled to 0°C, N-bromosuccinimide (3.89 g, 20.855 mmol) was added thereto. The resulting mixture was agitated for 10 minutes, and then heated to room temperature and agitated.

[0591] After the reaction termination, the resulting mixture was diluted with ethyl acetate and cooled to 0°C. Sodium carbonate was added thereto, agitated for 10 minutes, and washed with saturated sodium bicarbonate and brine. After drying with anhydrous magnesium sulfate and filtration, the solvent was concentrated under reduced pressure to obtain 6-bromo-1,2,4-triazine-3-amine (amount: 2.4 g, yield: 67%).

Example 178-2: Synthesis of 6-(2,4-difluorophenyl)-1,2,4-triazine-3-amine

[0592] 6-Bromo-1,2,4-triazine-3-amine (0.5 g, 2.857 mmol), 2,4-difluorophenylboronic acid (0.68 g, 4.286 mmol), 2N sodium carbonate and [1,1'-bis(diphenylphosphine)ferrocene]dichloropalladium(II) complex with dichloromethane (0.28 g, 0.343 mmol) were added to 1,2-dimethoxyethane (28.6 ml) and agitated at 90°C overnight. After the temperature of the reaction mixture was cooled to room temperature, the reaction mixture was filtrated with Cellite™ pad, and the solvent was concentrated under reduced pressure, and then washed with ethyl acetate and filtrated to obtain yellow solid, 6-(2,4-difluorophenyl)-1,2,4-triazine-3-amine (amount: 0.82 g, yield: 46%).

Example 178-3: Synthesis of 6-(chloromethyl)-2-(2,4-difluorophenyl)imidazo[1,2-b][1,2,4]triazine

[0593] 6-(2,4-Difluorophenyl)-1,2,4-triazine-3-amine (0.2 g, 0.961 mmol) was dissolved in dimethylformamide (4.8 ml), and 1,3-dichloroacetone (0.24 g, 1.922 mmol) was added thereto and agitated at 110°C for 2 hours. After the reaction termination, water and ethyl acetate were added thereto and extracted. After drying with anhydrous magnesium sulfate and filtration, the solvent was concentrated under reduced pressure. Flash column chromatography was carried out to obtain yellow solid, 6-(chloromethyl)-2-(2,4-difluorophenyl)imidazo[1,2-b][1,2,4]triazine (amount: 80 mg, yield: 30%).

Example 178-4: Synthesis of 2-(2,4-difluorophenyl)-6-phenoxyethylimidazo[1,2-b][1,2,4]triazine

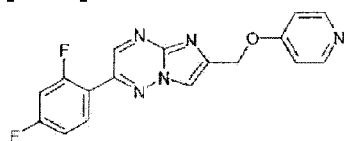
[0594] 6-(Chloromethyl)-2-(2,4-difluorophenyl)imidazo[1,2-b][1,2,4]triazine (20 mg, 0.071 mmol) was dissolved in dimethylformamide (1 ml), and phenol (14 mg, 0.143 mmol) and potassium carbonate (69 mg, 0.213 mmol) were added thereto and agitated at 60°C for 2

hours. After the reaction termination, the resulting mixture was filtrated and the solvent was concentrated under reduced pressure. Flash column chromatography was carried out to obtain white solid, 2-(2,4-difluorophenyl)-6-phenoxyimidazo[1,2-b][1,2,4]triazine (amount: 12 mg, yield: 50%).

[0595] ¹H-NMR (CDCl₃, 500MHz) δ 8.86 (s, 1H), 8.06 (s, 1H), 7.90 (m, 1H), 7.33 (m, 2H), 7.12 (m, 1H), 7.05 (m, 3H), 7.00 (m, 1H), 5.43 (s, 2H)

Example 179: Synthesis of 2-(2,4-difluorophenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine

[0596]

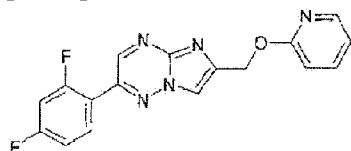


[0597] 6-(Chloromethyl)-2-(2,4-difluorophenyl)imidazo[1,2-b][1,2,4]triazine (66 mg, 0.235 mmol) obtained in Example 178-3 was dissolved in dimethylformamide (2.35 ml), and 4-hydroxypyridine (27 mg, 0.282 mmol) and cesium carbonate (0.23 g, 0.705 mmol) were added thereto and agitated at 40°C for 2 hours. After the reaction termination, the resulting mixture was filtrated with Cellite™ pad, and the solvent was concentrated under reduced pressure. Column chromatography was carried out to obtain the title compound (amount: 10 mg, yield: 11%).

[0598] ¹H-NMR (CDCl₃, 500MHz) δ 8.88 (s, 1H), 8.50 (m, 2H), 8.05 (s, 1H), 7.89 (m, 1H), 7.09 (m, 2H), 6.99 (s, 2H), 5.49 (s, 2H)

Example 180: Synthesis of 2-(2,4-difluorophenyl)-6-((pyridin-2-yloxy)methyl)imidazo[1,2-b][1,2,4]triazine

[0599]



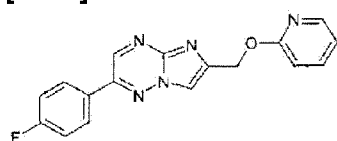
[0600] 6-(Chloromethyl)-2-(2,4-difluorophenyl)imidazo[1,2-b][1,2,4]triazine (90 mg, 0.321 mmol) obtained in Example 178-3 was dissolved in dimethylformamide (3.2 ml), and 2-hydroxypyridine (37 mg, 0.385 mmol) and silver carbonate were added thereto and agitated at

40°C for 2 hours. After the reaction termination, the resulting mixture was filtrated with Cellite™ pad, and the solvent was concentrated under reduced pressure. Column chromatography was carried out to obtain the title compound (amount: 23 mg, yield: 19%).

[0601] ¹H-NMR (CDCl₃, 500MHz) δ 8.85 (s, 1H), 8.22 (s, 1H), 8.08 (s, 1H), 7.91 (m, 1H), 7.64 (m, 1H), 7.10 (m, 2H), 6.93 (m, 2H), 5.72 (s, 2H)

Example 181: Synthesis of 2-(4-fluorophenyl)-6-((pyridin-4-yloxy)methyl) imidazo[1,2-b][1,2,4]triazine

[0602]

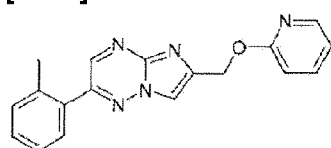


[0603] (4-Fluorophenyl)boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-(4-fluorophenyl)imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-(4-fluorophenyl)imidazo[1,2-b][1,2,4]triazine was used in the same manner as in Example 180 to obtain the title compound.

[0604] ¹H-NMR (CDCl₃, 500MHz) δ 8.85 (s, 1H), 8.20 (s, 1H), 8.04 (s, 1H), 7.98 (m, 2H), 7.62 (t, 1H), 7.28 (m, 2H), 6.92 (m, 1H), 6.86 (m, 1H), 5.69 (s, 2H)

Example 182: Synthesis of 2-(2-methylphenyl)-6-((pyridin-4-yloxy)methyl) imidazo[1,2-b][1,2,4]triazine

[0605]

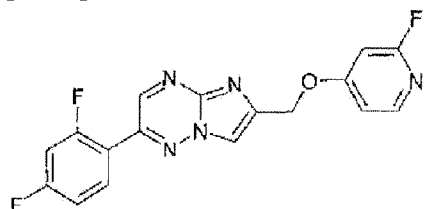


[0606] (2-Methylphenyl)boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-(2-methylphenyl)imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-(2-methylphenyl)imidazo[1,2-b][1,2,4]triazine was used in the same manner as in Example 180 to obtain the title compound.

[0607] ¹H-NMR (CDCl₃, 500MHz) δ 8.56 (s, 1H), 8.20 (s, 1H), 8.04 (s, 1H), 7.62 (m, 1H), 7.46 (m, 2H), 7.36 (m, 2H), 6.91 (m, 1H), 6.86 (m, 1H), 5.70 (s, 2H), 2.43 (s, 3H)

Example 183: Synthesis of 2-(2,4-difluorophenyl)-6-((2-fluoropyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4] triazine

[0608]

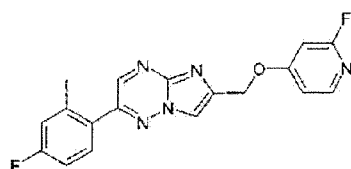


[0609] 6-(Chloromethyl)-2-(2,4-difluorophenyl)imidazo[1,2-b][1,2,4]triazine obtained in Example 178-3 as a starting material and 2-fluoro-4-hydroxypyridine were used in the same manner as in Example 179 to obtain the title compound.

[0610] ¹H-NMR (CDCl₃, 500MHz) δ 8.89 (s, 1H), 8.07 (m, 2H), 7.91 (m, 1H), 7.12 (m, 1H), 7.06 (m, 1H), 6.89 (s, 1H), 6.57 (s, 1H), 5.46 (s, 2H)

Example 184: Synthesis of 2-(4-fluoro-2-methylphenyl)-6-((2-fluoropyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4] triazine

[0611]

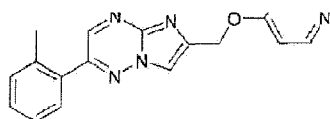


[0612] (4-Fluoro-2-methyl-phenyl)boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-(4-fluoro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-(4-fluoro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine and 2-fluoro-4-hydroxypyridine were used in the same manner as in Example 179 to obtain the title compound.

[0613] ¹H-NMR (CDCl₃, 500MHz) δ 8.59 (s, 1H), 8.09 (t, 1H), 8.02 (d, 1H), 7.45 (m, 1H), 7.10 (m, 2H), 6.89 (m, 1H), 6.58 (d, 1H), 5.44 (s, 2H), 2.47 (s, 3H)

Example 185: Synthesis of 2-(2-methylphenyl)-6-((2-fluoropyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4] triazine

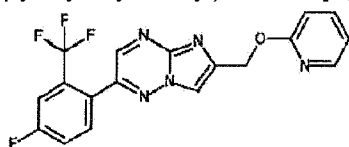




[0615] (2-Methylphenyl)boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-(o-tolyl)imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-(o-tolyl)imidazo[1,2-b][1,2,4]triazine and 2-fluoro-4-hydroxypyridine were used in the same manner as in Example 179 to obtain the title compound.

[0616] ¹H-NMR (CDCl₃, 500MHz) δ 8.64 (s, 1H), 8.08 (d, 1H), 8.05 (d, 1H), 7.48 (t, 2H), 7.40 (m, 2H), 6.91 (m, 1H), 6.59 (s, 1H), 5.47 (s, 2H), 2.47 (s, 3H)

[0617] Example 186: Synthesis of 2-[4-fluoro-2-(trifluoromethyl)phenyl]-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine

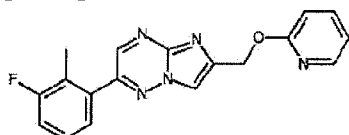


[0618] [4-Fluoro-2-(trifluoromethyl)phenyl]boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-[4-fluoro-2-(trifluoromethyl)phenyl]imidazo[1,2-b][1,2,4]triazine and 2-hydroxypyridine were used in the same manner as in Example 180 to obtain the title compound.

[0619] ¹H-NMR (CDCl₃, 500MHz) δ 8.52 (s, 1H), 8.21 (d, 1H), 8.07 (s, 1H), 7.61 (m, 3H), 7.46 (m, 1H), 6.94 (m, 1H), 6.89 (d, 1H), 5.73 (s, 2H)

Example 187: Synthesis of 2-(3-fluoro-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine

[0620]



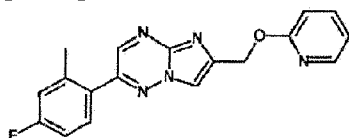
[0621] (3-Fluoro-2-methyl-phenyl)boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-(3-fluoro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-(3-fluoro-2-

methyl-phenyl)imidazo[1,2-b][1,2,4]triazine and 2-hydroxypyridine were used in the same manner as in Example 180 to obtain the title compound.

[0622] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.78 (s, 1H), 8.41 (s, 1H), 8.22 (d, 1H), 7.76 (t, 1H), 7.44 (s, 2H), 7.40 (m, 1H), 7.03 (t, 1H), 6.91 (t, 1H), 5.55 (s, 2H), 2.30 (s, 3H)

Example 188: Synthesis of 2-(4-fluoro-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine

[0623]

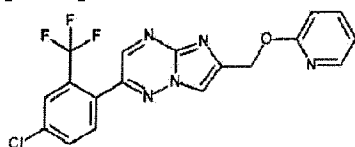


[0624] (4-Fluoro-2-methyl-phenyl)boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-(4-fluoro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-(4-fluoro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine and 2-hydroxypyridine were used in the same manner as in Example 180 to obtain the title compound.

[0625] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.77 (s, 1H), 8.39 (s, 1H), 8.22 (d, 1H), 7.76 (m, 1H), 7.64 (m, 1H), 7.30 (m, 1H), 7.24 (t, 1H), 7.03 (t, 1H), 6.91 (d, 1H), 5.55 (s, 2H), 2.43 (s, 3H)

Example 189: Synthesis of 2-[4-chloro-2-(trifluoromethyl)phenyl]-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine

[0626]

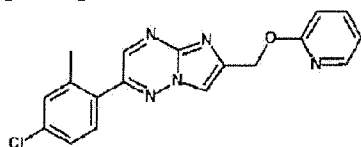


[0627] [4-Chloro-2-(trifluoromethyl)phenyl]boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-[4-chloro-2-(trifluoromethyl)phenyl]imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-[4-chloro-2-(trifluoromethyl)phenyl]imidazo[1,2-b][1,2,4]triazine and 2-hydroxypyridine were used in the same manner as in Example 180 to obtain the title compound.

[0628] ¹H-NMR (CDCl₃, 500MHz) δ 8.51 (s, 1H), 8.21 (d, 1H), 8.06 (s, 1H), 7.87 (s, 1H), 7.73 (d, 1H), 7.63 (t, 1H), 7.53 (d, 1H), 6.94 (t, 1H), 6.88 (d, 1H), 5.72 (s, 2H)

Example 190: Synthesis of 2-(4-chloro-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazine

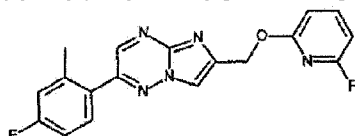
[0629]



[0630] (4-Chloro-2-methyl-phenyl)boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-(4-chloro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-(4-chloro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine and 2-hydroxypyridine were used in the same manner as in Example 180 to obtain the title compound.

[0631] ¹H-NMR (CDCl₃, 500MHz) δ 8.55 (s, 1H), 8.22 (d, 1H), 8.07 (s, 1H), 7.65 (m, 1H), 7.41 (m, 3H), 6.95 (m, 1H), 6.89 (m, 1H), 5.72 (s, 2H), 2.45 (s, 3H)

[0632] Example 191: Synthesis of 2-(4-fluoro-2-methyl-phenyl)-6-[(6-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-b][1,2,4]triazine

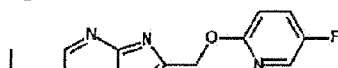


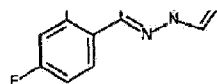
[0633] (4-Fluoro-2-methyl-phenyl)boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-(4-fluoro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-(4-fluoro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine and 6-fluoropyridin-2-ol were used in the same manner as in Example 180 to obtain the title compound.

[0634] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.78 (s, 1H), 8.42 (s, 1H), 7.93 (t, 1H), 7.65 (t, 1H), 7.30 (m, 1H), 7.24 (m, 1H), 6.87 (m, 1H), 6.77 (m, 1H), 5.50 (s, 2H), 2.42 (s, 3H)

Example 192: Synthesis of 2-(4-fluoro-2-methyl-phenyl)-6-[(5-fluoro-2-pyridyl)oxymethyl]imidazo[1,2-b][1,2,4]triazine

[0635]



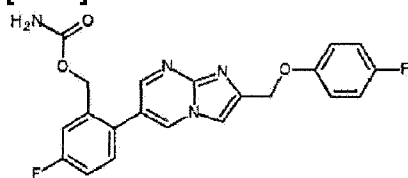


[0636] (4-Fluoro-2-methyl-phenyl)boronic acid as a starting material was used in the same manner as in Example 178-2 and Example 178-3 to obtain 6-(chloromethyl)-2-(4-fluoro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine. The obtained 6-(chloromethyl)-2-(4-fluoro-2-methyl-phenyl)imidazo[1,2-b][1,2,4]triazine and 5-fluoropyridin-2-ol were used in the same manner as in Example 180 to obtain the title compound.

[0637] $^1\text{H-NMR}$ (DMSO- d_6 , 500MHz) δ 8.77 (s, 1H), 8.39 (s, 1H), 8.19 (s, 1H), 7.74 (m, 1H), 7.63 (m, 1H), 7.31 (m, 1H), 7.24 (m, 1H), 6.98 (m, 1H), 5.52 (s, 2H), 2.42 (s, 3H)

Example 193: Synthesis of [5-fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methyl carbamate

[0638]

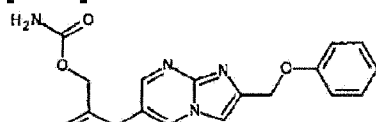


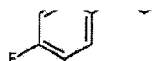
[0639] [5-Fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol (100 mg, 0.27 mmol) obtained in Example 97 was dissolved in dimethylformamide (5 ml), and 1,1'-carbonyldiimidazole (88 mg, 0.54 mmol) was added thereto and agitated at room temperature for 30 minutes. Ammonia water (5 ml) was added to this solution and agitated at room temperature for 4 hours. Ethyl acetate was added to the reaction solution and extracted. After drying with anhydrous magnesium sulfate and filtration, the solvent was concentrated under reduced pressure. Flash column chromatography was carried out to obtain the title compound (amount: 88 mg, yield: 80%).

[0640] $^1\text{H-NMR}$ (DMSO- d_6 , 500MHz) δ 9.00 (s, 1H), 8.55 (s, 1H), 7.94 (s, 1H), 7.49 (t, 1H), 7.36 (m, 2H), 7.09 (m, 4H), 6.70 (m, 2H), 5.25 (s, 2H), 4.94 (s, 2H), 3.32 (s, 3H)

Example 194: Synthesis of [5-fluoro-2-[2-(phenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methyl carbamate

[0641]



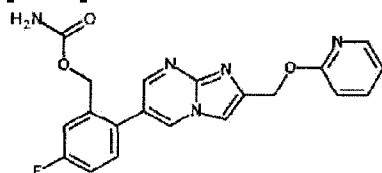


[0642] [5-Fluoro-2-[2-(phenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol obtained in Example 25 was used in the same manner as in Example 193 to obtain the title compound.

[0643] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.01 (s, 1H), 8.56 (s, 1H), 7.96 (s, 2H), 7.52 (m, 1H), 7.37 (m, 4H), 7.09 (m, 2H), 6.98 (m, 1H), 6.67 (m, 1H), 6.56 (m, 1H), 5.29 (s, 2H), 4.95 (s, 1H)

Example 195: Synthesis of 15-fluoro-2-12-(2-pyridyloxymethyl) imidazo[1,2-a]pyrimidin-6-yl]phenyl]methyl carbamate

[0644]

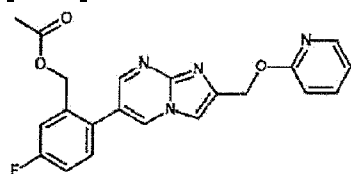


[0645] [5-Fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol obtained in Example 135 was used in the same manner as in Example 193 to obtain the title compound.

[0646] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.99 (s, 1H), 8.55 (s, 1H), 8.22 (d, 1H), 7.91 (s, 1H), 7.77 (m, 1H), 7.50 (m, 1H), 7.37 (m, 2H), 7.04 (m, 1H), 6.92 (m, 1H), 6.68 (m, 1H), 6.57 (m, 1H), 5.52 (s, 2H), 4.95 (s, 2H)

Example 196: Synthesis of [5-fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methyl acetate

[0647]



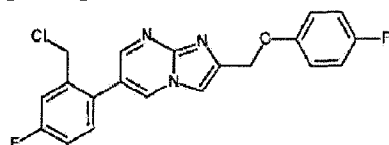
[0648] [5-Fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol(100 mg, 0.29 mmol) obtained in Example 135 was dissolved in dimethylformamide (5 ml), and trimethylamine (58 mg, 0.57 mmol) and acetyl chloride (31 mg, 0.4 mmol) were added thereto at 0°C and agitated at room temperature for 2 hours. After the reaction termination, water and

ethyl acetate were added to the reaction solution and extracted. After drying ethyl acetate solution with anhydrous magnesium sulfate and filtration, the solvent was concentrated under reduced pressure. Flash column chromatography was carried out to obtain the title compound (amount: 57 mg, yield: 50%).

[0649] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.96 (s, 1H), 8.51 (s, 1H), 8.20 (t, 1H), 7.90 (s, 1H), 7.73 (t, 1H), 7.48 (t, 1H), 7.41 (m, 1H), 7.36 (m, 1H), 7.01 (t, 1H), 6.89 (d, 1H), 5.49 (s, 2H), 5.03 (s, 2H), 1.98 (s, 3H)

Example 197: Synthesis of 6-[2-(chloromethyl)-4-fluoro-phenyl]-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine

[0650]

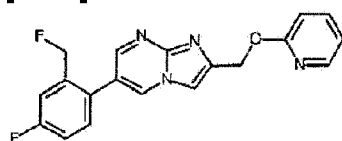


[0651] [5-Fluoro-2-[2- [(4-fluorophenoxy)methyl]imidazo [1,2-a]pyrimidin-6-yl]phenyl]methanol (100 mg, 0.27 mmol) obtained in Example 97 was dissolved in dimethylformamide (5 ml), and trimethylamine (58 mg, 0.57 mmol) and methanesulfonyl chloride (65 mg, 0.57 mmol) were added thereto at 0°C and agitated at room temperature for 2 hours. Ethyl acetate and water were added to the reaction solution and extracted. The ethyl acetate solution was dried with anhydrous magnesium sulfate and filtrated, and the solvent was concentrated under reduced pressure. Flash column chromatography was carried out to obtain the title compound (amount: 73 mg, yield: 70%).

[0652] ¹H-NMR (DMSO-d₆, 500MHz) δ 9.00 (s, 1H), 8.54 (s, 1H), 7.95 (s, 1H), 7.50 (m, 2H), 7.38 (m, 1H), 7.12 (m, 4H), 5.24 (s, 2H), 4.74 (s, 2H)

Example 198: Synthesis of 6-[4-fluoro-2-(fluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidine

[0653]



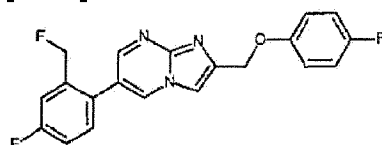
[0654] [5-Fluoro-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol(100 mg, 0.29 mmol) obtained in Example 135 was dissolved in methylene chloride (10 ml), and

diethylaminosulfur trifluoride (70 mg, 0.44 mmol) was added thereto at 0°C and agitated at room temperature for 30 minutes. Saturated ammonium chloride aqueous solution was added to the reaction solution and extracted by the use of methylene chloride and water. The methylene chloride extract solution was dried with anhydrous magnesium sulfate and filtrated, and the solvent was concentrated under reduced pressure. Flash column chromatography was carried out to obtain the title compound (amount: 92 mg, yield: 90%).

[0655] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.97 (s, 1H), 8.52 (s, 1H), 8.21 (m, 1H), 7.93 (s, 1H), 7.77 (m, 1H), 7.54 (m, 2H), 7.45 (m, 1H), 7.05 (m, 1H), 6.89 (m, 1H), 5.51 (s, 2H), 5.48 (s, 1H), 5.39 (s, 1H)

Example 199: Synthesis of 6-[4-fluoro-2-(fluoromethyl)phenyl]-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidine

[0656]



[0657] [5-Fluoro-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol obtained in Example 97 as a starting material was used in the same manner as in Example 198 to obtain the title compound.

[0658] ¹H-NMR (DMSO-d₆, 500MHz) δ 8.98 (s, 1H), 8.54 (s, 1H), 7.97 (s, 1H), 7.57 (m, 2H), 7.44 (m, 1H), 7.12 (m, 4H), 5.49 (s, 1H), 5.39 (s, 1H), 5.26 (s, 2H)

Experimental Example: Pharmacological activity test

[0659] Efficacy as a positive allosteric modulator (PAM) of mGluR5 of the compounds of the Examples was tested as follows:

Calcium influx assay based on fluorescence

[0660] Ca²⁺ (calcium) influx assay is an experiment for measuring the activity of a positive allosteric modulator of mGluR5 receptor in which human mGluR5 receptor-overexpressed HEK293 cell line is used. The day before the experiment, cells were prepared in a cell culture medium (DMEM, 5% FBS) with the density of 80,000/well, and 100 µl of cells were dispensed into each well of a poly-D-lysine-coated 96-well plate. Cells were incubated in a 5% CO₂, 37°C

incubator. The next day, the cell culture medium was removed from the plate, and 100 μ l of 1X Fluo-4 calcium indicator diluted with a buffer (1X Hank's balanced salt solution, 20 mM HEPES, 2.5 mM probenecid) were added to each well and incubated at 37°C for 1 hour. The compound stock solutions were prepared in 100% DMSO, and the compounds were serially diluted with a 1/4 dilution to 6 or 7 concentrations (final concentration was 10 μ M to 10 nM). The diluted compound solutions were added to the plate with 0.1 to 0.2% of final DMSO concentration. 1 hour after the addition of Fluo-4 calcium indicator, L-glutamate (EC₂₀ concentration) and the test compound solutions were added to the plate, and Ca²⁺ reaction was then measured by FLIPR at room temperature. The activity of the compounds was standardized on the basis of the results of maximum value-minimum value of fluorescent reaction, and the activity value was calculated on the basis that no activity on glutamate EC₂₀ is 0% and the reaction to glutamate maximum value is 100%.

[0661] In the same manner as in the above assay, the efficacy of the test compounds as a human mGluR5 positive allosteric modulator was calculated to EC₅₀ and is represented in Table 1 (+: 500 - 1,000 nM, ++: 100 - 500 nM, +++: 100 nM or less).

[Table 1]

Example	Human mGluR5 PAM EC ₂₀ (nM)	Example	Human mGluR5 PAM EC ₂₀ (nM)	Example	Human mGluR5 PAM EC ₂₀ (nM)
1	++	68	++	135	++
2	++	69	++	136	+
3	+++	70	++	137	++
4	++	71	++	138	++
5	+++	72	++	139	+
6	+++	73	+	140	++
7	++	74	++	141	++
8	++	75	++	142	++
9	+	76	++	143	+
10	++	77	++	144	+
11	++	78	++	145	++
12	+	79	++	146	+
13	+	80	+++	147	+
14	++	81	++	148	+

15	++	82	++	149	++
16	+	83	++	150	+
17	+	84	+	151	++
18	++	85	++	152	++
19	+	86	++	153	++
20	++	87	+	154	++
21	+	88	++	155	++
22	+	89	+	156	++
23	++	90	++	157	+
24	++	91	+++	158	++
25	+++	92	++	159	+
26	++	93	++	160	+
27	++	94	++	161	++

28	+	95	++	162	+++
29	++	96	++	163	++
30	+	97	++	164	++
31	++	98	++	165	++
32	++	99	+	166	++
33	++	100	+	167	++
34	++	101	++	168	+++
35	++	102	++	169	++
36	++	103	++	170	++
37	+	104	++	171	++
38	++	105	++	172	++
39	++	106	++	173	+
40	++	107	+	174	++
41	++	108	+	175	+
42	+	109	++	176	++
43	++	110	++	177	+
44	++	111	++	178	++
45	++	112	++	179	++
46	++	113	++	180	++
47	++	114	++	181	+
48	++	115	++	182	++
49	+	116	+++	183	++

50	+	117	++	184	++
51	++	118	++	185	++
52	++	119	++	186	++
53	++	120	++	187	++
54	++	121	++	188	+++
55	++	122	+	189	+
56	++	123	++	190	++
57	++	124	++	191	+
58	++	125	++	192	++
59	++	126	++	193	++
60	++	127	++	194	++
61	+	128	+	195	++
62	+	129	+	196	++
63	++	130	++	197	++
64	+	131	++	198	+++
65	++	132	+++	199	++
66	++	133	+		
67	+++	134	++		

REFERENCES CITED IN THE DESCRIPTION

Cited references

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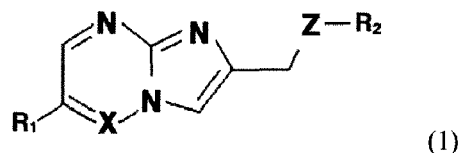
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P A T E N T K R A V

1. Farmaceutisk sammensætning til anvendelse i forebyggelsen eller behandlingen af lidelser givet glutamatdysfunktion af metabotropisk glutamat-receptor-subtype 5 (mGluR5), hvilken er skizofreni, omfattende en terapeutisk virkende mængde af en forbindelse med følgende kemisk formel (1) eller et farmaceutisk acceptabelt salt deraf, som et aktiv stof sammen med en farmaceutisk acceptabelt bærer eller excipienser:



hvor

X er CH eller N;

Z er O eller S;

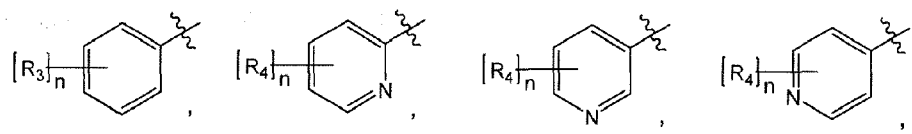
- 10 R_1 er C_6 - C_{12} -aryl eventuelt substitueret med en eller flere substituenten valgt blandt halo, hydroxy, C_1 - C_5 -alkyl, C_1 - C_5 -alkoxy, C_1 - C_5 -alkylthio, amino, di(C_1 - C_5 -alkyl)amino, cyano, formyl, halo- C_1 - C_5 -alkyl, hydroxy-Ci-Cs-alkyl, C_1 - C_5 -alkoxy- C_1 - C_5 -alkyl, carbamoyloxy- C_1 - C_5 -alkyl, C_1 - C_5 -alkyl-C(O)O- C_1 - C_5 -alkyl, di(C_1 - C_5 -alkyl)amino- C_1 - C_5 -alkyl og 5- eller 6-leddet heterocykloalkyl-Ci-Cs-alkyl, hvori heterocykloalkylen har 1-3 heteroatomer valgt blandt N, O og S; eller 5- til 12-leddet, umættet heterocyklyl med 1-5 heteroatomer valgt blandt N, O og S, som eventuelt er substitueret med en eller flere substituenten valgt blandt halo, hydroxy, C_1 - C_5 -alkyl, C_1 - C_5 -alkoxy og halo- C_1 - C_5 -alkyl; og

R_2 er C_6 - C_{12} -aryl eventuelt substitueret med en eller flere substituenten valgt blandt halo, deuterium, hydroxy og C_1 - C_5 -alkyl; eller 5- til 12-leddet, umættet heterocyklyl med 1-3 heteroatomer valgt blandt N, O og S, som eventuelt er substitueret med en eller flere substituenten valgt blandt halo og C_1 - C_5 -alkyl.

20

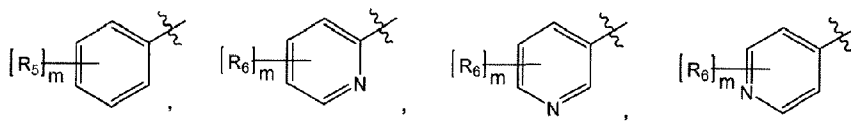
2. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor

R_1 er valgt fra gruppen bestående af:



- 25 hvor n er 0, 1, 2, 3 eller 4; hver R_3 er valgt blandt halo, hydroxy, C_1 - C_5 -alkyl, C_1 - C_5 -alkoxy, C_1 - C_5 -alkylthio, amino, di(C_1 - C_5 -alkyl)amino, cyano, formyl, halo- C_1 - C_5 -alkyl, hydroxy- C_1 - C_5 -alkyl, C_1 - C_5 -alkoxy- C_1 - C_5 -alkyl, carbamoyloxy- C_1 - C_5 -alkyl, C_1 - C_5 -alkyl-C(O)O- C_1 - C_5 -alkyl, di(C_1 - C_5 -alkyl)amino- C_1 - C_5 -alkyl og 5- eller 6-leddet heterocykloalkyl- C_1 - C_5 -alkyl; og hver R_4 er valgt blandt halo, hydroxy, C_1 - C_5 -alkyl, C_1 - C_5 -alkoxy og halo-Ci-Cs-alkyl; og

R_2 er valgt fra gruppen bestående af:



- 30 hvor m er 0, 1, 2, 3 eller 4; R_5 er valgt blandt halo, deuterium, hydroxy og C_1 - C_5 -alkyl; og R_6 er valgt blandt halo og C_1 - C_5 -alkyl.

3. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor R₁ er phenyl eventuelt substitueret med 1 til 3 substituenten valgt blandt halo, hydroxy, C₁-C₅-alkyl, C₁-C₅-alkoxy, C₁-C₅-alkylthio, amino, di(C₁-C₅-alkyl)amino, cyano, formyl, halo-C₁-C₅-alkyl, hydroxy-C₁-C₅-alkyl, C₁-C₅-alkoxy-C₁-C₅-alkyl, carbamoyloxy-Ci-Cs-alkyl og C₁-C₅-alkyl-C(O)O-C₁-C₅-alkyl; eller 5- til 10-leddet, umættet heterocyklyl med 1-3 heteroatomer valgt blandt N, O og S, som eventuelt er substitueret med 1 til 3 substituenten valgt blandt halo, hydroxy, C₁-C₅-alkyl, C₁-C₅-alkoxy og halo-C₁-C₅-alkyl.

4. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor R₂ er phenyl eventuelt substitueret med 1 til 5 substituenten valgt blandt halo, deuterium, hydroxy og C₁-C₅-alkyl; eller 5- eller 6-leddet heteroaryl med 1 til 3 heteroatomer valgt blandt N, O og S, som eventuelt er substitueret med 1 til 3 substituenten valgt blandt halo og C₁-C₅-alkyl.

5. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor

X er CH eller N;

15 Z er O;

R₁ er phenyl eventuelt substitueret med 1 til 3 substituenten valgt blandt halo, hydroxy, C₁-C₅-alkyl, C₁-C₅-alkoxy, C₁-C₅-alkylthio, amino, di(C₁-C₅-alkyl)amino, cyano, formyl, halo-C₁-C₅-alkyl, hydroxy-C₁-C₅-alkyl, C₁-C₅-alkoxy-C₁-C₅-alkyl, carbamoyloxy-Ci-Cs-alkyl og C₁-C₅-alkyl-C(O)O-C₁-C₅-alkyl; eller 5- til 9-leddet, umættet heterocyklyl med 1 eller 2 heteroatomer valgt blandt N, O og S, som eventuelt er substitueret med 1 eller 2 substituenten valgt blandt halo, hydroxy, C₁-C₅-alkyl, C₁-C₅-alkoxy og halo-C₁-C₅-alkyl; og

R₂ er phenyl eventuelt substitueret med 1 til 5 substituenten valgt blandt halo, deuterium, hydroxy og C₁-C₅-alkyl; eller 6-leddet heteroaryl med 1 eller 2 nitrogenatomer, som eventuelt er substitueret med 1 eller 2 substituenten valgt blandt halo og C₁-C₅-alkyl.

25 6. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor

R₁ er phenyl eventuelt substitueret med 1 til 3 substituenten valgt blandt halo, hydroxy, C₁-C₅-alkyl, C₁-C₅-alkoxy, C₁-C₅-alkylthio, amino, di(C₁-C₅-alkyl)amino, cyano, formyl, halo-C₁-C₅-alkyl, hydroxy-Ci-Cs-alkyl, C₁-C₅-alkoxy-C₁-C₅-alkyl, carbamoyloxy-C₁-C₅-alkyl og C₁-C₅-alkyl-C(O)O-C₁-C₅-alkyl; 1,3-benzoedioxolyl, som er usubstitueret eller substitueret med 1 eller 2 halo; eller pyridyl eller pyrimidinyl, som eventuelt er substitueret med 1 eller 2 substituenten valgt blandt halo, hydroxy, C₁-C₅-alkyl, C₁-C₅-alkoxy og halo-C₁-C₅-alkyl; og

R₂ er phenyl eventuelt substitueret med 1 til 5 substituenten valgt blandt halo, deuterium, hydroxy og C₁-C₅-alkyl; eller pyridyl eventuelt substitueret med 1 eller 2 substituenten valgt blandt halo og C₁-C₅-alkyl.

35 7. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor

X er CH;

Z er O;

R₁ er phenyl eventuelt substitueret med 1 til 3 substituenten valgt blandt halo, hydroxy, C₁-C₅-alkyl, C₁-C₅-alkoxy, C₁-C₅-alkylthio, amino, halo-C₁-C₅-alkyl, hydroxy-C₁-C₅-alkyl og C₁-C₅-alkoxy-C₁-C₅-alkyl; og

R₂ er pyridyl eventuelt substitueret med 1 eller 2 substituenten valgt blandt halo og C₁-C₅-alkyl.

8. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor forbindelsen er valgt fra gruppen bestående af:

- 5 6-(2-fluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
2-phenoxyethyl-6-phenylimidazo[1,2-a]pyrimidin;
6-(2,4-difluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(4-fluor-2-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
- 10 6-(2,3-difluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(4-fluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(3-aminophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2-aminophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(3-amino-6-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
- 15 6-(3-amino-4-fluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(3-chlor-4-fluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2-dimethylaminophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2-chlor-4-fluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2-hydroxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
- 20 6-(3-hydroxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(4-fluor-2-trifluoromethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(3,4-difluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2-methoxy-4-fluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(4-fluor-3-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
- 25 6-(4-chlor-2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(4-cyano-2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(7-fluorbenzo[e][1,3]dioxol-4-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(4-fluor-2-hydroxyethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(4-fluor-2-methylthiophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
- 30 6-(2-amino-4-fluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2,4-difluor-5-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2-fluorpyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(6-methoxypyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(6-fluorpyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
- 35 6-(4-methylpyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2,6-difluorpyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(6-chlorpyridin-3-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(2-fluorpyridin-4-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
6-(3-chlorpyridin-4-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;

- 6-(4-chlorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(6-fluor-4-methyl-3-pyridyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(6-fluor-5-methyl-3-pyridyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(5-fluor-2-pyridyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 5 6-(2,4-difluorophenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methoxyphenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-3-hydroxyphenyl)-2-(3-fluorophenoxyethyl)-imidazo[1,2-a]pyrimidin;
 6-(2-aminophenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(3-chlorpyridin-4-yl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 10 6-(4-methylpyridin-3-yl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(2,4-difluorophenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(3-chlorpyridin-4-yl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(2,6-dimethylphenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 15 2-[(4-fluorophenoxy)methyl]-6-(6-fluor-3-pyridyl)imidazo[1,2-a]pyrimidin;
 4-[[6-(4-fluorophenyl)imidazo[1,2-a]pyrimidin-2-yl]methoxy]phenol;
 2-[(4-fluorophenoxy)methyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidin;
 2-[(3-fluorophenoxy)methyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidin;
 2-fluor-5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 20 2-[(4-fluorophenoxy)methyl]-6-phenyl-imidazo[1,2-a]pyrimidin;
 5-fluor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 5-fluor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 6-(4-fluorophenyl)-2-[(2,3,4,5,6-pentadeuteriophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorophenoxy)methyl]-6-(o-tolyl)imidazo[1,2-a]pyrimidin;
 25 6-(5-fluor-2-methyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorophenoxy)methyl]-6-(2-fluor-4-pyridyl)imidazo[1,2-a]pyrimidin;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 6-(2-fluor-4-methyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorophenoxy)methyl]-6-[6-(trifluormethyl)-3-pyridyl]imidazo[1,2-a]pyrimidin;
 30 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 6-(2-chlor-4-fluor-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 4-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitril;
 6-(4-chlor-2-methoxy-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-fluor-5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 35 6-(2,6-difluor-3-pyridyl)-2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-2-methyl-anilin;
 4,5-difluor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-5-methyl-anilin;
 5-chlor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;

- 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-4-methyl-anilin;
 5-fluor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 2-[(4-fluorophenoxy)methyl]-6-(4-methyl-3-pyridyl)imidazo[1,2-a]pyrimidin;
 2-[(3-fluorophenoxy)methyl]-6-(2-fluor-4-pyridyl)imidazo[1,2-a]pyrimidin;
 5 2-[(3-fluorophenoxy)methyl]-6-[6-(trifluormethyl)-3-pyridyl]imidazo[1,2-a]pyrimidin;
 2-[(3-fluorophenoxy)methyl]-6-(6-fluor-3-pyridyl)imidazo[1,2-a]pyrimidin;
 2-[(3-fluorophenoxy)methyl]-6-(2-fluor-3-pyridyl)imidazo[1,2-a]pyrimidin;
 6-(5,6-difluor-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-2-methoxy-anilin;
 10 2-[(4-fluorophenoxy)methyl]-6-(5-fluor-2-pyridyl)imidazo[1,2-a]pyrimidin;
 2-[(4-fluorophenoxy)methyl]-6-(5-methoxy-2-pyridyl)imidazo[1,2-a]pyrimidin;
 6-(4-chlor-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 6-(5-chlor-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorophenoxy)methyl]-6-(5-methoxy-3-pyridyl)imidazo[1,2-a]pyrimidin;
 15 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]pyridin-2-ol;
 6-(6-fluor-5-methyl-3-pyridyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorophenoxy)methyl]-6-(6-methyl-3-pyridyl)imidazo[1,2-a]pyrimidin;
 2-[(3-fluorophenoxy)methyl]-6-(5-fluor-2-pyridyl)imidazo[1,2-a]pyrimidin;
 2-[(3-fluorophenoxy)methyl]-6-[4-fluor-2-(trifluormethyl)phenyl]imidazo[1,2-a]pyrimidin;
 20 4-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitril;
 [5-fluor-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 [5-fluor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 6-(4-fluor-2-methylsulfanyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorophenoxy)methyl]-6-(2-methoxy-4-pyridyl)imidazo[1,2-a]pyrimidin;
 25 2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-4-methyl-anilin;
 5-fluor-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 6-(4-fluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methoxyphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 30 6-(2,4-difluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2,3-difluorophenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(5-fluor-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(3-fluor-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 35 6-(7-fluor-2H-benzoe[1,3]dioxol-4-yl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-chlor-2-methoxyphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(3-fluor-2-methoxy-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
 6-[4-fluor-2-(trifluormethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methyl-phenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;

- 6-(2-ethylphenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-methyl-phenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 6-(2-fluor-4-methyl-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-methoxy-phenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 5 2-[(5-fluor-2-pyridyl)oxymethyl]-6-[4-fluor-2-(trifluormethyl)phenyl]imidazo[1,2-a]pyrimidin;
- 6-(2,4-difluorophenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 6-(3-fluor-2-methoxy-phenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 6-(2,3-difluorophenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 6-(4-fluorophenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 10 6-(3-fluor-2-methyl-phenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 2-[(4-fluor-2-pyridyl)oxymethyl]-6-[4-fluor-2-(trifluormethyl)phenyl]imidazo[1,2-a]pyrimidin;
- 2-[(5-fluor-2-pyridyl)oxymethyl]-6-(o-tolyl)imidazo[1,2-a]pyrimidin;
- 6-(4-chlor-2-methyl-phenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 6-(2,4-dimethylphenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 15 6-(4-fluorophenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 2-(2-pyridyloxymethyl)-6-[6-(trifluormethyl)-3-pyridyl]imidazo[1,2-a]pyrimidin;
- 2-[(5-fluor-2-pyridyl)oxymethyl]-6-[6-(trifluormethyl)-3-pyridyl]imidazo[1,2-a]pyrimidin;
- 6-(5-fluor-2-pyridyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 2-fluor-5-[2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
- 20 2-fluor-5-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]anilin;
- [5-fluor-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
- 3-methoxy-4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]benzoesnitril;
- 4-[2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzoesnitril;
- 6-(4-fluor-2-methylsulfanyl-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 25 [5-fluor-2-[2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
- 4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]benzoesnitril;
- 6-[4-fluor-2-(methoxymethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- [2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]-5-(trifluormethyl)phenyl]methanol;
- 6-(2-isopropylphenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 30 4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]-3-(trifluormethyl)benzaldehyd;
- 6-[4-chlor-2-(trifluormethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluorophenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-methoxyphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(2,4-difluorophenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 35 6-(4-fluor-2-methylphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-hydroxyphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-methoxyphenyl)-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(2,4-difluorophenyl)-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(2-methylphenyl)-2-(5-fluor-pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;

- 6-(4-fluor-2-methylphenyl)-2-(5-fluor-pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methoxyphenyl)-2-(5-fluorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-hydroxyphenyl)-2-(5-fluorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2,4-difluorophenyl)-2-(6-fluorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 5 6-(4-fluor-2-methylphenyl)-2-(6-fluorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(2-fluorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(5-chlorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2,4-difluorophenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 10 6-(4-fluor-2-methoxyphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluorphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2,3-difluorophenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2-fluorphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-hydroxyphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 15 6-(2-methylphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2-hydroxyphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-hydroxymethylphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluorphenyl)-2-[(5-fluor-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
 2-[(2-chlor-4-pyridyl)oxymethyl]-6-(4-fluorphenyl)imidazo[1,2-a]pyrimidin;
 20 2-[(5-fluor-3-pyridyl)oxymethyl]-6-[4-fluor-2-(trifluormethyl)phenyl]imidazo[1,2-a]pyrimidin;
 2-[(2-fluor-4-pyridyl)oxymethyl]-6-[4-fluor-2-(trifluormethyl)phenyl]imidazo[1,2-a]pyrimidin;
 5-fluor-2-[2-[(5-fluor-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 5-fluor-2-[2-[(2-fluor-4-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 [5-fluor-2-[2-[(5-fluor-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 25 2-(2,4-difluorophenyl)-6-(phenoxymethyl)imidazo[1,2-b][1,2,4]triazin;
 2-(2,4-difluorophenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-(2,4-difluorophenyl)-6-((pyridin-2-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-(4-fluorophenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-(2-methylphenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 30 2-(2,4-difluorophenyl)-6-((2-fluorpyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-(4-fluor-2-methylphenyl)-6-((2-fluorpyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-(2-methylphenyl)-6-((2-fluorpyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-[4-fluor-2-(trifluormethyl)phenyl]-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin;
 2-(3-fluor-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin;
 35 2-(4-fluor-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin;
 2-[4-chlor-2-(trifluormethyl)phenyl]-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin;
 2-(4-chlor-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin;
 2-(4-fluor-2-methyl-phenyl)-6-[(6-fluor-2-pyridyl)oxymethyl]imidazo[1,2-b][1,2,4]triazin;
 2-(4-fluor-2-methyl-phenyl)-6-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-b][1,2,4]triazin;

- [5-fluor-2-[2-[(4-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methylcarbamate;
 [5-fluor-2-[2-(phenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methylcarbamate;
 [5-fluor-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methylcarbamate;
 [5-fluor-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methylacetate;
 5 6-[2-(chloromethyl)-4-fluor-phenyl]-2-[(4-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin;
 6-[4-fluor-2-(fluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin; og
 6-[4-fluor-2-(fluoromethyl)phenyl]-2-[(4-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin.
9. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor forbindelsen er valgt fra gruppen be-
- 10 stående af:
- 6-(2,4-difluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(2-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-hydroxyethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 15 6-(2-chlor-4-fluor-phenyl)-2-[(4-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(3-fluorphenoxy)methyl]-6-[6-(trifluoromethyl)-3-pyridyl]imidazo[1,2-a]pyrimidin;
 6-(6-fluor-5-methyl-3-pyridyl)-2-[(4-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin;
 6-(4-fluorphenyl)-2-(pyridin-2-yloxyethyl)imidazo[1,2-a]pyrimidin;
 6-[4-fluor-2-(trifluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
 20 6-(4-fluor-2-methyl-phenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methyl-phenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
 6-(4-fluorphenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
 6-(5-fluor-2-pyridyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
 [5-fluor-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 25 6-(4-fluor-2-methylphenyl)-2-(2-fluorpyridin-4-yloxyethyl)imidazo[1,2-a]pyrimidin;
 6-(2-methylphenyl)-2-(2-fluorpyridin-4-yloxyethyl)imidazo[1,2-a]pyrimidin;
 2-(4-fluor-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin; og
 6-[4-fluor-2-(fluoromethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin.
- 30 10. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor forbindelsen er valgt fra gruppen be-
- stående af:
- 6-(2-fluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 2-phenoxyethyl-6-phenylimidazo[1,2-a]pyrimidin;
 6-(2,4-difluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 35 6-(2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(2-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(2,3-difluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(4-fluorphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;

- 6-(3-aminophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(2-aminophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(3-amino-6-methylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(3-amino-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 5 6-(3-chlor-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(2-dimethylaminophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(2-chlor-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(2-hydroxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(3-hydroxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 10 6-(4-fluor-2-trifluoromethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(3,4-difluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(2-methoxy-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(4-fluor-3-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(4-chlor-2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 15 6-(4-cyano-2-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(7-fluorbenzo[1,3]dioxol-4-yl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-hydroxyethylphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylthiophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(2-amino-4-fluorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 20 6-(2,4-difluor-5-methoxyphenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(4-chlorophenyl)-2-phenoxyethylimidazo[1,2-a]pyrimidin;
 6-(2,4-difluorophenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methoxyphenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-3-hydroxyphenyl)-2-(3-fluorophenoxyethyl)-imidazo[1,2-a]pyrimidin;
 25 6-(2-aminophenyl)-2-(3-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(2,4-difluorophenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 6-(2,6-dimethylphenyl)-2-(4-fluorophenoxyethyl)imidazo[1,2-a]pyrimidin;
 4-[[6-(4-fluorophenyl)imidazo[1,2-a]pyrimidin-2-yl]methoxy]phenol;
 30 2-[(4-fluorophenoxy)methyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidin;
 2-[(3-fluorophenoxy)methyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidin;
 2-fluor-5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 2-[(4-fluorophenoxy)methyl]-6-phenyl-imidazo[1,2-a]pyrimidin;
 5-fluor-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 35 5-fluor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;
 6-(4-fluorophenyl)-2-[(2,3,4,5,6-pentadeuteriophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorophenoxy)methyl]-6-(o-tolyl)imidazo[1,2-a]pyrimidin;
 6-(5-fluor-2-methyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenol;

- 6-(2-fluor-4-methyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 6-(2-chlor-4-fluor-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 4-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitril;
 5 6-(4-chlor-2-methoxy-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-fluor-5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-2-methyl-anilin;
 4,5-difluor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-5-methyl-anilin;
 10 5-chlor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-4-methyl-anilin;
 5-fluor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 5-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-2-methoxy-anilin;
 2-[(3-fluorophenoxy)methyl]-6-[4-fluor-2-(trifluormethyl)phenyl]imidazo[1,2-a]pyrimidin;
 15 4-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzonitril;
 [5-fluor-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 [5-fluor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 6-(4-fluor-2-methylsulfanyl-phenyl)-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]-4-methyl-anilin;
 20 5-fluor-2-[2-[(3-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 2-(2,4-difluorphenyl)-6-(phenoxymethyl)imidazo[1,2-b][1,2,4]triazin;
 [5-fluor-2-[2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methylcarbamate;
 [5-fluor-2-[2-(phenoxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methylcarbamate;
 6-[2-(chloromethyl)-4-fluor-phenyl]-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin; og
 25 6-[4-fluor-2-(fluormethyl)phenyl]-2-[(4-fluorophenoxy)methyl]imidazo[1,2-a]pyrimidin.

11. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor forbindelsen er valgt fra gruppen bestående af:

- 6-(2-fluorpyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;
 30 6-(6-methoxypyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;
 6-(6-fluorpyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;
 6-(4-methylpyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;
 6-(2,6-difluorpyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;
 6-(6-chlorpyridin-3-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;
 35 6-(2-fluorpyridin-4-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;
 6-(3-chlorpyridin-4-yl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;
 6-(6-fluor-4-methyl-3-pyridyl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;
 6-(6-fluor-5-methyl-3-pyridyl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;
 6-(5-fluor-2-pyridyl)-2-phenoxymethylimidazo[1,2-a]pyrimidin;

- 6-(3-chlorpyridin-4-yl)-2-(3-fluorphenoxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-methylpyridin-3-yl)-2-(3-fluorphenoxymethyl)imidazo[1,2-a]pyrimidin;
 6-(3-chlorpyridin-4-yl)-2-(4-fluorphenoxymethyl)imidazo[1,2-a]pyrimidin;
 2-[(4-fluorphenoxy)methyl]-6-(6-fluor-3-pyridyl)imidazo[1,2-a]pyrimidin;
 5 2-[(4-fluorphenoxy)methyl]-6-(2-fluor-4-pyridyl)imidazo[1,2-a]pyrimidin;
 2-[(4-fluorphenoxy)methyl]-6-[6-(trifluormethyl)-3-pyridyl]imidazo[1,2-a]pyrimidin;
 6-(2,6-difluor-3-pyridyl)-2-[(3-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorphenoxy)methyl]-6-(4-methyl-3-pyridyl)imidazo[1,2-a]pyrimidin;
 2-[(3-fluorphenoxy)methyl]-6-(2-fluor-4-pyridyl)imidazo[1,2-a]pyrimidin;
 10 2-[(3-fluorphenoxy)methyl]-6-[6-(trifluormethyl)-3-pyridyl]imidazo[1,2-a]pyrimidin;
 2-[(3-fluorphenoxy)methyl]-6-(6-fluor-3-pyridyl)imidazo[1,2-a]pyrimidin;
 2-[(3-fluorphenoxy)methyl]-6-(2-fluor-3-pyridyl)imidazo[1,2-a]pyrimidin;
 6-(5,6-difluor-3-pyridyl)-2-[(4-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorphenoxy)methyl]-6-(5-fluor-2-pyridyl)imidazo[1,2-a]pyrimidin;
 15 2-[(4-fluorphenoxy)methyl]-6-(5-methoxy-2-pyridyl)imidazo[1,2-a]pyrimidin;
 6-(4-chlor-3-pyridyl)-2-[(4-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin;
 6-(5-chlor-3-pyridyl)-2-[(4-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorphenoxy)methyl]-6-(5-methoxy-3-pyridyl)imidazo[1,2-a]pyrimidin;
 5-[2-[(4-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin-6-yl]pyridin-2-ol;
 20 6-(6-fluor-5-methyl-3-pyridyl)-2-[(4-fluorphenoxy)methyl]imidazo[1,2-a]pyrimidin;
 2-[(4-fluorphenoxy)methyl]-6-(6-methyl-3-pyridyl)imidazo[1,2-a]pyrimidin;
 2-[(3-fluorphenoxy)methyl]-6-(5-fluor-2-pyridyl)imidazo[1,2-a]pyrimidin; og
 2-[(4-fluorphenoxy)methyl]-6-(2-methoxy-4-pyridyl)imidazo[1,2-a]pyrimidin.
- 25 12. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor forbindelsen er valgt fra gruppen bestående af:
- 6-(4-fluorphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methoxyphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 30 6-(2,4-difluorphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2,3-difluorphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(5-fluor-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(3-fluor-2-methylphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 35 6-(7-fluor-2H-benzoe[1,3]dioxol-4-yl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-chlor-2-methoxyphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(3-fluor-2-methoxyphenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
 6-[4-fluor-2-(trifluormethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;

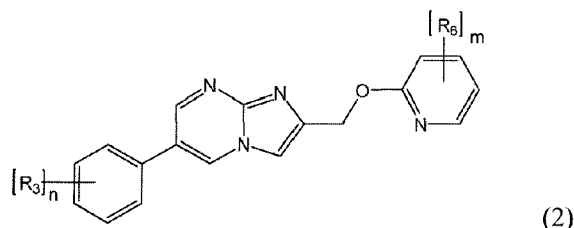
- 6-(2-ethylphenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-methyl-phenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 6-(2-fluor-4-methyl-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-methoxy-phenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 5 2-[(5-fluor-2-pyridyl)oxymethyl]-6-[4-fluor-2-(trifluormethyl)phenyl]imidazo[1,2-a]pyrimidin;
- 6-(2,4-difluorphenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 6-(3-fluor-2-methoxy-phenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 6-(2,3-difluorphenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 6-(4-fluorphenyl)-2-[(4-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 10 6-(3-fluor-2-methyl-phenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 2-[(4-fluor-2-pyridyl)oxymethyl]-6-[4-fluor-2-(trifluormethyl)phenyl]imidazo[1,2-a]pyrimidin;
- 2-[(5-fluor-2-pyridyl)oxymethyl]-6-(o-tolyl)imidazo[1,2-a]pyrimidin;
- 6-(4-chlor-2-methyl-phenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 6-(2,4-dimethylphenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 15 6-(4-fluorphenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
- 2-fluor-5-[2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
- 2-fluor-5-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]anilin;
- [5-fluor-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
- 3-methoxy-4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]benzoesnitril;
- 20 4-[2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]-3-methoxy-benzoesnitril;
- 6-(4-fluor-2-methylsulfanyl-phenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- [5-fluor-2-[2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
- 4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]benzoesnitril;
- 6-[4-fluor-2-(methoxymethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 25 [2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]-5-(trifluormethyl)phenyl]methanol;
- 6-(2-isopropylphenyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 4-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]-3-(trifluormethyl)benzaldehyd;
- 6-[4-chlor-2-(trifluormethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluorphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 30 6-(4-fluor-2-methoxyphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(2,4-difluorphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-methylphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-hydroxyphenyl)-2-(pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-methoxyphenyl)-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 35 6-(2,4-difluorphenyl)-2-(pyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(2-methylphenyl)-2-(5-fluor-pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-methylphenyl)-2-(5-fluor-pyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-methoxyphenyl)-2-(5-fluorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
- 6-(4-fluor-2-hydroxyphenyl)-2-(5-fluorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;

- 6-(2,4-difluorophenyl)-2-(6-fluorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(6-fluorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(2-fluorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(5-chlorpyridin-3-yloxymethyl)imidazo[1,2-a]pyrimidin;
 5 6-(2,4-difluorophenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methylphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methoxyphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluorophenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2,3-difluorophenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 10 6-(2-fluorophenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-hydroxyphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2-methylphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(2-hydroxyphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-hydroxymethylphenyl)-2-(2-fluorpyridin-4-yloxymethyl)imidazo[1,2-a]pyrimidin;
 15 6-(4-fluorophenyl)-2-[(5-fluor-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
 2-[(2-chlor-4-pyridyl)oxymethyl]-6-(4-fluorophenyl)imidazo[1,2-a]pyrimidin;
 2-[(5-fluor-3-pyridyl)oxymethyl]-6-[4-fluor-2-(trifluormethyl)phenyl]imidazo[1,2-a]pyrimidin;
 2-[(2-fluor-4-pyridyl)oxymethyl]-6-[4-fluor-2-(trifluormethyl)phenyl]imidazo[1,2-a]pyrimidin;
 5-fluor-2-[2-[(5-fluor-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 20 5-fluor-2-[2-[(2-fluor-4-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]anilin;
 [5-fluor-2-[2-[(5-fluor-3-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol;
 2-(2,4-difluorophenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-(2,4-difluorophenyl)-6-((pyridin-2-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-(4-fluorophenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 25 2-(2-methylphenyl)-6-((pyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-(2,4-difluorophenyl)-6-((2-fluorpyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-(4-fluor-2-methylphenyl)-6-((2-fluorpyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-(2-methylphenyl)-6-((2-fluorpyridin-4-yloxy)methyl)imidazo[1,2-b][1,2,4]triazin;
 2-[4-fluor-2-(trifluormethyl)phenyl]-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin;
 30 2-(3-fluor-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin;
 2-(4-fluor-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin;
 2-[4-chlor-2-(trifluormethyl)phenyl]-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin;
 2-(4-chlor-2-methyl-phenyl)-6-(2-pyridyloxymethyl)imidazo[1,2-b][1,2,4]triazin;
 2-(4-fluor-2-methyl-phenyl)-6-[(6-fluor-2-pyridyl)oxymethyl]imidazo[1,2-b][1,2,4]triazin;
 35 2-(4-fluor-2-methyl-phenyl)-6-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-b][1,2,4]triazin;
 [5-fluor-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methylcarbamate;
 [5-fluor-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methylacetat; og
 6-[4-fluor-2-(fluormethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin.

13. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor forbindelsen er valgt fra gruppen bestående af:

- 2-(2-pyridyloxymethyl)-6-[6-(trifluormethyl)-3-pyridyl]imidazo[1,2-a]pyrimidin;
 2-[(5-fluor-2-pyridyl)oxymethyl]-6-[6-(trifluormethyl)-3-pyridyl]imidazo[1,2-a]pyrimidin; og
 5 6-(5-fluor-2-pyridyl)-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin.

14. Farmaceutisk sammensætning til anvendelse ifølge krav 1, hvor forbindelsen er ifølge formel (2):



hvor n er 0, 1, 2 eller 3;

- 10 hver R3 er uafhængigt valgt blandt halo, hydroxy, C1-C5-alkyl, C1-C5-alkoxy, C1-C5-alkylthio, amino, di(C1-C5-alkyl)amino, cyano, formyl, halo-C1-C5-alkyl, hydroxy-C1-C5-alkyl, C1-C5alkoxy-C1-C5-alkyl, carbamoyloxy-C1-C5-alkyl, C1-C5-alkyl-C(O)O-C1-C5-alkyl, di(C1-C5-alkyl)amino-C1-C5-alkyl og 5- eller 6-leddet heterocykloalkyl-C1-C5-alkyl, hvor heterocykloalkylen har 1-3 heteroatomer valgt blandt N, O og S;

m er 0, 1, 2 eller 3; og

- 15 hver R6 er uafhængigt valgt blandt halo og C1-C5-alkyl.

15. Farmaceutisk sammensætning til anvendelse ifølge krav 14, hvor hvor n er 0, 1 eller 2; hver R3 er valgt blandt halo, halo-C1-C5-alkyl, C1-C5-alkyl, og hydroxy-C1-C5-alkyl; m er 0 eller 1; og R6 er halo.

- 20 16. Farmaceutisk sammensætning til anvendelse ifølge krav 14, hvor hvor n er 1 eller 2; hver R3 er valgt blandt fluor, fluormethyl, trifluormethyl, methyl, og hydroxymethyl; m er 0 eller 1; og R6 er fluor.

17. Farmaceutisk sammensætning til anvendelse ifølge krav 14, hvor forbindelsen er valgt fra gruppen bestående af:

- 25 6-(4-fluorphenyl)-2-(pyridin-2-yloxymethyl)imidazo[1,2-a]pyrimidin;
 6-[4-fluor-2-(trifluormethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin;
 6-(4-fluor-2-methyl-phenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
 6-(4-fluorphenyl)-2-[(5-fluor-2-pyridyl)oxymethyl]imidazo[1,2-a]pyrimidin;
 [5-fluor-2-[2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin-6-yl]phenyl]methanol; og
 30 6-[4-fluor-2-(fluormethyl)phenyl]-2-(2-pyridyloxymethyl)imidazo[1,2-a]pyrimidin.